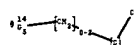
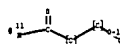
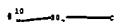
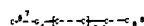
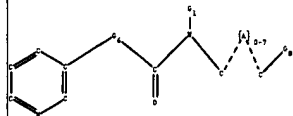


IFW

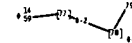
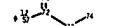
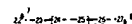
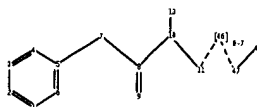
C:\STNEXP4\QUERIES\09596086b.str

L9

not



99



chain nodes :

7 8 9 10 11 13 14 15 16 17 18 22 23 24 25 26 27 45 47  
 48 51 52 53 54 55 56 57 58 59 61 63 65 67 72 73 76 77  
 78 85 88 99

ring nodes :

1 2 3 4 5 6 19 20 21

ring/chain nodes :

46 62 64 66 74 79 84 86 87 89

chain bonds :

5-7 7-8 8-9 8-10 10-11 10-13 11-46 14-15 16-17 17-18 22-23  
 23-24 24-25 25-26 26-27 45-51 46-47 47-48 51-52 51-53 51-54  
 55-61 56-63 57-72 58-84 59-77 61-62 63-64 63-67 64-65 65-66  
 72-73 72-76 73-74 77-78 78-79 85-86 86-87 88-89

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-21 20-21

exact/norm bonds :

5-7 7-8 8-9 8-10 10-11 10-13 11-46 14-15 16-17 19-20 19-21  
 20-21 22-23 23-24 24-25 26-27 45-51 46-47 47-48 51-54 55-61  
 56-63 57-72 58-84 59-77 63-67 72-73 72-76 73-74

exact bonds :

17-18 25-26 51-52 51-53 61-62 63-64 64-65 65-66 77-78 78-79  
 85-86 86-87 88-89

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:C,H,O

G2:C,O,S

G3:C,O

G4:C,N

G5:O,N,S

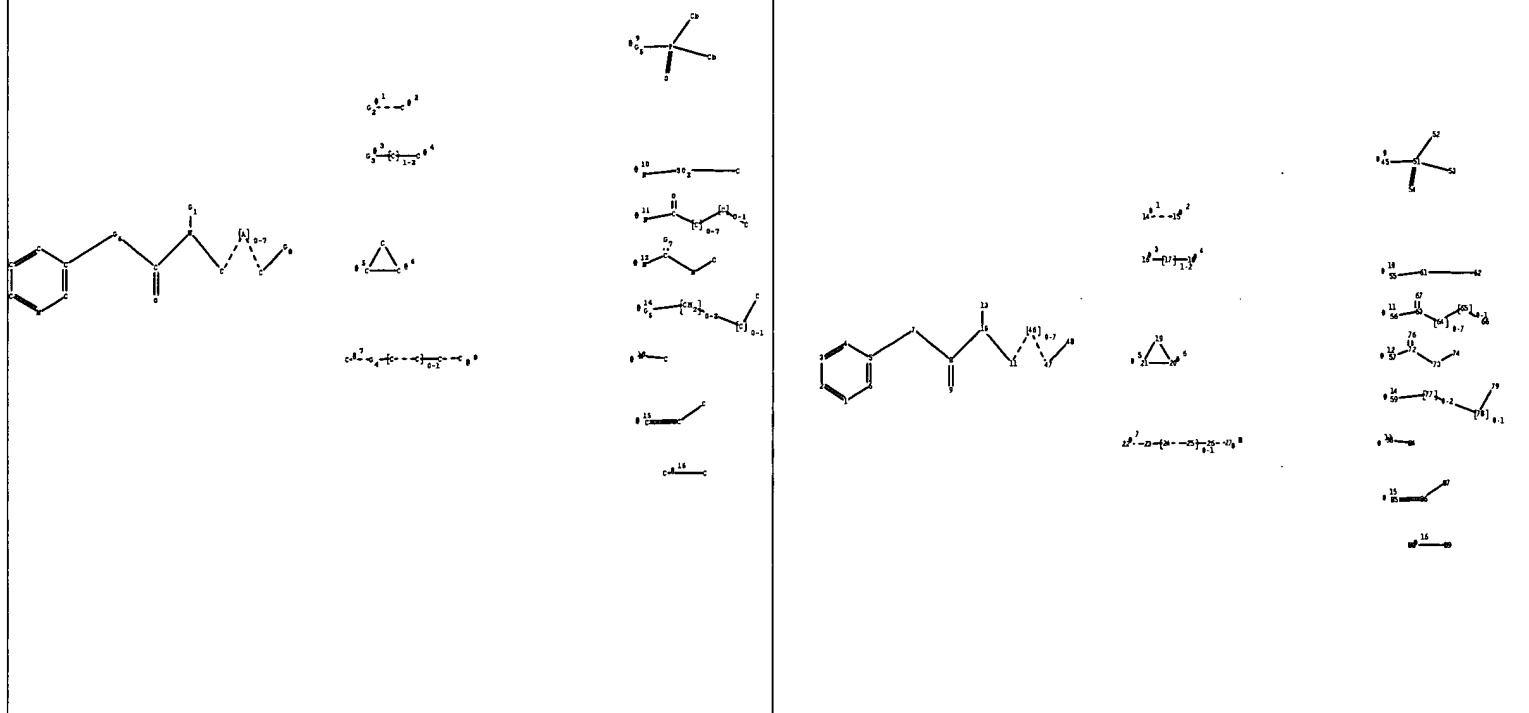
G6:CH2,[\*1-\*2],[\*3-\*4],[\*5-\*6],[\*7-\*8]

G7:O,S

G8:Cb,[\*9],[\*10],[\*11],[\*12],[\*13],[\*14],[\*15],[\*16]

Match level :

1:Atom	2:Atom	3:Atom	4:Atom	5:Atom	6:Atom	7:CLASS	8:CLASS	9:CLASS
10:CLASS	11:CLASS	13:CLASS	14:CLASS	15:CLASS	16:CLASS	17:CLASS		
18:CLASS	19:Atom	20:Atom	21:Atom	22:CLASS	23:CLASS	24:CLASS		
25:CLASS	26:CLASS	27:CLASS	45:CLASS	46:CLASS	47:CLASS	48:CLASS		
51:CLASS	52:Atom	53:CLASS	54:CLASS	55:CLASS	56:CLASS	57:CLASS		
58:CLASS	59:CLASS	61:CLASS	62:CLASS	63:CLASS	64:CLASS	65:CLASS		
66:CLASS	67:CLASS	72:CLASS	73:CLASS	74:CLASS	76:CLASS	77:CLASS		
78:CLASS	79:CLASS	84:CLASS	85:CLASS	86:CLASS	87:CLASS	88:CLASS		
89:CLASS	99:CLASS							



chain nodes :

7 8 9 10 11 13 14 15 16 17 18 22 23 24 25 26 27 45 47  
 48 51 52 53 54 55 56 57 58 59 61 63 65 67 72 73 76 77  
 78 85 88

ring nodes :

1 2 3 4 5 6 19 20 21

ring/chain nodes :

46 62 64 66 74 79 84 86 87 89

chain bonds :

5-7 7-8 8-9 8-10 10-11 10-13 11-46 14-15 16-17 17-18 22-23  
 23-24 24-25 25-26 26-27 45-51 46-47 47-48 51-52 51-53 51-54  
 55-61 56-63 57-72 58-84 59-77 61-62 63-64 63-67 64-65 65-66  
 72-73 72-76 73-74 77-78 78-79 85-86 86-87 88-89

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-21 20-21

exact/norm bonds :

5-7 7-8 8-9 8-10 10-11 10-13 11-46 14-15 16-17 19-20 19-21  
 20-21 22-23 23-24 24-25 26-27 45-51 46-47 47-48 51-54 55-61  
 56-63 57-72 58-84 59-77 63-67 72-73 72-76 73-74

exact bonds :

17-18 25-26 51-52 51-53 61-62 63-64 64-65 65-66 77-78 78-79  
 85-86 86-87 88-89

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:C,H,O

G2:C,O,S

G3 : C, O

G4 : C, N

G5 : 0, N, S

G6:CH2, [\*1-\*2], [\*3-\*4], [\*5-\*6], [\*7-\*8]

G7 : 0, S

G8:Cb, [\*9], [\*10], [\*11], [\*12], [\*13], [\*14], [\*15], [\*16]

Match level :

```

1:Atom    2:Atom    3:Atom    4:Atom    5:Atom    6:Atom    7:CLASS    8:CLASS    9:CLASS
10:CLASS   11:CLASS   13:CLASS   14:CLASS   15:CLASS   16:CLASS   17:CLASS
18:CLASS   19:Atom    20:Atom    21:Atom    22:CLASS   23:CLASS   24:CLASS
25:CLASS   26:CLASS   27:CLASS   45:CLASS   46:CLASS   47:CLASS   48:CLASS
51:CLASS   52:Atom    53:CLASS   54:CLASS   55:CLASS   56:CLASS   57:CLASS
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89:CLASS

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09/596,086

=> d his

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L4 SCREEN 1996  
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L6 QUE L5 NOT L4  
L7 10 S L6  
L8 STRUCTURE UPLOADED  
L9 QUE L8  
L10 20 S L9  
L11 1428 S L6 SSS FUL  
L12 804 S L9 FUL SUB=L11  
L13 624 S L11 NOT L12

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L14 207 S L13  
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SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

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FILE 'REGISTRY' ENTERED AT 16:14:41 ON 28 FEB 2002

FILE 'CAPLUS' ENTERED AT 16:26:35 ON 28 FEB 2002

L16 162 S L14 AND PATENT/DT  
L17 45 S L14 NOT L16  
L18 7 S L17 AND 1999/SO  
L19 2 S L17 AND 2000/SO  
L20 5 S L17 AND 2001/SO  
L21 0 S L17 AND 2002/SO  
L22 193 S L14 NOT (L18 OR L19 OR L20 OR L21)

=> d bib abs hitstr 1-193

09/596,086

122 ANSWER 1 OF 193 CAPLUS COPYRIGHT 2002 ACS

AM 2002:107923 CAPLUS

TI Preparation of imidazoisquinolinones as inhibitors of tyrosine kinases  
IN Snow, Roger John; Cardozo, Mario; Goldberg, Daniel; Hammach, Abdelhakim;  
Morwick, Tina; Moss, Neil; Patel, Usha R.; Prokopowicz, Anthony S.;  
Takahashi, Hidenori; Tschantz, Matt Aaron; Wang, Xiao-Jun

PA USA

SO U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 679,156.  
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002016460	A1	20020207	US 2001-921509	20010802
PRAI	US 1999-157922	P	19991006		
	US 2000-679156	A2	20001005		

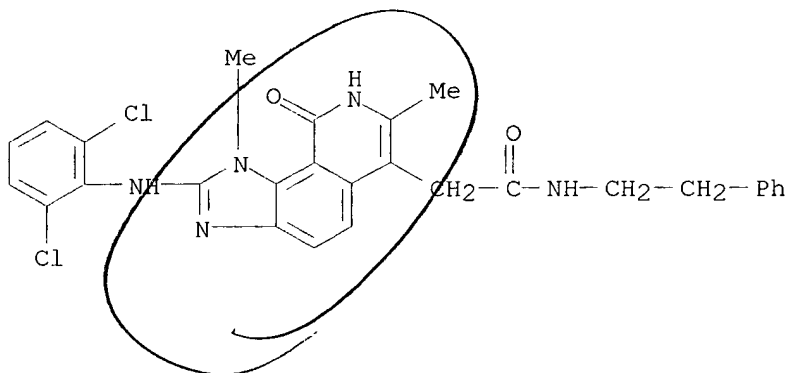
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; Ar1 = (un)substituted (non)arom. carbocyclyl, heteroaryl, heterocyclyl; X = NH, N(alkyl), O, etc.; Y = NR15, S, O; Ra = H, alkyl, alkenyl, etc.; R4 and R5 together with the atoms to which they are attached = II, III (wherein R6 = alkyl, H; R7 = alkyl, H; R8 = H, alkyl, etc.; R9 = H, CN, etc.)], useful as inhibitors of certain protein tyrosine kinases and are thus useful for treating diseases assocd. with such kinases, for example, diseases resulting from inappropriate cell proliferation, which include autoimmune diseases, chronic inflammatory diseases, allergic diseases, transplant rejection and cancer, as well as conditions resulting from cerebral ischemia, such as stroke, were prepd. All exemplified compds. I were evaluated in the tyrosine kinase assay using a kinase such a p56lck and were found to have IC50's less than 10 .mu.M. Methods of prepn. are claimed and 29 example prepn. are included. E.g., a multi-step synthesis of the imidazoisquinolinedione IV was given. Claimed methods include: a method of making I wherein X is N-R15 and Ar1, R4, R5, R15 and Ra are as defined in claim 1, said process comprising: (a) reacting a phenylenediamine with Ar1NCS in a suitable solvent at about ambient to reflux temp. for .apprx.3 to 24 h to provide a possibly substituted N-(o-aminophenyl)thiourea (b) reacting this product with a suitable activating agent chosen from 1,3-dicyclohexylcarbodiimide (DCC) and mercuric oxide in a suitable solvent at about ambient to reflux temp. Also, a method of making I wherein X is S, Y is NH and Ar1, R4, R5 and Ra are as defined in claim 1, said process comprising: (a) reacting an aniline with Ar1NCS in a suitable solvent at about ambient to reflux temp. for .apprx.3 to 24 h to form a thiourea; (b) reacting this product under cyclizing conditions in a suitable solvent at about reflux temp. Also, a method of making V wherein R15, R8 and R9 are as described in claim 1, said method comprising: (a) reacting 2,6-dichloro-3-nitrobenzonitrile with NHR15 in a suitable solvent optionally in a pressure flask and at .apprx.0 to 80.degree., to provide 2-R15NH-3-nitro-6-chlorobenzonitriles, and subsequently reacting these compds. with ketoester R9C(O)CHR8CO2Et in the presence of a suitable base in a suitable solvent, at about ambient temp. to form 2-NC-3-R15NH-4-O2NC6H2CR8(C(O)R9)CO2Et (b) hydrolyzing this product by reacting with aq. acid, and cyclizing at about reflux temp.;

followed by reducing the cyclized product in a suitable solvent. Also, a method of making VI wherein Ra, R8, R9 and Ar1 are as described in claim 1, said method comprising: (a) reacting a phenylenediamine with Br2 in a suitable solvent at ambient temp. to provide a brominated ring product; (b) reacting this product with Ar1NCS in a suitable solvent at about ambient to reflux temp. for .apprx.3 to 24 h and subsequently reacting the product with a suitable activating agent chosen from DCC and mercuric oxide in a suitable solvent at about ambient to reflux temp. to form VI with Ra = Br; (c) cross-coupling to introduce Ra in place of Br in the presence of a suitable catalyst in a suitable solvent at .apprx.100.degree..

IT **333455-73-5P**, 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo-N-(2-phenylethyl)-  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of imidazoisoquinolinones as inhibitors of tyrosine kinases)  
 RN 333455-73-5 CAPLUS  
 CN 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L22 ANSWER 2 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2002:90009 CAPLUS

DN 136:134497

TI Synthesis and use of amino acid-derived aliphatic amides/esters as inhibitors of phospholipases

IN Reid, Robert C.; Clark, Christopher I.; Hansford, Karl; Stoermer, Martin J.; McGeary, Ross P.; Fairlie, David P.

PA The University of Queensland, Australia

SO PCT Int. Appl., 109 pp.

CODEN: PIXXD2

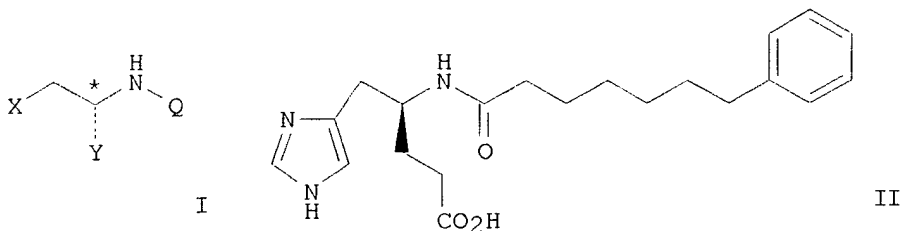
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008189	A1	20020131	WO 2001-AU898	20010724
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	AU 2000-8965	A	20000724		
	AU 2000-1669	A	20001124		

GI



AB Title compds. I [X = CRR'CO<sub>2</sub>H, CRR'-tetrazolyl, CRR'SO<sub>3</sub>H, CRR'P(O)(OH)<sub>2</sub>, CRR'P(O)(OH)(OR''), CHRCH<sub>2</sub>CO<sub>2</sub>H, CHRCH<sub>2</sub>-tetrazolyl, CHRCH<sub>2</sub>SO<sub>3</sub>H, CHRCH<sub>2</sub>P(O)(OH)<sub>2</sub>, CHRCH<sub>2</sub>P(O)(OH)(OR''), OP(O)(OH)R', NRSO<sub>3</sub>H, NRP(O)(OH)<sub>2</sub>, NRP(O)(OH)(OR''); R, R', R'' = H, (un)substituted alk(en/yn)yl, acyl, arylalkyl, cycloalkylalkyl, heterocyclalkyl, except that R'' is not hydrogen; Q = acyl, carboxamido, sulfonyl, sulfinyl, phosphinyl, etc.] were prepd. For example, II was synthesized from N-Boc-D-histidine in 11 steps. II had IC<sub>50</sub> = 2.5 .mu.M for human non-pancreatic secretory phospholipase A<sub>2</sub> (sPLA<sub>2</sub>). Homochiral and enantiomeric mixts. of I are useful for treatment of (e.g.) inflammatory diseases.

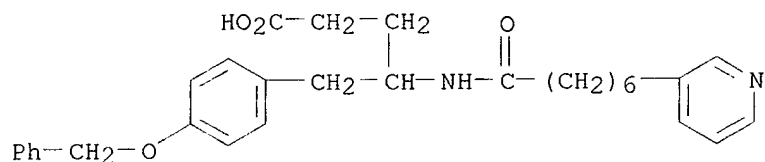
IT **393569-73-8P 393569-89-6P**, (R)-6-(4-Phenoxyphenyl)-4-((7-(pyridin-3-yl)heptanoyl)amino)hexanoic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and use of amino acid-derived aliph. amides/esters as inhibitors of phospholipases)

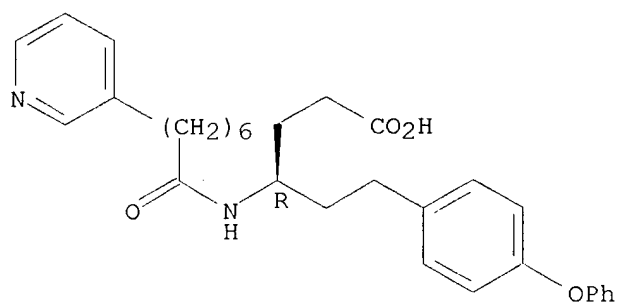
09/596,086

RN 393569-73-8 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

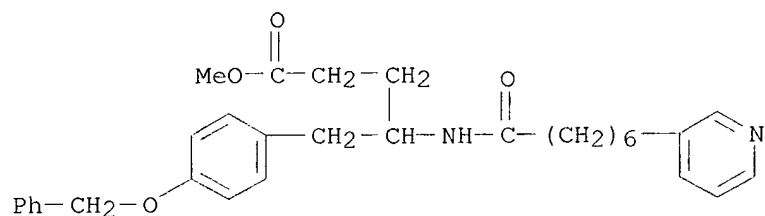


RN 393569-89-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT **393569-66-9P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(synthesis and use of amino acid-derived aliph. amides/esters as  
inhibitors of phospholipases)  
RN 393569-66-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/586,086

L22 ANSWER 3 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2002:10426 CAPLUS

DN 136:85822

TI Preparation of biphenylcarboxamide compounds as GPR14 antagonists or somatostatin receptor regulators

IN Tarui, Naoki; Santo, Takashi; Watanabe, Hiroyuki; Aso, Kazuyoshi; Miwa, Tetsuo; Takekawa, Shiro

PA Takeda Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 274 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

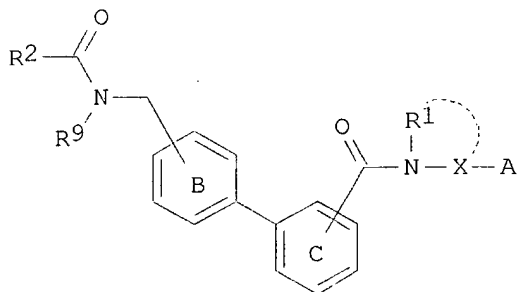
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000606	A1	20020103	WO 2001-JP5541	20010628
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRAI JP 2000-200118 A 20000628

OS MARPAT 136:85822

GI



AB The title compds. (I) or salts thereof [wherein R1 represents hydrogen or (un)substituted hydrocarbyl; X represents a spacer having a 1 to 12 atom linear chain moiety; A represents (un)substituted amino or N-heterocyclyl; R2 represents (un)substituted hydrocarbyl or amino; and R3 represents (un)substituted hydrocarbyl; ring B and C represent an optionally further substituted benzene ring], which have an antagonism against urotensin II receptor GPR14 (orphan receptor), are prepd. These compds. are also somatostatin, in particular somatostatin 5 receptor-function regulators such as somatostatin receptor agonists and antagonists and are useful for the prevention and treatment of hypertension, arteriosclerosis, cardiac hypertrophy, myocardial infarction, diabetes, obesity, diabetes complications, central diseases, digestive tract diseases, glaucoma, acromegaly, or tumor. Thus, 3'-[[2-[4-(aminosulfonyl)phenyl]ethyl]aminomethyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'-biphenyl-3-carboxamide was condensed with trans-cinnamic acid using 1-ethyl-3-(3-

dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in CH<sub>2</sub>Cl<sub>2</sub> and DMF at room temp. for 18 h to give 3'-[[N-[2-[4-(aminosulfonyl)phenyl]ethyl]-N-[(E)-3-phenyl-2-propenoyl]amino]methyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'-biphenyl-3-carboxamide (II).  
 N-(2-aminoethyl)-3'-[[N-[4-(aminosulfonyl)benzoyl]-N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate and N-(2-aminoethyl)-3'-[[N-[4-[[[amino(imino)methyl]amino]methyl]benzoyl]-N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate showed IC<sub>50</sub> of 3 and 6 nM for inhibiting the binding of [<sup>125</sup>I]-somatostatin to CHO cell line expressing human somatostatin 5 receptor. A capsule and a tablet formulation contg. II were prepd.

IT **387872-05-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of biphenylcarboxamide compds. as GPR14 antagonists or somatostatin receptor regulators for therapeutic agents)

RN 387872-05-1 CAPLUS

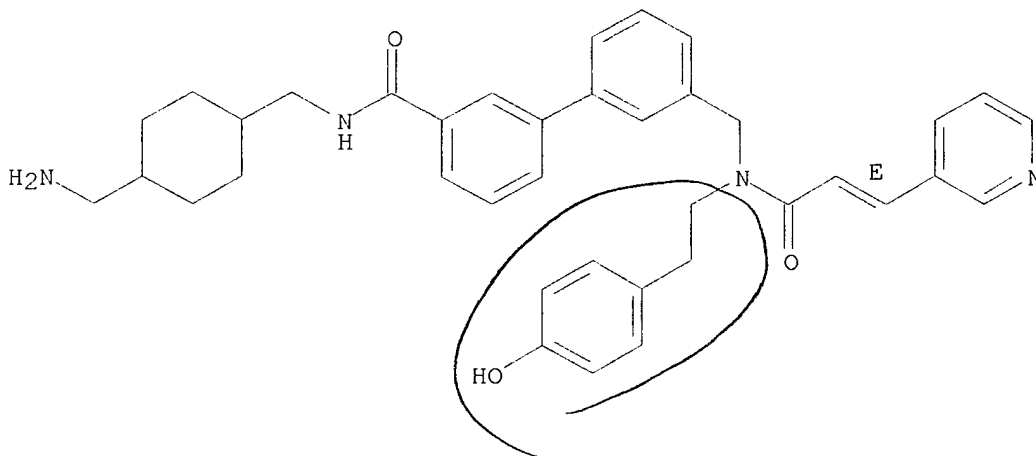
CN [1,1'-Biphenyl]-3-carboxamide, N-[[4-(aminomethyl)cyclohexyl]methyl]-3'-[[[2-(4-hydroxyphenyl)ethyl] [(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 387872-04-0

CMF C38 H42 N4 O3

Double bond geometry as shown.

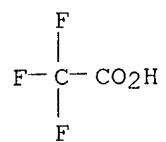


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/596,086



RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



09/596,086

~~L26~~ ANSWER 4 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AL~~ 2001:923644 CAPLUS

DN 136:58787

TI Enzyme-cleavable prodrug compounds

IN Nieder, Matthew H.; Dubois, Vincent; Gangwar, Sanjeev; Lobl, Thomas J.;  
Pickford, Leslie B.; Trouet, Andre; Yarranton, Geoffrey T.

PA Corixa Corporation, USA

SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001095945	A2	20011220	WO 2001-US18903	20010611
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	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,				
	RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,				
	VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-211887	P	20000614		
	US 2001-290448	P	20010511		

OS MARPAT 136:58787

AB The prodrug of the invention is a modified form of a therapeutic agent and comprises a therapeutic agent, an oligopeptide, a stabilizing group and, optionally, a linker group. The prodrug is cleavable by the enzyme, thimet oligopeptidase (TOP). Also disclosed are methods of designing prodrugs by utilizing TOP-cleavage sequences within the conjugate and methods of treating patients with prodrugs of the invention.

IT **381232-57-1DP**, drug conjugates **381232-75-3DP**, drug conjugates

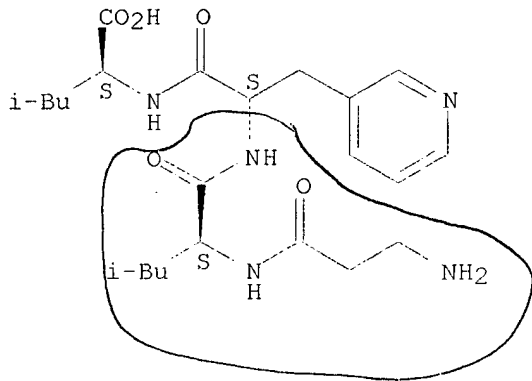
RL: PAC (Pharmacological activity); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(enzyme-cleavable prodrug compds.)

RN 381232-57-1 CAPLUS

CN L-Leucine, .beta.-alanyl-L-leucyl-3-(3-pyridinyl)-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

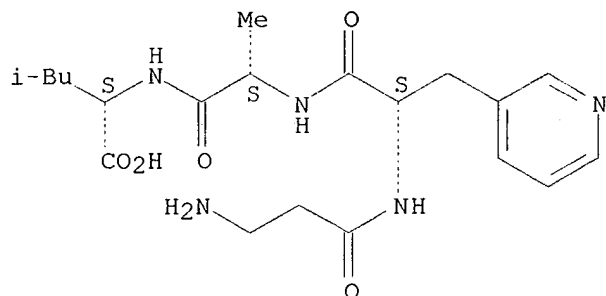


09/596,086

RN 381232-75-3 CAPLUS

CN L-Leucine, .beta.-alanyl-3-(3-pyridinyl)-L-alanyl-L-alanyl- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



~~122~~ ANSWER 5 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:851106 CAPLUS

DN 135:371998

TI Preparation of N-substituted peptidyl nitriles as cysteine cathepsin inhibitors

IN Cowen, Scott Douglas; Greenspan, Paul David; McQuire, Leslie Wighton; Tommasi, Ruben Alberto; Van Duzer, John Henry

PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SO PCT Int. Appl., 69 pp.  
CODEN: PIXXD2

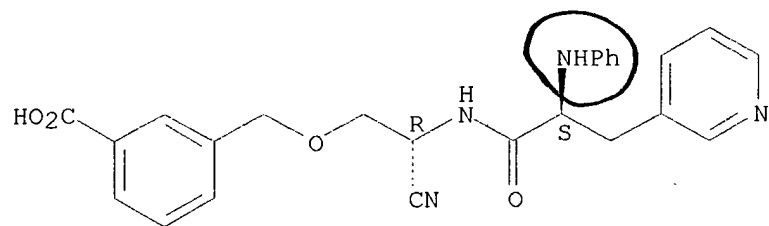
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001087828	A1	20011122	WO 2001-EP5463	20010514
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-204217	P	20000515		
OS	MARPAT 135:371998				
AB	Peptidyl nitriles R <sub>1</sub> NHCR <sub>2</sub> R <sub>3</sub> CONHCR <sub>4</sub> R <sub>5</sub> CN [R <sub>1</sub> is (bi)aryl; R <sub>2</sub> is (bi)aryl-lower alkyl, benzo-fused cycloalkyl, (bi)cycloalkyl-lower alkyl, aryloxy-lower alkyl, or aryl-C <sub>2</sub> -C <sub>7</sub> -alkyl in which C <sub>2</sub> -C <sub>7</sub> -alkyl is interrupted by Y (Y is O, S, SO, SO <sub>2</sub> , CO, NH or alkylimino); R <sub>3</sub> is H or lower alkyl or R <sub>2</sub> and R <sub>3</sub> combined are C <sub>2</sub> -C <sub>7</sub> -alkylene or -alkylene interrupted by Y; R <sub>4</sub> is H or lower alkyl; R <sub>5</sub> is H, optionally substituted lower alkyl, (bi)aryl-lower alkyl, (bi)cycloalkyl-lower alkyl, aryloxy-lower alkyl, or aryl-C <sub>2</sub> -C <sub>7</sub> -alkyl in which C <sub>2</sub> -C <sub>7</sub> -alkyl is interrupted by Y] or their pharmaceutically acceptable salts were prepd. as cysteine cathepsin inhibitors. Thus, N-[2-(3-carboxy-4-fluorobenzyloxy)-1(S)-cyanoethyl]-3-methyl-N.alpha.-phenyl-L-phenylalaninamide was prepd. by condensation of (S)-2-amino-3-[3-[[2-(trimethylsilyl)ethoxy]carbonyl]-4-fluorobenzyloxy]propionitrile with N.alpha.-phenyl-3-methyl-L-phenylalanine (syntheses given), followed by ester cleavage.				
IT	<b>374118-09-9P</b> RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N-substituted peptidyl nitriles as cysteine cathepsin inhibitors)				
RN	374118-09-9 CAPLUS				
CN	Benzoic acid, 3-[[[(2R)-2-cyano-2-[[[(2S)-1-oxo-2-(phenylamino)-3-(3-pyridinyl)propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



RE.CNT 1      THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~DOI~~ ANSWER 6 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:693271 CAPLUS

~~DN~~ 135:227248

TI Preparation of amino acid derivatives as HIV aspartyl protease inhibitors  
IN Stranix, Brent Richard; Sauve, Gilles; Bouzide, Abderrahim; Seigny, Guy; Yelle, Jocelyn

PA Pharmacor Inc., Can.

SO PCT Int. Appl., 158 pp.

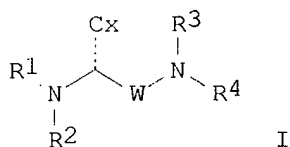
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068593	A2	20010920	WO 2001-CA296	20010307
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2000-526209	A	20000315		
OS	MARPAT 135:227248				
GI					



AB The invention relates to a class of amino acid derivs. I [W = (CH<sub>2</sub>)<sub>n</sub> or CH<sub>2</sub>-XX-CH<sub>2</sub>CH<sub>2</sub>, where n = 1-5, XX = O, NR<sub>5</sub> (R<sub>5</sub> = H, alkyl), S, SO, SO<sub>2</sub>; Cx = CO<sub>2</sub>M (M is an alkali or alk. earth metal), CO<sub>2</sub>R<sub>5</sub>, CH<sub>2</sub>OH, CONR<sub>5</sub>R<sub>6</sub> (R<sub>6</sub> = H, alkyl), CONHOH, Fmoc-Lys-NHCO (Fmoc = 9-fluorenylmethoxycarbonyl), benzyloxycarbonyl or tetrazolyl; R<sub>1</sub>, R<sub>3</sub> = H, Me<sub>3</sub>OC, alkyl, cycloalkylalkyl, arylalkyl or heterocyclylalkyl having a defined structure; R<sub>2</sub>, R<sub>4</sub> = H, CHO, CF<sub>3</sub>, acyl or sulfonyl groups (e.g., 4-PhCH<sub>2</sub>CH<sub>2</sub>CONHC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, camphor-10-CH<sub>2</sub>SO<sub>2</sub>, naphthyl-SO<sub>2</sub>, fluorenyl-SO<sub>2</sub>, and quinoline-SO<sub>2</sub>), arylalkyl of defined structure] or pharmaceutically acceptable ammonium salts having HIV aspartyl protease inhibitory properties. Thus, N.alpha.-isobutyl-N.alpha.-tosyl-N.epsilon.-Fmoc-L-lysine (II) was prepd. from N.epsilon.-benzyloxycarbonyl-L-lysine benzyl ester by N-alkylation using isobutyraldehyde, N-tosylation, hydrogenolysis, and protection with Fmoc-O-succinimide. Compd. II showed K<sub>i</sub> = 4.3 nM for inhibition of HIV aspartyl protease.

IT **359781-38-7P 359781-66-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

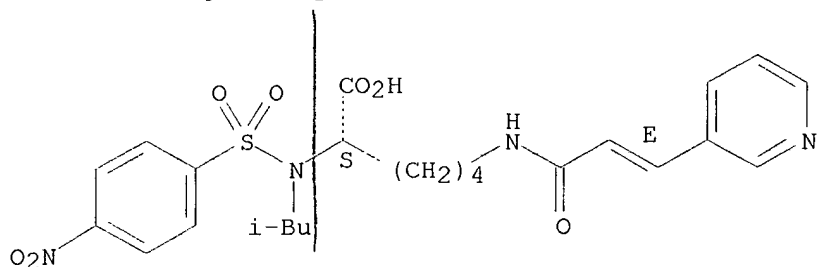
(prepn. of amino acid derivs. as HIV aspartyl protease inhibitors)

RN 359781-38-7 CAPLUS

09/596,086

CN L-Lysine, N2-(2-methylpropyl)-N2-[(4-nitrophenyl)sulfonyl]-N6-[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]- (9CI) (CA INDEX NAME)

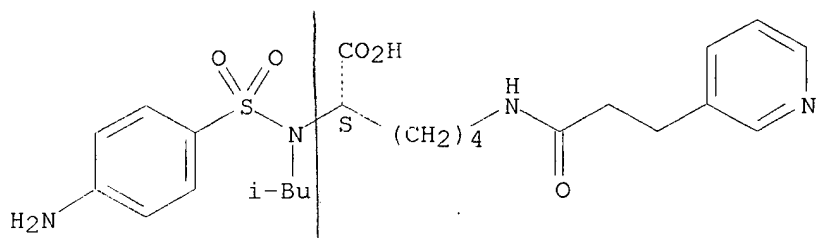
Absolute stereochemistry.  
Double bond geometry as shown.



RN 359781-66-1 CAPLUS

CN L-Lysine, N2-[(4-aminophenyl)sulfonyl]-N2-(2-methylpropyl)-N6-[1-oxo-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

ANSWER 7 OF 193 CAPLUS COPYRIGHT 2002 ACS

2001:429534 CAPLUS

135:33651

TI Preparation of peptides as efflux pump inhibitors

IN Chamberland, Suzanne; Lee, May; Leger, Roger; Lee, Ving J.; Renau, Thomas; Zhang, Zhijia J.

PA Microcide Pharmaceuticals, Inc., USA

SO U.S., 48 pp., Cont.-in-part of U.S. 6,114,310.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6245746	B1	20010612	US 1998-20001	19980204
	US 6114310	A	20000905	US 1998-12363	19980123
	WO 9937667	A1	19990729	WO 1999-US1422	19990122

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	AU 9923375	A1	19990809	AU 1999-23375	19990122
PRAI	US 1998-12363	A2	19980123		
	US 1998-20001	A	19980204		
	US 1998-89734	A	19980603		
	WO 1999-US1422	W	19990122		

OS MARPAT 135:33651

AB Compds. RCHW-CO-NR2-CHR1-M-P-S-X [M = (CH<sub>2</sub>)<sub>n</sub> (n = 0, 1, 2); P = CH<sub>2</sub>, CO, CS; S = NH, O, SO<sub>t</sub> (t = 0, 1, 2); R, R<sub>1</sub>, R<sub>2</sub> independently = alkyl, fluoroalkyl, aryl, thienyl, furyl, pyridyl, etc.; W = (.alpha.-aminoacyl)amido, aminoalkyl, NH<sub>2</sub>, (un)substituted azaheterocyclyl, OH, alkoxy, alkylthio, guanidino, amidino, or halogen; X = aryl, thienyl, furyl, pyridyl, indanyl, quinolyl, etc.] were prepd. as efflux pump inhibitors which increase the susceptibility of microbes to antimicrobial agents. In vitro microbiol. data for antibiotic potentiation are tabulated for 195 compds., including phenylalanyl-ornithine quinoline-3-amide.

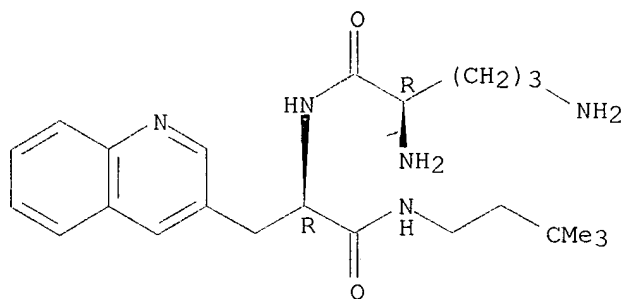
IT 233687-40-6P 233687-42-8P 233687-44-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of peptides as efflux pump inhibitors)

RN 233687-40-6 CAPLUS

CN D-Alaninamide, D-ornithyl-N-(3,3-dimethylbutyl)-3-(3-quinolinyl)- (9CI)  
(CA INDEX NAME)

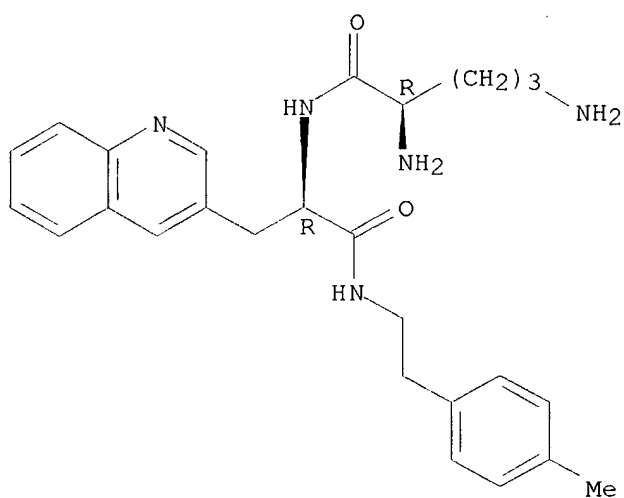
Absolute stereochemistry.



RN 233687-42-8 CAPLUS

CN D-Alaninamide, D-ornithyl-N-[2-(4-methylphenyl)ethyl]-3-(3-quinolinyl)-  
(9CI) (CA INDEX NAME)

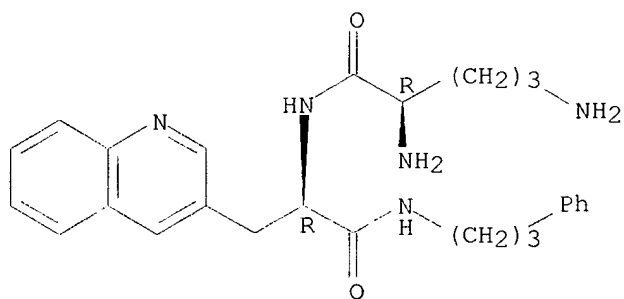
Absolute stereochemistry.



RN 233687-44-0 CAPLUS

CN D-Alaninamide, D-ornithyl-N-(3-phenylpropyl)-3-(3-quinolinyl)- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



RE.CNT 35

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



ANSWER 8 OF 193 CAPLUS COPYRIGHT 2002 ACS

2001:416903 CAPLUS

DN 135:33643

TI Preparation of 3-(2-aminoethylthio)methyl-4-oxo-4-(3-pyridyl)butanoic acid derivatives as neuroprotective agents

IN Bhagwat, Shripad; Palanki, Moorthy; Erdman, Paul; Doubleday, Mary; Sato, Hiroshi

PA Nippon Kayaku Co., Ltd., Japan

SO PCT Int. Appl., 119 pp.

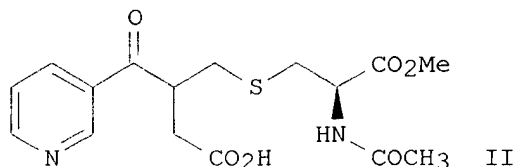
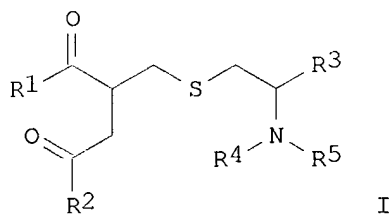
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001040187	A2	20010607	WO 2000-JP8090	20001116
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 1999-450245	A	19991129		
OS	MARPAT 135:33643				
GI					



AB The title compds. [I; R1 = (un)substituted alkyl, aryl, arylakyl, etc.; R2 = OR2a, NR2bR2c; R3 = H, :O, CO2R3a, etc.; R4 = H, (un)substituted alkyl, aryl, etc.; R3 and R4 taken together = (un)substituted heterocyclyl; R5 = H, (un)substituted alkyl; R2a = H, (un)substituted alkyl, aryl, etc.; R2b, R2c = H, (un)substituted alkyl, aryl, etc.; NR2bR2c = (un)substituted heterocyclyl; R3a = H, (un)substituted alkyl, aryl, etc.] which have utility in the treatment of conditions which benefit from administration

of neuroprotective agents generally, including treatment of central and peripheral nervous condition as well as for promoting nerve cell differentiation, were prepd. Thus, reacting 4-oxo-3-(piperidylmethyl)-4-(3-pyridyl)butanoic acid with Me N-acetyl-L-cysteine ester in EtOH afforded 85% (R)-II. Biol. data for compds. I were given.

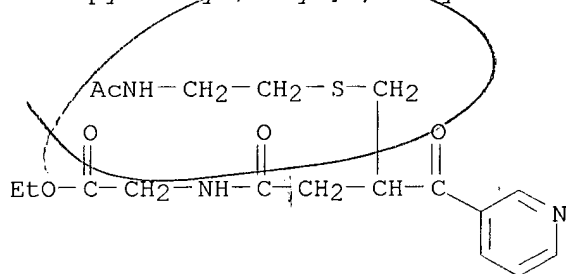
IT 343575-85-9P 343576-02-3P 343576-47-6P  
343576-50-1P 343576-51-2P 343577-50-4P  
343577-62-8P 343577-93-5P 343577-94-6P  
343577-96-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 3-(2-aminoethylthio)methyl-4-oxo-4-(3-pyridyl)butanoic acid derivs. as neuroprotective agents)

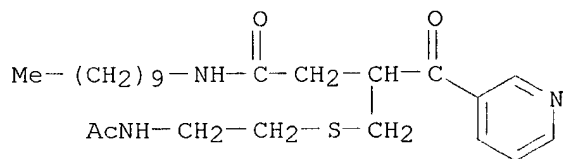
RN 343575-85-9 CAPLUS

CN Glycine, N-[3-[[[2-(acetylamino)ethyl]thio]methyl]-1,4-dioxo-4-(3-pyridinyl)butyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 343576-02-3 CAPLUS

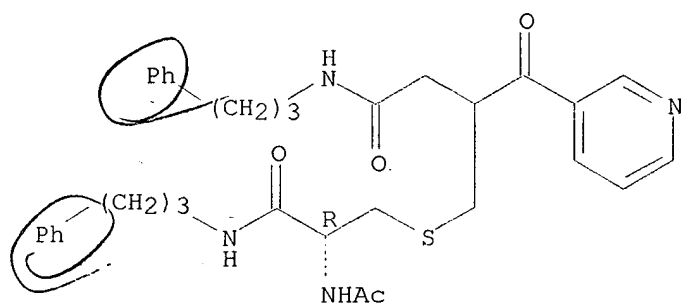
CN 3-Pyridinebutanamide, .beta.-[[[2-(acetylamino)ethyl]thio]methyl]-N-decyl-.gamma.-oxo- (9CI) (CA INDEX NAME)



RN 343576-47-6 CAPLUS

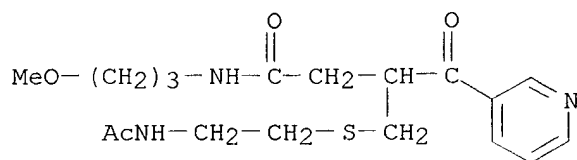
CN 3-Pyridinebutanamide, .beta.-[[[(2R)-2-(acetylamino)-3-oxo-3-[(3-phenylpropyl)amino]propyl]thio]methyl]-.gamma.-oxo-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



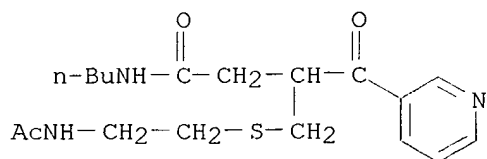
RN 343576-50-1 CAPLUS

CN 3-Pyridinebutanamide, .beta.-[[[2-(acetylamino)ethyl]thio]methyl]-N-(3-methoxypropyl)-.gamma.-oxo- (9CI) (CA INDEX NAME)



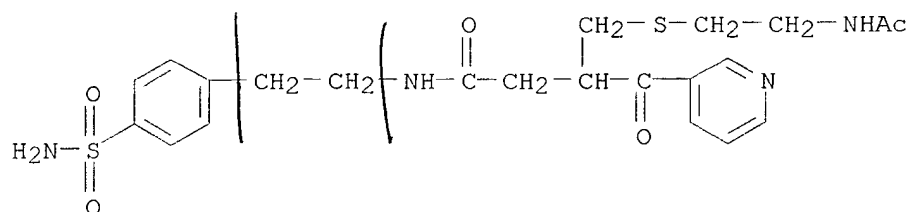
RN 343576-51-2 CAPLUS

CN 3-Pyridinebutanamide, .beta.-[[[2-(acetylamino)ethyl]thio]methyl]-N-butyl-.gamma.-oxo- (9CI) (CA INDEX NAME)



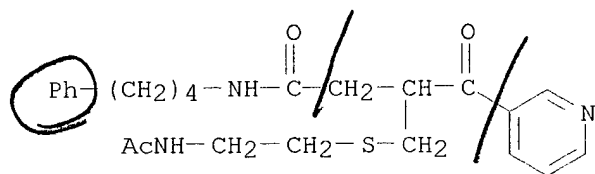
RN 343577-50-4 CAPLUS

CN 3-Pyridinebutanamide, .beta.-[[[2-(acetylamino)ethyl]thio]methyl]-N-[2-[4-(aminosulfonyl)phenyl]ethyl]-.gamma.-oxo- (9CI) (CA INDEX NAME)



RN 343577-62-8 CAPLUS

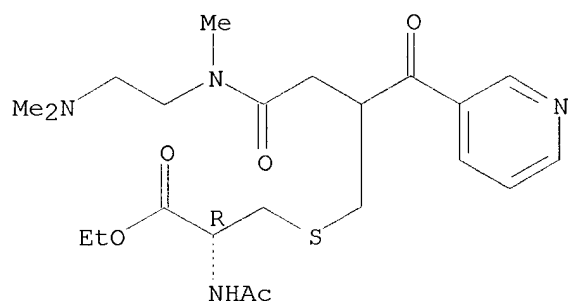
CN 3-Pyridinebutanamide, .beta.-[[[2-(acetylamino)ethyl]thio]methyl]-.gamma.-oxo-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)



RN 343577-93-5 CAPLUS

CN L-Cysteine, N-acetyl-S-[4-[[2-(dimethylamino)ethyl]methylamino]-4-oxo-2-(3-pyridinylcarbonyl)butyl]-, ethyl ester (9CI) (CA INDEX NAME)

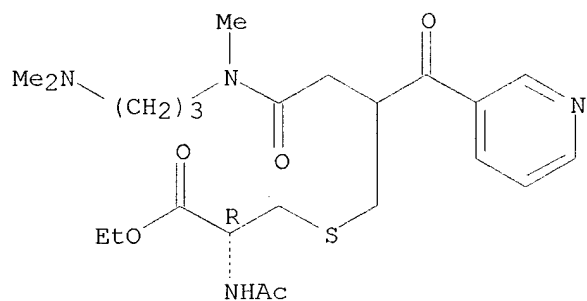
Absolute stereochemistry.



RN 343577-94-6 CAPLUS

CN L-Cysteine, N-acetyl-S-[4-[[3-(dimethylamino)propyl]methylamino]-4-oxo-2-(3-pyridinylcarbonyl)butyl]-, ethyl ester (9CI) (CA INDEX NAME)

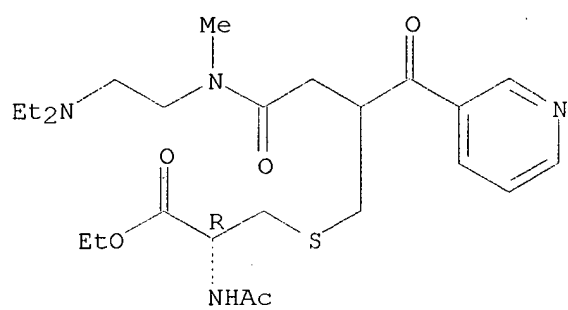
Absolute stereochemistry.



RN 343577-96-8 CAPLUS

CN L-Cysteine, N-acetyl-S-[4-[[2-(diethylamino)ethyl]methylamino]-4-oxo-2-(3-pyridinylcarbonyl)butyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~INT~~ ANSWER 9 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:380546 CAPLUS

DN 134:367194

TI Preparation of novel phenylalanine derivatives as .alpha.4-integrin inhibitors

IN Tanaka, Yasuhiro; Yoshimura, Toshihiko; Izawa, Hiroyuki; Ejima, Chieko; Kojima, Mitsuhiko; Atake, Yuko; Nakanishi, Eiji; Suzuki, Nobuyasu; Makino, Shingo; Suzuki, Manabu; Murata, Masahiro

PA Ajinomoto Co., Inc., Japan

SO PCT Int. Appl., 155 pp.

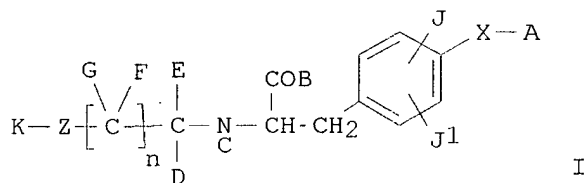
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001036376	A1	20010525	WO 2000-JP8152	20001120
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	JP 1999-328468	A	19991118		
	JP 2000-197139	A	20000629		
OS	MARPAT 134:367194				
GI					



AB Phenylalanine derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof [wherein X represents an interat. bond, O, OSO<sub>2</sub>, N-(un)substituted NH, NHCO, NHSO<sub>2</sub>, NHCONH, or NH(CS)NH, CO; Y and Z represent each CO, SO, or SO<sub>2</sub>; A represents a specific substituted Ph group or nitrogen-contg. heterocycle such as arom.-fused pyrimidinedione or pyrimidinone, 2,4- or 2,5-imidazolidinedione, or 5-imidazolone; C represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl; D and E represent each lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or D and E may be bonded to each other to form a ring optionally contg. 1 or 2 O, N, or S in the ring; F and G represent each hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or F and G may be bonded to each other

to form a ring; n is from 0 to 2; K represents OR7, NR7R8, NHNR7R8, SR7, or R7; R7 and R8 represents H, lower alkyl, etc.; and J and J' represent each hydrogen, halogeno, lower alkyl, lower alkoxy, or NO<sub>2</sub>] are prepd. These derivs. and analogs thereof show an .alpha.4 integrin inhibitory activity and are usable as remedies for various diseases relating to .alpha.4 integrin, such as inflammatory diseases related to .alpha.4 integrin-dependent adhesion process, arthritis, inflammatory intestinal diseases, systemic lupus erythematosus, multiple sclerosis, Sjogren syndrome, psoriasis, allergy, diabetes, cardiovascular diseases, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis, or transplant rejection. Thus, O-(2,6-dichlorobenzyl)-L-tyrosine bound to Wang resin was allowed to react with diethylmalonic acid, HOAt, 2-dimethylaminoisopropyl chloride hydrochloride (DIC), and N-methyl-2-pyrrolidinone (NMP) at room temp. for 16 h, washed with DMF five times, and condensed with pyrroline using HOAt, DIC, and NMP, followed by oxidn. with OsO<sub>4</sub> in dioxane at room temp. for 16 and resin-cleavage in aq. CF<sub>3</sub>CO<sub>2</sub>H to give N-[2-[(cis-2,4-dihydroxypyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-O-(2,6-dichlorobenzyl)-L-tyrosine (II). II and N-[2-[(pyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-4-(2,6-dichlorobenzoylamino)-L-phenylalanine inhibited the binding of human recombinant VCAM-1 to human B lymphoma cell line expressing integrin.alpha.4.beta.7 with IC<sub>50</sub> of .ltoreq.0.02 .mu.mol/L.

IT **340718-63-0P**

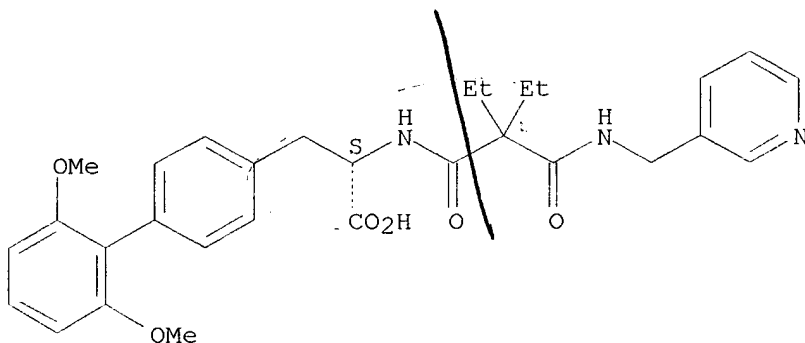
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel phenylalanine derivs. as .alpha.4-integrin inhibitors)

RN 340718-63-0 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[2-ethyl-1-oxo-2-[[3-pyridinylmethyl)amino]carbonyl]butyl]amino]-2',6'-dimethoxy-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

1.22 ANSWER 10 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2001:265421 CAPLUS

DN 134:280844

TI Preparation of imidazoisoquinolinones as inhibitors of tyrosine kinases

IN Snow, Roger John; Cardozo, Mario Gustavo; Goldberg, Daniel; Hammach, Abdelhakim; Morwick, Tina; Moss, Neil; Patel, Usha R.; Prokopowicz, Anthony S., III; Takahashi, Hidenori; Tschantz, Matt Aaron; Wang, Xiao-jun

PA Boehringer Ingelheim Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2001025238 A2 20010412 WO 2000-US27444 20001005

WO 2001025238      A3      20011025

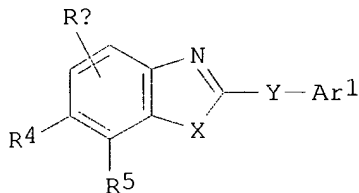
W: CA, JP, MX

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE

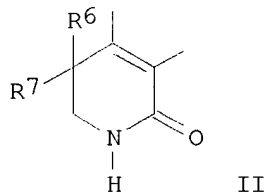
PRAI US 1999-157922 P 19991006

OS MARPAT 134:280844

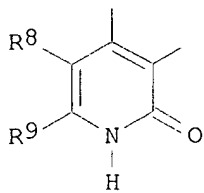
GI



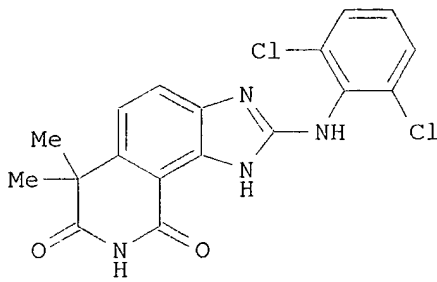
I



II



III



IV

AB The title compds. [I; Ar1 = (un)substituted (non)arom. carbocyclyl, heteroaryl, heterocyclyl; X = NH, N(alkyl), O, etc.; Y = NR15, S, O; Ra = H, alkyl, alkenyl, etc.; R4 and R5 together with the atoms to which they are attached = II, III (wherein R6 = alkyl, H; R7 = alkyl, H; R8 = H, alkyl, etc.; R9 = H, CN, etc.)], useful as inhibitors of certain protein tyrosine kinases and are thus useful for treating diseases assocd. with



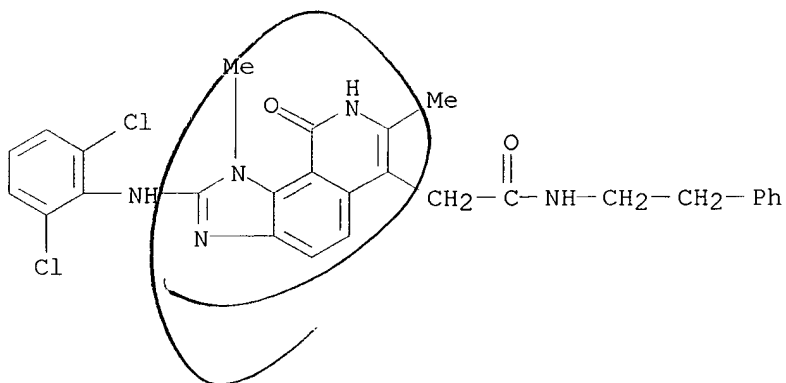
such kinases, for example, diseases resulting from inappropriate cell proliferation, which include autoimmune diseases, chronic inflammatory diseases, allergic diseases, transplant rejection and cancer, were prepd. E.g., a multi-step synthesis of the imidazoisoquinolinedione IV was given. All exemplified compds. I were evaluated in the tyrosine kinase assay using a kinase such a p56lck and were found to have IC50's less than 10 .mu.M.

IT **333455-73-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of imidazoisoquinolinones as inhibitors of tyrosine kinases)

RN 333455-73-5 CAPLUS

CN 1H-Imidazo[4,5-h]isoquinoline-6-acetamide, 2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,7-dimethyl-9-oxo-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



~~DOI~~ ANSWER 11 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:265242 CAPLUS

DN 134:295624

TI Preparation of benzene derivatives as preventive or therapeutic drugs for diabetes

IN Yano, Toshisada; Sakaguchi, Isako; Katsuura, Goro

PA Shionogi & Co., Ltd., Japan

SO PCT Int. Appl., 126 pp.

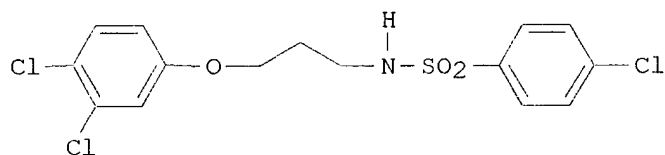
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001024786	A1	20010412	WO 2000-JP2992	20000510
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	JP 1999-132375	A	19990513		
OS	MARPAT 134:295624				
GI					



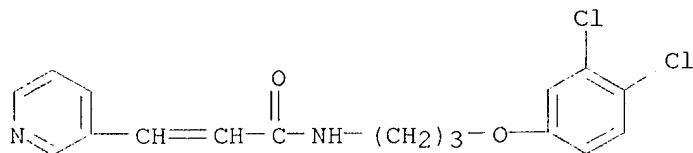
AB Title compds.  $[A(CH_2)_mX_1(CH_2)_nX_2B]$ ; A = aryl, heteroaryl; B = alkyl, aryl;  $X_1 = O, S, NR$ ; R = H, alkyl;  $X_2 = NHCO, CONH, NHCONH, SO_2, NHSO_2$ ; m = 0, 1, 2, 3; n = 2, 3, 4, 5] are prepd. and are useful as preventive or therapeutic drugs for diabetes. Thus, the title compd. I was prepd. and biol. tested.

IT **333798-38-2P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of benzene derivs. as antidiabetic agents)

RN 333798-38-2 CAPLUS

CN 2-Propenamide, N-[3-(3,4-dichlorophenoxy)propyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



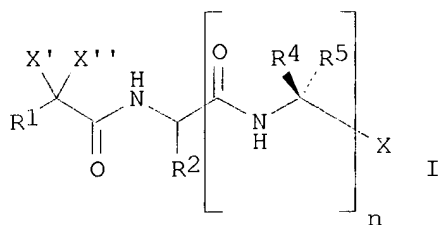
09/596,086

RE.CNT 6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 12 OF 193 CAPLUS COPYRIGHT 2002 ACS  
 AN 2001:241759 CAPLUS  
 DN 134:252661  
 TI Preparation of peptides for inhibiting .beta.-amyloid peptide release and/or its synthesis  
 IN Wu, Jing; Tung, Jay S.; Thorsett, Eugene D.; Reel, Jon K.; Porter, Warren J.; Nissen, Jeffrey S.; Mabry, Thomas E.; Latimer, Lee H.; John, Varghese; Folmer, Beverly K.; Droste, James J.; Britton, Thomas C.; Audia, James E.  
 PA Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company  
 SO U.S., 135 pp., Cont.-in-part of U.S. Ser. No. 976,289.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6211235	B1	20010403	US 1998-164448	19980930
	US 6191166	B1	20010220	US 1997-976289	19971121
PRAI	US 1996-108166	P	19961122		
	US 1997-108161	P	19970228		
	US 1997-64859	P	19970228		
	US 1997-98558	P	19970228		
	US 1997-976289	A2	19971121		
	US 1997-698556	P	19970228		
OS	MARPAT 134:252661				
GI					



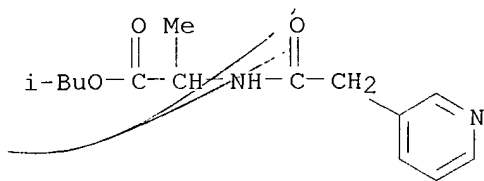
AB Compds. I [R1 = substituted aryl, heteroaryl, alkyl, alkenyl, or alkynyl, cycloalkenyl, heterocyclyl; R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, or heterocyclyl; R4 = H, cycloalkenyl, or any group given for R2; R5 = H, Me or together with R4 forms a cycloalkyl group of 3-6 carbon atoms; X = C(O)Y or C(S)Y, where Y = alkyl, cycloalkyl, alkoxy, hydroxy, aryl, heteroaryl, heterocyclyl, an amino group, alkylsulfonylamino, etc.; X', X'' = H, OH, F or X' and X'' together form an oxo group; n = 1 or 2] were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Thus, Me N-[N-[(3,5-difluorophenyl)acetyl]-L-alanyl]-(S)-2-aminohexanoate was prepd. by coupling of N-[(3,5-difluorophenyl)acetyl]-L-alanine with norleucine Me ester hydrochloride. Compds. of the invention reduced .beta.-amyloid peptide prodn. by at least 30% as compared to the control.

IT **208116-34-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of peptides for inhibiting .beta.-amyloid peptide release and/or its synthesis)

RN 208116-34-1 CAPLUS  
 CN Alanine, N-(3-pyridinylacetyl)-, 2-methylpropyl ester (9CI) (CA INDEX)

09/596,086

NAME)



IT 208255-71-4P

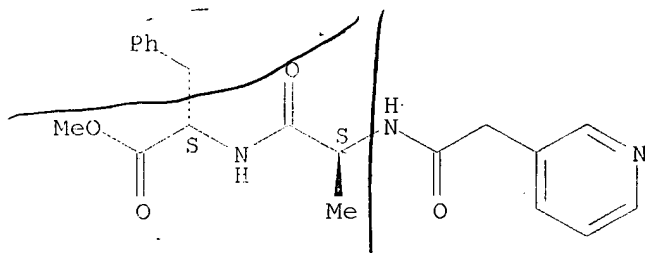
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides for inhibiting .beta.-amyloid peptide release and/or its synthesis)

RN 208255-71-4 CAPLUS

CN L-Phenylalanine, N-(3-pyridinylacetyl)-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

1222 ANSWER 13 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2001:222012 CAPLUS

DN 134:252659

TI Preparation of peptides for inhibiting .beta.-amyloid peptide release and/or its synthesis

IN Audia, James E.; Britton, Thomas C.; Droste, James J.; Folmer, Beverly K.; Huffman, George W.; John, Varghese; Latimer, Lee H.; Mabry, Thomas E.; Nissen, Jeffrey S.; Porter, Warren J.; Reel, Jon K.; Thorsett, Eugene D.; Tung, Jay S.; Wu, Jing

PA Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company

SO U.S., 122 pp., Cont.-in-part of U.S. Ser. No. 976,289.

CODEN: USXXAM

DT Patent

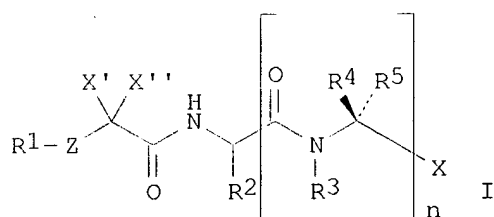
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6207710	B1	20010327	US 1998-164385	19980930
	US 6191166	B1	20010220	US 1997-976289	19971121
PRAI	US 1996-108166	P	19961122		
	US 1997-108161	P	19970228		
	US 1997-64859	P	19970228		
	US 1997-98558	P	19970228		
	US 1997-976289	A2	19971121		
	US 1997-698556	P	19970228		

OS MARPAT 134:252659

GI



AB Compds. I [R1 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, or heterocyclyl; R2 = H, (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, or heterocyclyl; R3 = H, Me or R3 together with R4 can be fused to form a cyclic structure of 3-8 atoms which is optionally fused with an aryl or heteroaryl group; R4 = H, (un)substituted alkyl, alkenyl, or alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, or heterocyclyl; R5 = H, Me or together with R4 forms a cycloalkyl group of 3-6 carbon atoms; X = substituted Me group, C(O)Y or C(S)Y, where Y = alkyl, cycloalkyl, alkoxy, hydroxy, aryl, heteroaryl, heterocyclyl, an amino group, alkylsulfonylamino, etc.; X', X'' = H, OH, F or X' and X'' together form an oxo group; Z is a bond, O, or S; n = 1 or 2] or their pharmaceutically acceptable salts were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Thus, Me N-[N-[(3,5-difluorophenyl)acetyl]-L-alanyl]-(S)-2-aminohehexanoate was prepd. by coupling of N-[(3,5-difluorophenyl)acetyl]-L-alanine with norleucine Me ester hydrochloride. Compds. of the invention inhibit .beta.-amyloid peptide prodn. by at least 30% as compared to the control.

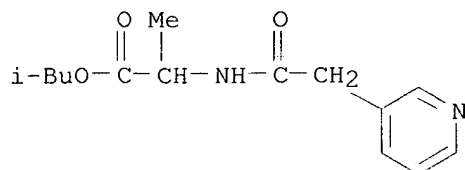
IT 208116-34-1P

09/596,086

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of peptides for inhibiting .beta.-amyloid peptide release  
and/or its synthesis)

RN 208116-34-1 CAPLUS

CN Alanine, N-(3-pyridinylacetyl)-, 2-methylpropyl ester (9CI) (CA INDEX  
NAME)



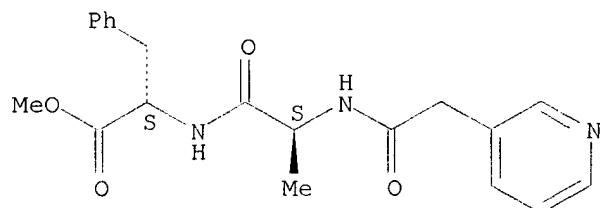
IT 208255-71-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
study); PREP (Preparation); USES (Uses)  
(prepn. of peptides for inhibiting .beta.-amyloid peptide release  
and/or its synthesis)

RN 208255-71-4 CAPLUS

CN L-Phenylalanine, N-(3-pyridinylacetyl)-L-alanyl-, methyl ester (9CI) (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L22~~ ANSWER 14 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~IN~~ 2001:208246 CAPLUS

~~DN~~ 134:237830

TI Preparation of amino acid cyanomethyl amides as cathepsin S inhibitors

IN Graupe, Michael; Link, John O.; Patterson, John W.; Zipfel, Sheila

PA Axys Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 261 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

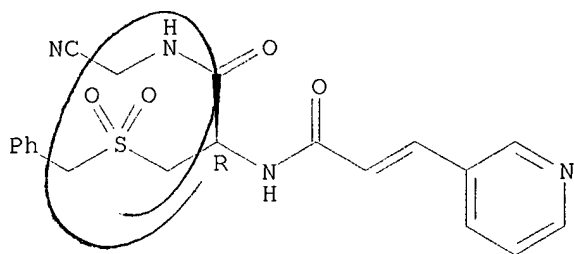
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001019796	A1	20010322	WO 2000-US25415	20000915
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	CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				
	HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,				
	LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				
	SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,				
	YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				
	CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 1999-154245	P	19990916		
	US 1999-171831	P	19991222		
	US 2000-224552	P	20000810		
OS	MARPAT 134:237830				
AB	R4NHCH(X1SO2X2R3)CONHCR1R2CN [X1, X2 = CH2, or X1 = CH2CH2 and X2 = bond; R1 = H, R2 = cyano, heteroaryl, alkylheteroaryl, or R1, R2 = H, halo, alkyl, X3OR9; R1R2C = cycloalkylene, heterocycloalkylene; R3 = (substituted) CHR5:CHR6, CR7:NR8; R5R6 = atoms to form alkenyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, etc.; R7R8 = atoms to form heterocycloalkenyl, heteroaryl, heterobicycloaryl; R4 = COX4R11, SO2X4R11; X4 = bond, O, NR12; R12 = H, alkyl; R11 = (substituted) alkyl, cycloalkylalkyl, heterocycloalkylalkyl, etc.; R9 = H, alkyl, haloalkyl; X3 = bond, alkylene], were prepd. Thus, 2R-benzoylamino-3-(4-methylbenzylsulfanyl)propionic acid (prepn. given), EDCI, HOBT, aminoacetonitrile bisulfate, and N-methylmorpholine were stirred together in N-methylpyrrolidinone for 5 h to give N-[1R-cyanomethylcarbamoyle-2-(4-methylbenzylsulfanyl)ethyl]benzamide. This was stirred with oxone in MeOH for 16 h to give N-[(R)-1-(cyanomethylcarbamoyle)-2-p-tolylmethanesulfonyl]ethyl]benzamide. Title compds. inhibited cathepsin S with Ki = about 10 <sup>-10</sup> M to 10 <sup>-4</sup> M.				
IT	<b>330473-88-6P</b>				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of amino acid cyanomethyl amides as cathepsin S inhibitors)				
RN	330473-88-6 CAPLUS				
CN	2-Propenamide, N-[(1R)-2-[(cyanomethyl)amino]-2-oxo-1-[[[(phenylmethyl)sulfonyl]methyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)]				

Absolute stereochemistry.

Double bond geometry unknown.



09/596,086



RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

12 2 143  
 22 ANSWER 15 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2001:131208 CAPLUS

DN 134:193740

TI Preparation of peptides for inhibiting .beta.-amyloid peptide release and/or its synthesis

IN Audia, James E.; Britton, Thomas C.; Droste, James J.; Folmer, Beverly K.; Huffman, George W.; Varghese, John; Latimer, Lee H.; Mabry, Thomas E.; Nissen, Jeffrey S.; Porter, Warren J.; Reel, Jon K.; Thorsett, Eugene D.; Tung, Jay S.; Wu, Jing; Eid, Clark Norman; Scott, William Leonard

PA Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company

SO U.S., 121 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6191166	B1	20010220	US 1997-976289	19971121
	US 6207710	B1	20010327	US 1998-164385	19980930
	US 6211235	B1	20010403	US 1998-164448	19980930
PRAI	US 1996-108166	P	19961122		
	US 1997-108161	P	19970228		
	US 1997-64859	P	19970228		
	US 1997-698556	P	19970228		
	US 1997-98558	P	19970228		
	US 1997-976289	A2	19971121		

OS MARPAT 134:193740

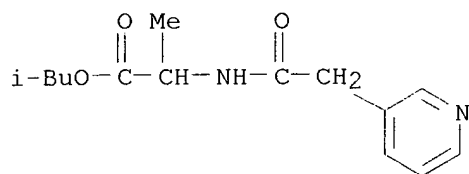
AB Compds. R1ZCX'X''CONHCHR2(CONR3CR4R5)n-X [R1 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, or heterocyclyl; R2 = H, (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, or heterocyclyl; R3 = H, Me or R3 together with R4 can be fused to form a cyclic structure of 3-8 atoms which is optionally fused with an aryl or heteroaryl group; R4 = H, (un)substituted alkyl, alkenyl, or alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, or heterocyclyl; R5 = H, Me or together with R4 forms a cycloalkyl group of 3-6 carbon atoms; X = C(O)Y or C(S)Y, where Y = alkyl, cycloalkyl, alkoxy, hydroxy, aryl, heteroaryl, heterocyclyl, an amino group, alkylsulfonylamino, hydroxymethyl, etc.; X', X'' = H, OH, F or X' and X'' together form an oxo group; Z is a bond, O, or S; n = 1 or 2] or their pharmaceutically acceptable salts were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Thus, Me N-[N-[(3,5-difluorophenyl)acetyl]-L-alanyl]-(S)-2-aminohexanoate was prepd. by coupling of N-[(3,5-difluorophenyl)acetyl]-L-alanine with norleucine Me ester hydrochloride. Compds. of the invention inhibit .beta.-amyloid peptide prodn. by at least 30% as compared to the control.

IT 208116-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of peptides for inhibiting .beta.-amyloid peptide release and/or its synthesis)

RN 208116-34-1 CAPLUS

CN Alanine, N-(3-pyridinylacetyl)-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



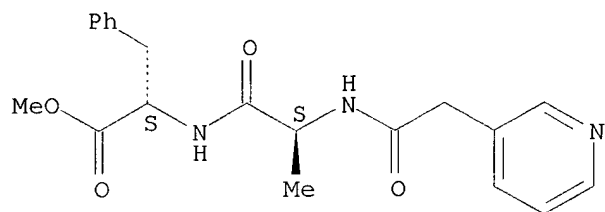
IT 208255-71-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of peptides for inhibiting .beta.-amyloid peptide release and/or its synthesis)

RN 208255-71-4 CAPLUS

CN L-Phenylalanine, N-(3-pyridinylacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~22~~ ANSWER 16 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:75296 CAPLUS

DN 134:131815

TI Preparation of peptidyl compounds as inhibitors of matrix metalloproteinases and TNF

IN Montana, John; Baxter, Andrew Douglas; Owen, David Alan; Watson, Robert John; Phillipson, Neil

PA Darwin Discovery, Ltd., UK

SO U.S., 28 pp., Cont. of U.S. 5,994,312.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6180611	B1	20010130	US 1999-315279	19990520
	ZA 9508396	A	19961007	ZA 1995-8396	19951005
	US 5853623	A	19981229	US 1996-644383	19960510
	US 5994312	A	19991130	US 1998-124877	19980730
PRAI	GB 1994-20057	A	19941005		
	GB 1995-4907	A	19950310		
	GB 1995-9431	A	19950310		
	US 1995-539578	B1	19951005		
	US 1996-644383	A1	19960510		
	US 1998-124877	A1	19980730		
	US 1998-144746	B2	19980901		
	GB 1993-23165	A	19931110		

OS MARPAT 134:131815

AB Peptidyl compds. R7SCHR8CONHCHR1CONR2CHR3COX [R1 = (un)substituted alkyl, alkenyl, alkylaryl, aryl, alkylheteroaryl, heteroaryl; R2 = H, alkyl; R3 is (Alk)<sub>n</sub>R6, where Alk is alkyl or alkenyl, n is zero or 1, R6 is alkyl, cycloalkyl, aryl, etc.; X is an amino group; R7 = H, acyl group; R8 = (un)substituted aryl, heteroaryl, alkyl, alkylaryl, alkylheteroaryl, cycloalkyl, cycloalkenyl, alkylcycloalkyl, etc.] or their salts were prep'd. as inhibitors of matrix metalloproteinases and TNF. Thus, substitution reaction of 2,3-dibromopropionic acid with thiolacetic acid and coupling with L-leucyl-L-phenylalanine N-methylamide afforded (RS)-N-[2,3-bis(acetylmercapto)propanoyl]-L-leucyl-L-phenylalanine N-methylamide. Compds. of the invention have IC<sub>50</sub> values below 50 nM against the MMP enzymes and/or in the whole cell assay of TNF inhibition.

IT **178933-48-7P**

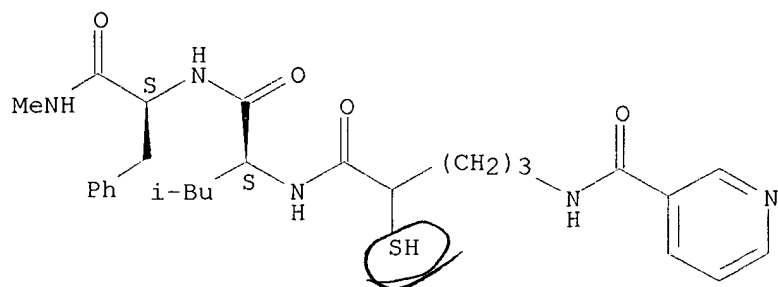
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptidyl compds. as inhibitors of matrix metalloproteinases and TNF)

RN 178933-48-7 CAPLUS

CN L-Phenylalaninamide, N-[2-mercapto-1-oxo-5-[(3-pyridinylcarbonyl)amino]pentyl]-L-leucyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



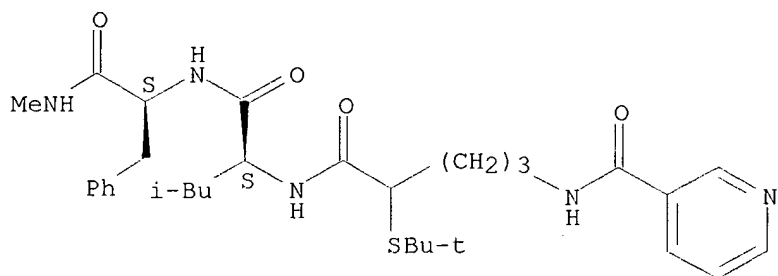
IT 178932-50-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of peptidyl compds. as inhibitors of matrix metalloproteinases  
and TNF)

RN 178932-50-8 CAPLUS

CN L-Phenylalaninamide, N-[2-[(1,1-dimethylethyl)thio]-1-oxo-5-[(3-  
pyridinylcarbonyl)amino]pentyl]-L-leucyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 17 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:909218 CAPLUS

DN 134:56963

TI Preparation of pyridyl peptide amides as calcium channel blockers

IN Hu, Lain-yen; Rafferty, Michael Francis; Ryder, Todd Robert; Sercel, Anthony Denver; Song, Yuntao

PA Warner-Lambert Co., USA

SO U.S., 16 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6166052	A	20001226	US 1999-264193	19990308
PRAI	US 1998-77522	P	19980311		

OS MARPAT 134:56963

AB Peptide amides R1R2NCR3(CH2C6H4N)CONR5CR6(CH2C6H4-Y-Z)CONHBu-t [chiral centers at CR3 and CR6; C6H4N = pyridyl residue; R1, R2 = H, OH, alkoxy, cycloalkyl(CH2)n, (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, or aryl(CH2)n (n = 0-5), provided that R1 .noteq. R2 = H; R3, R5, R6 = H, alkyl; Y = (CH2)n, O(CH2)n, NR7(CH2)n, (CH2)nNR7, S(CH2)n, (CH2)nS, CH:CH, C.tplbond.C, where R7 = H, Me, Et; Z = aryl, alkyl, (un)substituted cycloalkyl] were prepd. as calcium channels blockers. Thus, [S-(R\*,R\*)]-N-[2-(4-benzyloxyphenyl)-1-(tert-butylcarbamoyl)ethyl]-2-(3-methylbutylamino)-3-(pyridin-4-yl)propionamide was prepd. from N-Boc-O-benzyl-L-tyrosine (Boc = tert-butoxycarbonyl), tert-butylamine, N-Boc-L-4-pyridylalanine, and isovaleraldehyde and studied for effect of drug concn. on calcium uptake in vitro (IC50 = 0.4 .mu.M).

IT 277753-27-2P 314081-30-6P 314081-66-8P  
 314081-68-0P 314081-70-4P 314081-72-6P  
 314081-74-8P 314081-76-0P 314081-78-2P  
 314081-80-6P 314081-86-2P 314081-89-5P  
 314081-91-9P 314081-97-5P 314081-99-7P  
 314082-16-1P 314082-18-3P

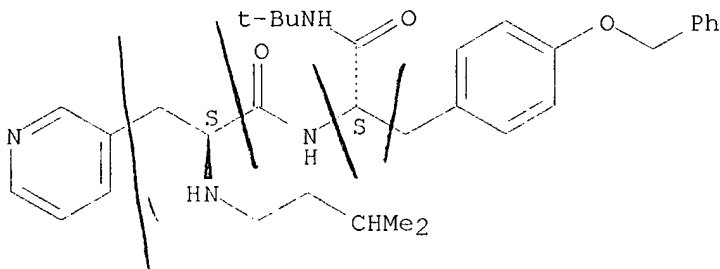
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridyl peptide amides as calcium channel blockers)

RN 277753-27-2 CAPLUS

CN L-Tyrosinamide, N-(3-methylbutyl)-3-(3-pyridinyl)-L-alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

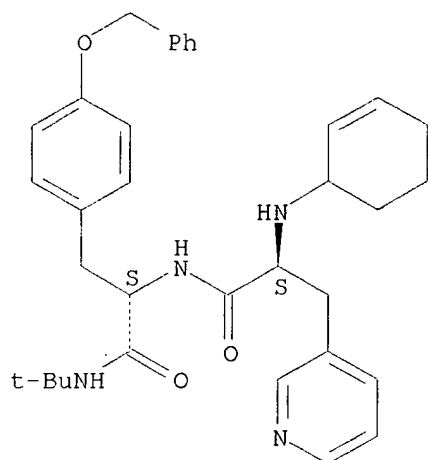
Absolute stereochemistry.



RN 314081-30-6 CAPLUS

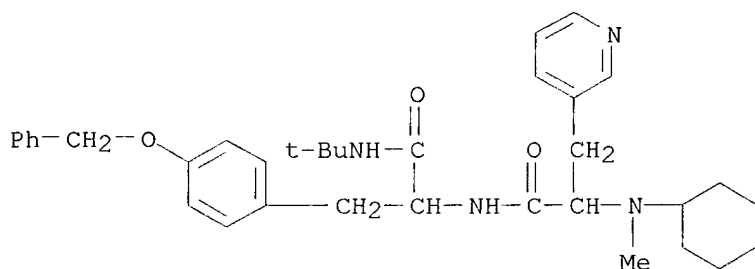
CN L-Tyrosinamide, N-2-cyclohexen-1-yl-3-(3-pyridinyl)-L-alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



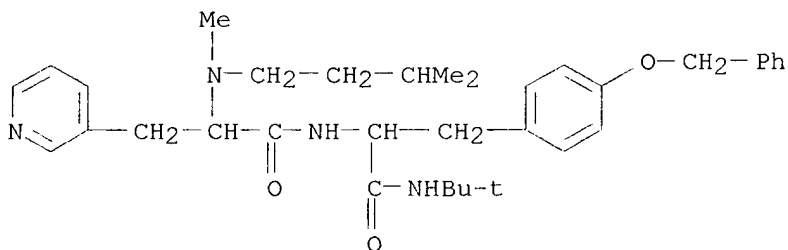
RN 314081-66-8 CAPLUS

CN Tyrosinamide, N-cyclohexyl-N-methyl-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



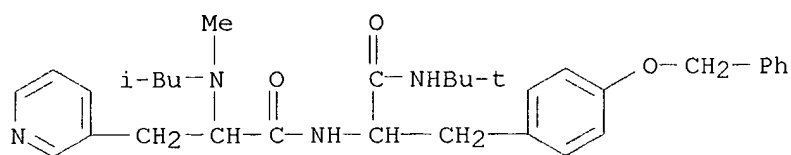
RN 314081-68-0 CAPLUS

CN Tyrosinamide, N-methyl-N-(3-methylbutyl)-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



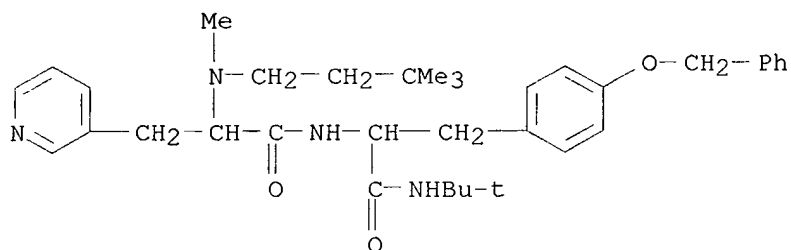
RN 314081-70-4 CAPLUS

CN Tyrosinamide, N-methyl-N-(2-methylpropyl)-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



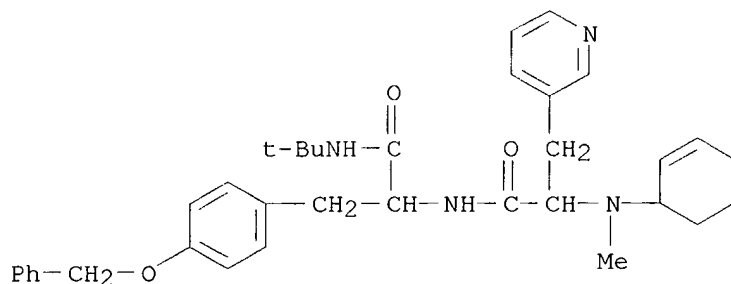
RN 314081-72-6 CAPLUS

CN Tyrosinamide, N-(3,3-dimethylbutyl)-N-methyl-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



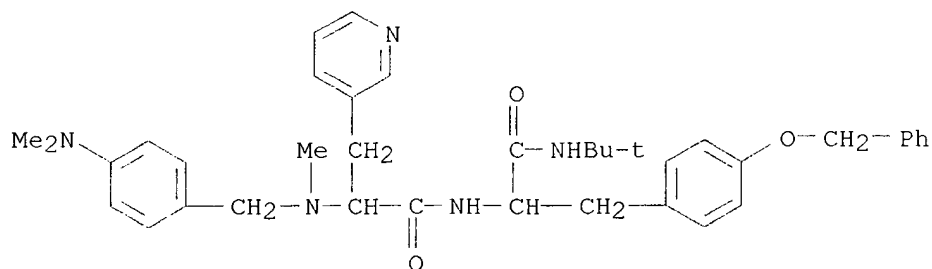
RN 314081-74-8 CAPLUS

CN Tyrosinamide, N-(2-cyclohexen-1-yl)-N-methyl-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 314081-76-0 CAPLUS

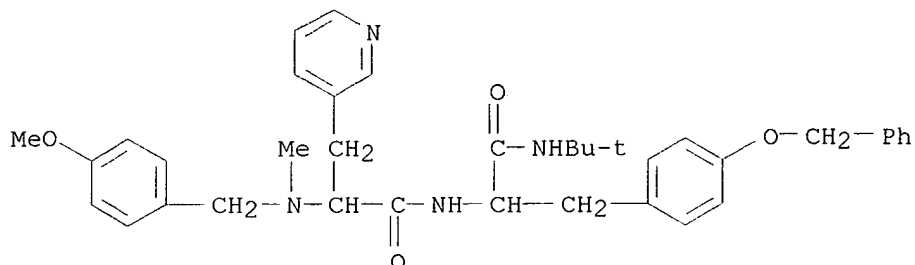
CN Tyrosinamide, N-[[4-(dimethylamino)phenyl]methyl]-N-methyl-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)





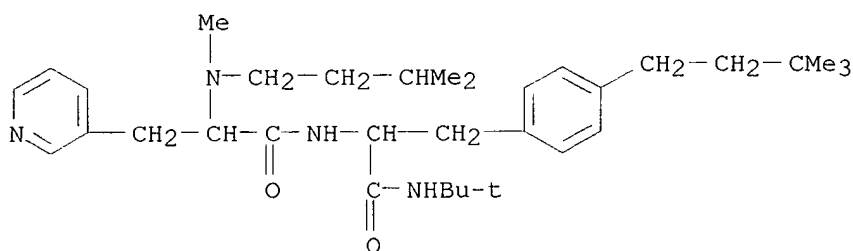
RN 314081-78-2 CAPLUS

CN Tyrosinamide, N-[(4-methoxyphenyl)methyl]-N-methyl-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



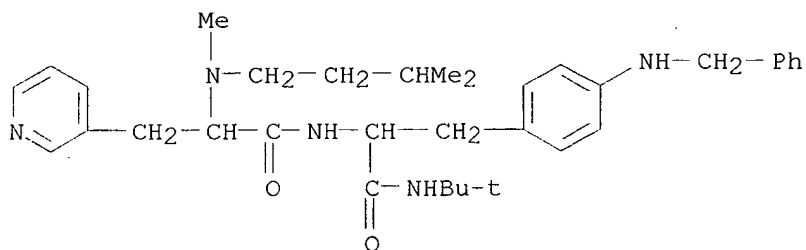
RN 314081-80-6 CAPLUS

CN Phenylalaninamide, N-methyl-N-(3-methylbutyl)-3-(3-pyridinyl)alanyl-4-(3,3-dimethylbutyl)-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



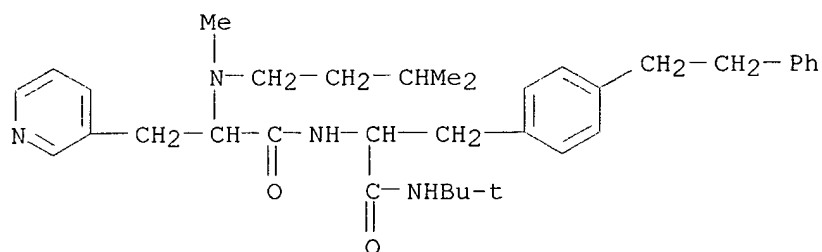
RN 314081-86-2 CAPLUS

CN Phenylalaninamide, N-methyl-N-(3-methylbutyl)-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-4-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



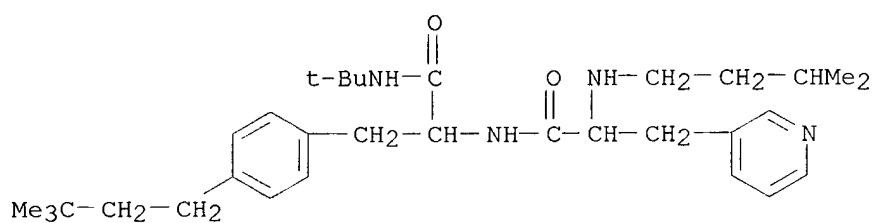
RN 314081-89-5 CAPLUS

CN Phenylalaninamide, N-methyl-N-(3-methylbutyl)-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)



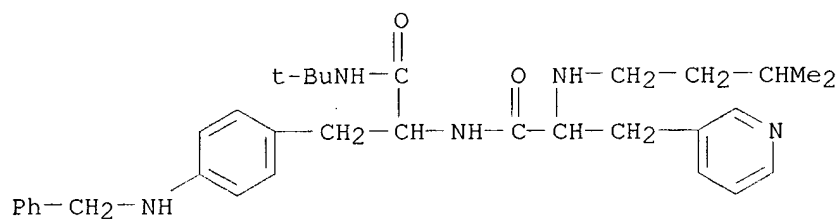
RN 314081-91-9 CAPLUS

CN Phenylalaninamide, N-(3-methylbutyl)-3-(3-pyridinyl)alanyl-4-(3,3-dimethylethyl)-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



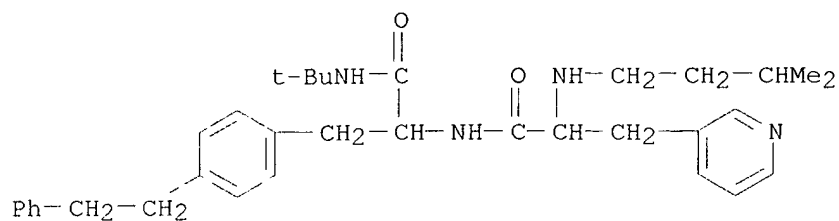
RN 314081-97-5 CAPLUS

CN Phenylalaninamide, N-(3-methylbutyl)-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-4-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 314081-99-7 CAPLUS

CN Phenylalaninamide, N-(3-methylbutyl)-3-(3-pyridinyl)alanyl-N-(1,1-dimethylethyl)-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

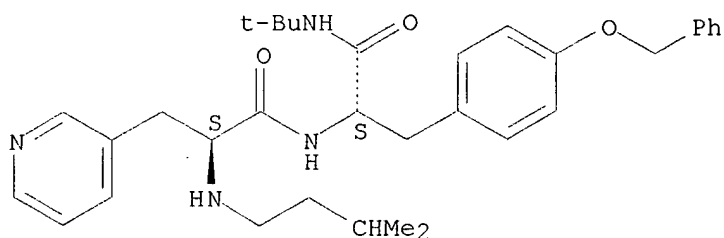


RN 314082-16-1 CAPLUS

09/596,086

CN L-Tyrosinamide, N-(3-methylbutyl)-3-(3-pyridinyl)-L-alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

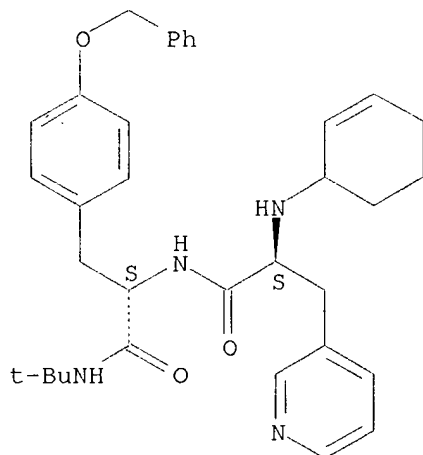


● 2 HCl

RN 314082-18-3 CAPLUS

CN L-Tyrosinamide, N-2-cyclohexen-1-yl-3-(3-pyridinyl)-L-alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

IT 314082-08-1P 314082-17-2P

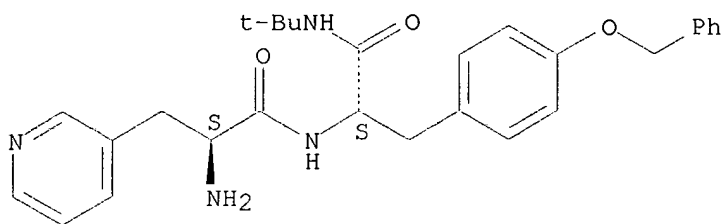
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of pyridyl peptide amides as calcium channel blockers)

RN 314082-08-1 CAPLUS

CN L-Tyrosinamide, 3-(3-pyridinyl)-L-alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

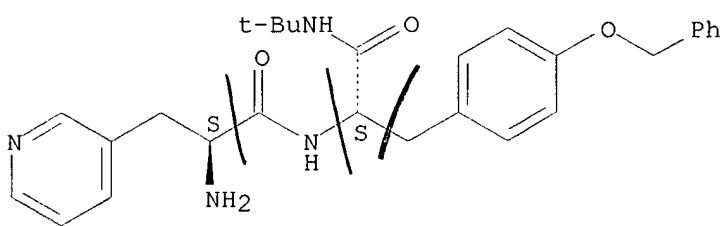
09/596,086



RN 314082-17-2 CAPLUS

CN L-Tyrosinamide, 3-(3-pyridinyl)-L-alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~122~~ ANSWER 18 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:900608 CAPLUS

DN 134:56277

TI Preparation of thiol derivatives as metallo-.beta.-lactamase inhibitors

IN Balkovec, James M.; Greenlee, Mark L.; Hammond, Milton L.; Heck, James V.

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DT Patent

LA English

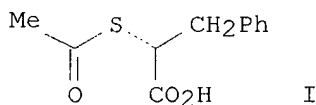
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076962	A1	20001221	WO 2000-US16070	20000612
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRAI US 1999-139297 P 19990615

OS MARPAT 134:56277

GI



AB Thiol derivs., R2SCHR1CO2H [R1 = alkyl, (CH2)nAr (Ar = Ph, furanyl, thienyl, pyridyl, naphthyl, biphenyl, dibenzofuranyl, dibenzothienyl, fluorenyl, fluorenonyl and n = 0, 1, 2 or 3); R2 = H, R3CO (R3 = H, alkyl, (CH2)nAr); R5CONHCHR4], useful for inhibiting metallo-.beta.-lactamases, were prepd. E.g., ester I was prepd.

IT **250265-98-6P**

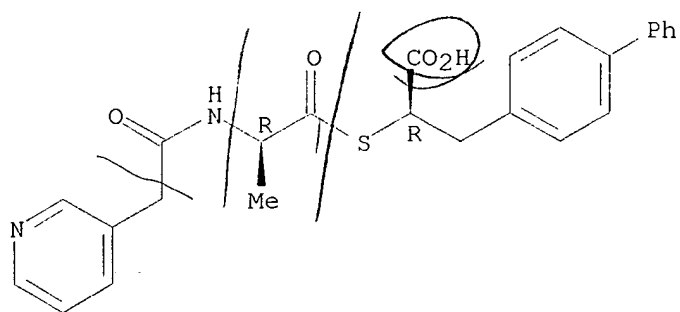
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thiol derivs. as metallo-.beta.-lactamase inhibitors)

RN 250265-98-6 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[(2R)-1-oxo-2-[(3-pyridinylacetyl)amino]propyl]thio]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2      THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~1~~ ANSWER 19 OF 193 CAPLUS COPYRIGHT 2002 ACS

Ap 2000:674732 CAPLUS

DN 133:222591

TI Preparation and bioactivity of cyanoacrylate compounds containing sulfanyl and pyridinemethanamine group as herbicides

IN Huang, Runqiu; Cheng, Muru; Liu, Xin; Zhao, Yigang; Li, Huiying

PA Nankai Univ., Peop. Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.

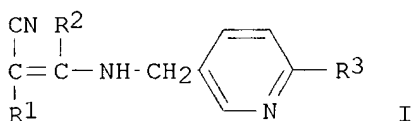
CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1246474	A	20000308	CN 1998-117840	19980828
OS	MARPAT 133:222591				
GI					



AB Title acrylonitrile derivs. [I; R<sup>1</sup> = CN, COOX, CONY; X = alkyl, alkoxy, alkylsulfenylalkyl, alkylaminoalkyl, alkoxyalkoxyalkyl; Y = alkoxyalkyl; R<sup>2</sup> = alkylsulfanyl, benzylsulfanyl, H, SH, aryl, alkenyl, haloalkyl; R<sup>3</sup> = alkyl, halo, alkoxy] are prepd. by allowing to react cyanoacetate or malononitrile with CS<sub>2</sub> and KOH in dioxane or anhyd. acetonitrile at 0.degree.-10.degree. for 0.5-3 h, methylating with di-Me sulfate or substituting with haloalkane at 20.degree.-60.degree. for 6-10 h, cooling to obtain 2-cyano-3,3-dialkylmercapto-acrylate (or acrylonitrile); allowing to react with 2-chloro-5-pyridinemethylamine in anhyd. ethanol, THF, or chloroform by refluxing for 8-12 h, concg., and recrystg. with Et acetate/petroleum ether or purifying on fast column. The mole ratio of cyanoacetate or malononitrile-CS<sub>2</sub>-KOH is 1:1:2-2.1, that of 2-chloro-5-chloromethylpyridine-hexamethylenetetraamine-NaI is 1:1-1.1:1, and that of 2-cyano-3,3-dialkylmercaptoacrylate (or acrylonitrile) to 2-chloro-5-pyridinemethylamine is 1:1.1-1.2. The title compds. are used as herbicide for rape, broad-leaved herb, cockle, and gramineae herb in corn field. Thus, the title compd. I (R<sup>1</sup> = CN; R<sup>2</sup> = CH<sub>3</sub>CH<sub>2</sub>; R<sup>3</sup> = Cl) was prepd. by allowing to react 2-chloro-5-chloromethylpyridine with 2-cyano-3,3-diethylsulfanylacrylonitrile, hexamethylenetetraamine and NaI in anhyd. ethanol for 20-24 h, adding 36-38% HCl, refluxing for 6-12 h, distg. to remove excess ethanol and acetal, extg. with chloroform at pH of 11, drying, and distg. to remove solvent, etc.

IT **291302-94-8P 291302-95-9P**

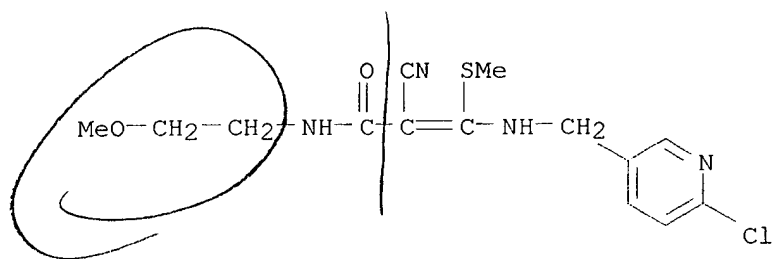
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and bioactivity of cyanoacrylate compds. contg. sulfanyl and pyridinemethanamine group as herbicides)

RN 291302-94-8 CAPLUS

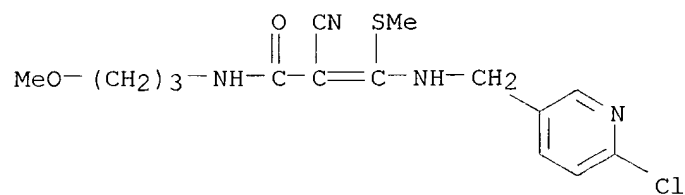
CN 2-Propenamide, 3-[[[6-chloro-3-pyridinyl)methyl]amino]-2-cyano-N-(2-methoxyethyl)-3-(methylthio)- (9CI) (CA INDEX NAME)

09/596,086



RN 291302-95-9 CAPLUS

CN 2-Propenamide, 3-[[[6-chloro-3-pyridinyl)methyl]amino]-2-cyano-N-(3-methoxypropyl)-3-(methylthio)- (9CI) (CA INDEX NAME)





09/596,086

~~132~~ ANSWER 20 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:666701 CAPLUS

DN 133:252050

TI Preparation of novel N-cyanomethyl amide compounds and compositions as protease inhibitors to treat osteoporosis

IN Bryant, Clifford M.; Palmer, James T.; Rydzewski, Robert M.; Setti, Eduardo L.; Tian, Zong-Qiang; Venkatraman, Shankar; Wang, Dan-Xiong

PA Alys Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000055126	A2	20000921	WO 2000-US6837	20000315
	WO 2000055126	A3	20010222		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1161415	A2	20011212	EP 2000-916375	20000315
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 2000009043	A	20020108	BR 2000-9043	20000315
	NO 2001004484	A	20011026	NO 2001-4484	20010914
PRAI	US 1999-124420	P	19990315		
	WO 2000-US6837	W	20000315		

OS MARPAT 133:252050

AB Title compds. [R1R2NCR3R4CN; R1 = R11R7NCR5R9X1, R11R8NCR6R10X2NR7CR5R9CX1; X1, X2 independently = CO, CH2SO2; R5, R6 independently = H, C1-6alkyl; R7, R8 independently = H, C1-6alkyl; R9, R10 independently = (un)substituted-C1-6alkyl; R9-R7 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R10-R8 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R5-R9 = C3-8cycloalkylene, C3-8heterocycloalkylene; R10-R6 = C3-8cycloalkylene, C3-8heterocycloalkylene; R11 = X4X5R18; X4 = CO, COCO, SO2; X5 = bond, O, NH; R18 = C1-6alkyl; R2 = H, C1-6alkyl; R3 = H, C1-6alkyl; R4 = CN, COOH, COOC1-6alkyl; R2-R4 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R4-R3 = C3-8cycloalkylene, C3-8heterocycloalkylene], N-oxide, prodrug, isomers, pharmaceutically acceptable salts, and compn. are prepd. as therapeutically effective estrogen receptor agonist. Title compds. are claimed in treating osteoporosis in post-menopausal woman in which cathepsin K activity contributes to the pathol. and symptomatol. of the disease. Thus, the title compd. (S)-C6H5CH2OCONHCH(CH2CH(CH3)2)CONHCH2CN was prepd.

IT 294620-93-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of novel N-cyanomethyl amides and compns. as protease inhibitors)

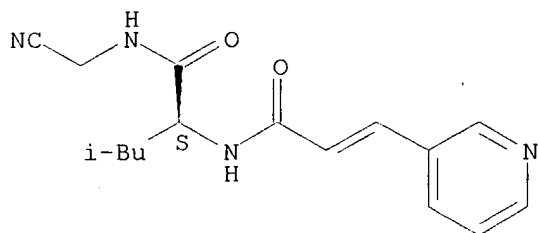
RN 294620-93-2 CAPLUS

09/596,086

CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



09/596,086

ANSWER 21 OF 193 CAPLUS COPYRIGHT 2002 ACS

2000:666700 CAPLUS

DN 133:252170

TI Preparation of novel N-cyanomethyl amides as protease inhibitors

IN Bryant, Clifford M.; Bunin, Barry A.; Kraynack, Erica A.; Patterson, John W.

PA Axyx Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 137 pp.

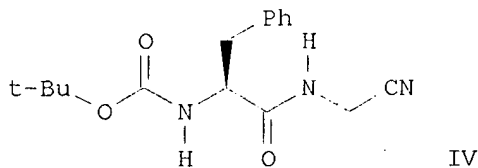
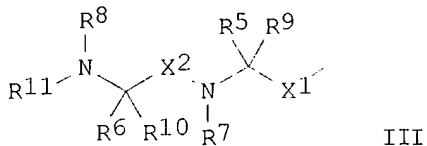
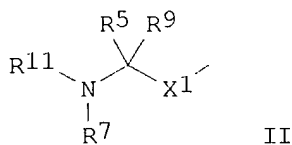
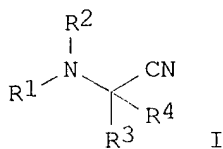
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000055125	A2	20000921	WO 2000-US6747	20000315
	WO 2000055125	A3	20010426		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	BR 2000009042	A	20011226	BR 2000-9042	20000315
	EP 1178958	A2	20020213	EP 2000-916343	20000315
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	NO 2001004485	A	20011105	NO 2001-4485	20010914
PRAI	US 1999-124420	P	19990315		
	WO 2000-US6747	W	20000315		
OS	MARPAT 133:252170				
GI					



AB The title compds. [I; R1 = II, III (wherein X1, X2 = CO, CH2SO2; R5, R6 = H, alkyl; R7, R8 = H, alkyl, etc.; R9, R10 = alkyl optionally substituted

with CN, halo, NO<sub>2</sub>, etc.; R<sub>11</sub> = X<sub>5</sub>X<sub>6</sub>R<sub>18</sub>; X<sub>5</sub> = CO, COCO, SO<sub>2</sub>; X<sub>6</sub> = a bond, O, NH, N(alkyl); R<sub>18</sub> = alkyl optionally substituted with CN, halo, NO<sub>2</sub>, etc.); R<sub>2</sub> = H, alkyl, etc.; R<sub>3</sub> = H, alkyl, etc.; R<sub>4</sub> = H, alkyl optionally substituted with CN, halo, NO<sub>2</sub>, etc.; R<sub>4</sub> and R<sub>2</sub> taken together form trimethylene, tetramethylene, phenylene-1,2-dimethylene, optionally substituted with hydroxy, oxo or methylene; R<sub>4</sub> and R<sub>3</sub> together with the carbon atom to which both are attached form cycloalkylene, heterocycloalkylene], useful for treating diseases assocd. with cysteine protease activity, particularly diseases assocd. with activity of cathepsins B, K, L or S such as inflammation and asthma, were prepd. and formulated. Thus, reacting 2(S)-tert-butoxycarbonylamino-3-phenylpropionic acid with aminoacetonitrile.HCl in the presence of Et<sub>3</sub>N in DMF and MeCN afforded the amide (1S)-IV. Biol. data for compds. I were given.

IT **294641-11-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

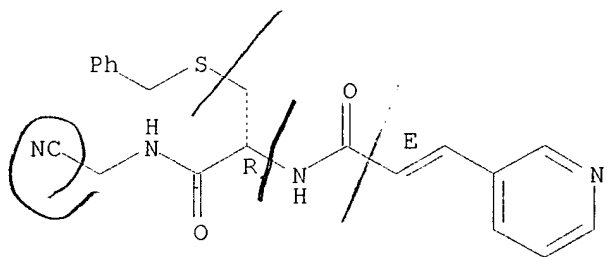
(prepn. of novel N-cyanomethyl amides as protease inhibitors)

RN 294641-11-5 CAPLUS

CN 2-Propenamide, N-[(1R)-2-[(cyanomethyl)amino]-2-oxo-1-[[[(phenylmethyl)thio]methyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



09/596,086

~~122~~ ANSWER 22 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:666699 CAPLUS

~~DN~~ 133:251875

TI Preparation of esters as protease inhibitors

IN Buysse, Ann M.; Mendonca, Rohan V.; Palmer, James T.; Tian, Zong-Qiang;  
Venkatraman, Shankar

PA Axys Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 108 pp.

CODEN: PIXXD2

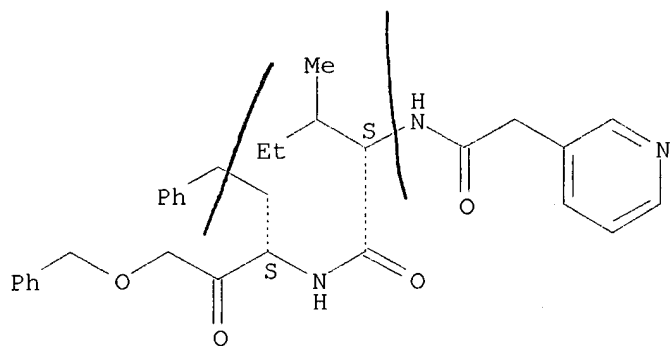
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000055124	A2	20000921	WO 2000-US7145	20000315
	WO 2000055124	A3	20010816		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1159260	A1	20011205	EP 2000-918085	20000315
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1999-124529	P	19990315		
	WO 2000-US7145	W	20000315		
OS	MARPAT 133:251875				
AB	R1X1NR2CHR3COR4 [X1 = bond or divalent group; R1 = H, X6X7R16; R2 = H, alkyl; R3 = H, optionally substituted alkyl; R2R3 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R4 = nitromethyl, 1-hydroxy-1-methylethyl, etc.], cysteine protease inhibitors, were prepd. E.g., benzyl 1S-(3-hydroxy-2-oxo-1S-phenethylpropylcarbamoyl)-3-methylbutylcarbamate was prepd. The test compds. were inhibitors of cathepsin B, K, L, and S (no data).				
IT	<b>294870-06-7P 294871-05-9P</b> RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of esters as protease inhibitors)				
RN	294870-06-7 CAPLUS				
CN	3-Pyridineacetamide, N-[(1S)-2-methyl-1-[[[(1S)-2-oxo-1-(2-phenylethyl)-3-(phenylmethoxy)propyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)				

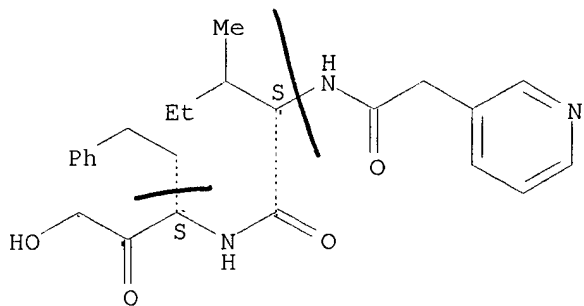
Absolute stereochemistry.



RN 294871-05-9 CAPLUS

CN 3-Pyridineacetamide, N-[(1S)-1-[[[(1S)-3-hydroxy-2-oxo-1-(2-phenylethyl)propyl]amino]carbonyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

ANSWER 23 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:658036 CAPLUS

DN 133:247304

TI Benzamide analogs as nuclear receptor agonists and reinforcement agents for treatment of cell proliferation-, hormone-, and vitamin-related diseases

IN Suzuki, Tsuneji; Ando, Tomoyuki; Tsuchiya, Katsutoshi; Nakanishi, Satoru; Saito, Akiko

PA Mitsui Chemical Industry Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000256194	A2	20000919	JP 1999-236850	19990824
PRAI	JP 1999-795	A	19990106		

AB Benzamide analogs (I; Markush's structures given) and their pharmacol. acceptable salts are claimed as nuclear receptor agonists and reinforcement agents for treatment of cell proliferation-, hormone-, and vitamin-related diseases, including cancer. I induced leukemia cell differentiation and potentiated the antitumor effect of the PPAR receptor agonist pioglitazone and the retinoid LGD1069.

IT 209783-75-5 209784-28-1

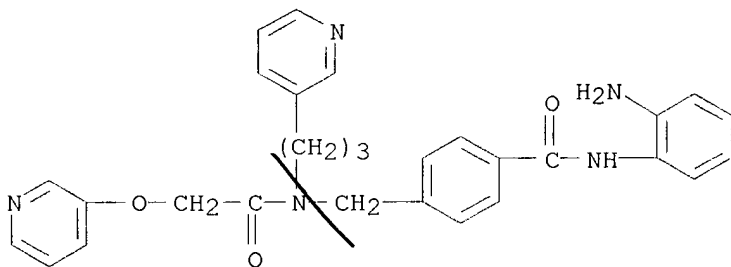
RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(benzamide analogs as nuclear receptor agonists and reinforcement agents for treatment of cell proliferation-, hormone-, and vitamin-related diseases)

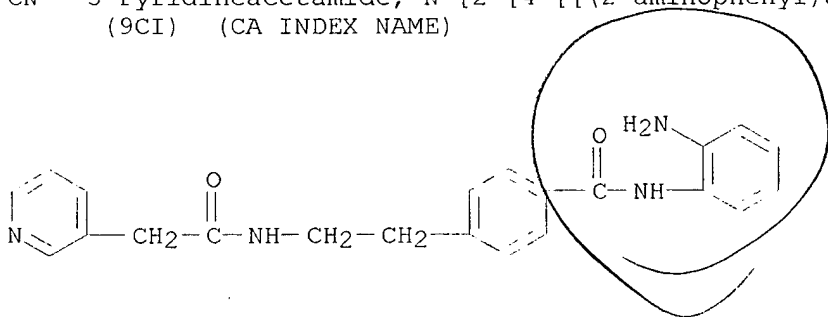
RN 209783-75-5 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[[[(3-pyridinyloxy)acetyl][3-(3-pyridinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 209784-28-1 CAPLUS

CN 3-Pyridineacetamide, N-[2-[4-[[[(2-aminophenyl)amino]carbonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



~~1228~~ ANSWER 24 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:639180 CAPLUS

DN 133:223044

TI Preparation of N-(aryl/heteroarylacetyl) amino acid esters for use as pharmaceuticals

IN Wu, Jing; Thorsett, Eugene D.; Nissen, Jeffrey S.; Mabry, Thomas E.; Latimer, Lee H.; John, Varghese; Fang, Lawrence Y.; Audia, James E.

PA Athena Neurosciences, Inc., USA; Eli Lilly & Company

SO U.S., 32 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6117901	A	20000912	US 1997-976179	19971121
	US 6153652	A	20001128	US 1997-976295	19971121
	US 6333351	B1	20011225	US 1999-303655	19990503
	US 6313152	B1	20011106	US 1999-390692	19990907
	US 6262302	B1	20010717	US 1999-398211	19990917
PRAI	US 1996-98551	P	19961122		
	US 1996-754895	A	19961122		
	US 1997-113671	P	19970228		
	US 1997-807538	A	19970228		
	US 1997-976179	A1	19971121		
	US 1997-976295	A1	19971121		

OS MARPAT 133:223044

AB Amino acid esters R1CX'X''CONHCHR2CO-X-R3 [R1 = alkyl, alkenyl, alkylcycloalkyl, (un)substituted Ph, benzyl, naphthyl, or naphthylmethyl; R2 = H, alkyl, Ph, alkylalkoxy, alkylthioalkoxy; R3 = alkyl, alkenyl, aryl-, hetero-, or heterocyclalkyl; X = O or S; X' = H, OH, F; X'' = H, OH, F or X'X'' = oxo (with provisos)] or their pharmaceutically acceptable salts were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Formulations contg. the title compds. are described. Thus, N-(phenylacetyl)-DL-alanine iso-Bu ester was prepd. by acylation of DL-alanine iso-Bu ester with phenylacetyl chloride.

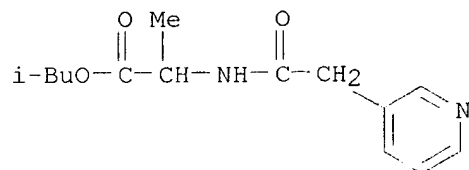
IT **208116-34-1P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(aryl/heteroarylacetyl) amino acid esters for use as pharmaceuticals)

RN 208116-34-1 CAPLUS

CN Alanine, N-(3-pyridinylacetyl)-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



~~492~~ ANSWER 25 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:535162 CAPLUS

DN 133:150920

TI Preparation of peptides or analogs containing substituted phenethylamine moiety as motilin receptor antagonists

IN Matsuoka, Hiroharu; Sato, Tsutomu; Takahashi, Tadakatsu; Kim, Dong Ick; Jung, Kyung Yun; Park, Chan Hee

PA Chugai Seiyaku Kabushiki Kaisha, Japan

SO PCT Int. Appl., 403 pp.

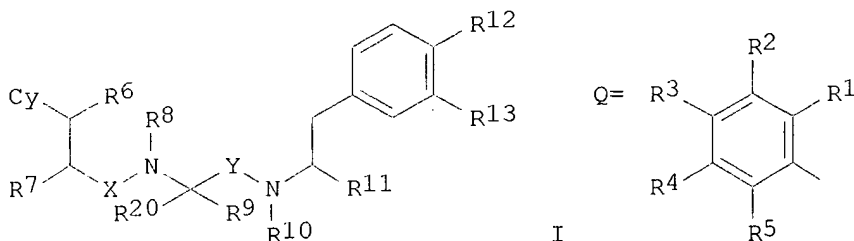
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000044770	A1	20000803	WO 2000-JP444	20000128
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1149843	A1	20011031	EP 2000-901956	20000128
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	NO 2001003684	A	20010928	NO 2001-3684	20010726
PRAI	JP 1999-20523	A	19990128		
	JP 1999-283163	A	19991004		
	WO 2000-JP444	W	20000128		
OS	MARPAT 133:150920				
GI					



AB Substituted phenethylamine derivs. represented by general formula (I), hydrates of the same, or pharmaceutically acceptable salts thereof [wherein Cy is a group represented by general formula Q, an optionally substituted heterocyclic group, C3-7 cycloalkyl, or phenyl; R1, R1, R1, R1 and R5 are each hydrogen, halogeno, hydroxyl, amino, trifluoromethyl or cyano, at least one of R1-R5 being halogeno, trifluoromethyl or cyano; R6 represents hydrogen, (un)substituted linear or branched C1-3 alkyl, amino, or hydroxy; R8 represents hydrogen, Me, or ethyl; R9 represents (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, C3-7 cycloalkyl, or (un)substituted Ph; R20 represents hydrogen,

or (un)substituted linear or branched C1-3 alkyl or R9 and R20 together forms C3-7 cycloalkyl; R10 represents hydrogen, (un)substituted linear or branched C1-3 alkyl; R11 represents hydrogen or (un)substituted linear or branched C1-3 alkyl, (un)substituted carbamoyl, or carboxy; R12 represents hydroxy or linear or branched C1-4 alkoxy; R13 represents hydrogen, (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or alkynyl, etc.; X, Y represents carbonyl or CH<sub>2</sub>; provisos are given.], which exhibit motilin receptor antagonism and being useful as drugs for preventing digestive tract movement or high level of blood motilin. Thus, 3-methyl-2-methylaminobutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide (prepn. given) was condensed with Boc-Phe(4-F)-OH using CMPI in the presence of Et<sub>3</sub>N in THF under ice-cooling for 4 h followed by treatment of the product with CF<sub>3</sub>CO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> gave 2-((2-amino-3-(4-fluorophenyl)propanoyl)-N-methylamino)-3-methylbutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide (II). II and N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHET showed IC<sub>50</sub> of 0.35 and 0.17 nM, resp., for inhibiting binding of <sup>125</sup>I-motilin to motilin receptor prepn. from mucus membrane of rabbit duodenum.

IT **287207-37-8P**

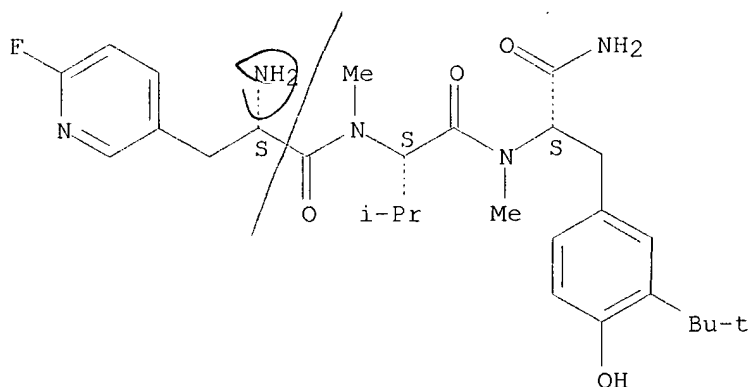
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides or analogs contg. substituted phenethylamine moiety as motilin receptor antagonists and drugs for preventing digestive tract movement or high level of blood motilin)

RN 287207-37-8 CAPLUS

CN L-Tyrosinamide, 3-(6-fluoro-3-pyridinyl)-L-alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N.alpha.-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~12~~ ANSWER 26 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:456950 CAPLUS

DN 133:84244

TI Method of using a cyclooxygenase-2 inhibitor and an integrin antagonist as a combination therapy in the treatment of neoplasia

IN McKearn, John P.; Gordon, Gary; Cunningham, James J.; Gately, Stephen T.; Koki, Alane T.; Masferrer, Jaime L.

PA G.D. Searle & Co., USA

SO PCT Int. Appl., 348 pp.

CODEN: PIXXD2

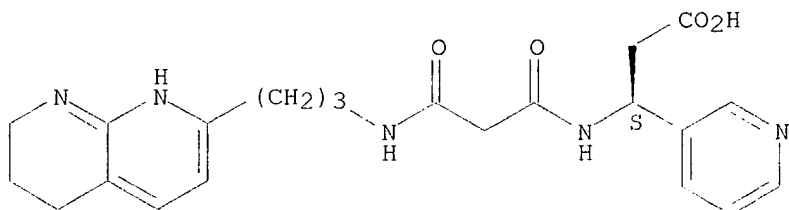
DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000038786	A2	20000706	WO 1999-US30692	19991222
	WO 2000038786	A3	20010308		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP	1140179	A2	20011010	EP 1999-966594	19991222
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1998-113786	P	19981223		
	WO 1999-US30692	W	19991222		
AB	Methods are provided to treat or prevent neoplasia disorders in a mammal using a combination of a cyclooxygenase-2 inhibitor, an integrin antagonist and an antineoplastic agent.				
IT	<b>206989-45-9</b>				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(cyclooxygenase-2 inhibitor and integrin antagonist in combination for neoplasia treatment)				
RN	206989-45-9 CAPLUS				
CN	.beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



09/596,086

~~12~~ ANSWER 27 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:456916 CAPLUS

DN 133:68929

TI Use of a matrix metalloproteinase inhibitor and an integrin antagonist in the treatment of neoplasia

IN McKearn, John P.; Gordon, Gary; Cunningham, James J.; Gately, Stephen T.; Koki, Alane T.; Masferrer, Jaime L.

PA G. D. Searle & Co., USA

SO PCT Int. Appl., 358 pp.

CODEN: PIXXD2

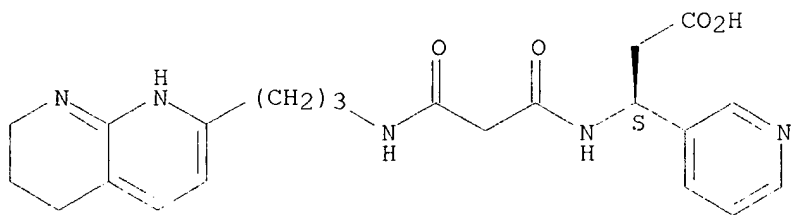
DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000038719	A1	20000706	WO 1999-US30700	19991222
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1140183	A1	20011010	EP 1999-968942	19991222
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1998-113786	P	19981223		
	WO 1999-US30700	W	19991222		
AB	Methods are provided to treat or prevent neoplasia disorders in a mammal using a combination of a matrix metalloproteinase inhibitor, an integrin antagonist, and an antineoplastic agent.				
IT	<b>206989-45-9</b>				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(matrix metalloproteinase inhibitor and integrin antagonist in neoplasia treatment)				
RN	206989-45-9 CAPLUS				
CN	.beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~122~~ ANSWER 28 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:456912 CAPLUS

DN 133:68927

TI Method of using an integrin antagonist and radiation therapy as combination therapy in the treatment of neoplasia

IN McKearn, John P.; Gordon, Gary; Cunningham, James J.; Gately, Stephen T.; Koki, Alane T.; Masferrer, Jaime L.

PA G.D. Searle and Co., USA

SO PCT Int. Appl., 95 pp.

CODEN: PIXXD2

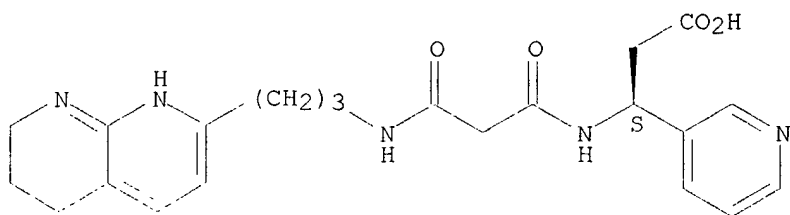
DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000038715	A2	20000706	WO 1999-US30621	19991222
	WO 2000038715	A3	20010104		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP	1140177	A2	20011010	EP 1999-966558	19991222
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1998-113786	P	19981223		
	WO 1999-US30621	W	19991222		
AB	Methods are provided to treat neoplasia disorders in a mammal using a combination of radiation and an integrin antagonist.				
IT	<b>206989-45-9</b> <b>206989-45-9D</b> , derivs.				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (integrin antagonist and radiation therapy combination for treatment of neoplasia)				
RN	206989-45-9 CAPLUS				
CN	.beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

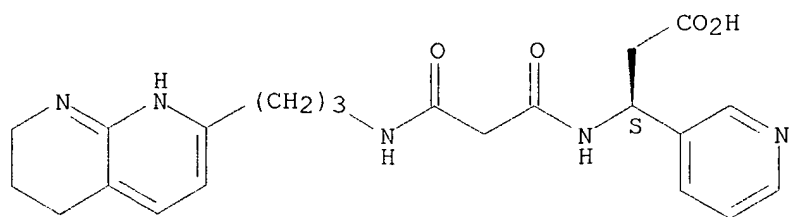


RN 206989-45-9 CAPLUS

CN .beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

09/596,086

Absolute stereochemistry.



09/696,086

~~122~~ ANSWER 29 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:456866 CAPLUS

DN 133:84239

TI Method of using an integrin antagonist and one or more antineoplastic agents as a combination therapy in the treatment of neoplasia

IN McKearn, John P.; Gordon, Gary; Cunningham, James J.; Gately, Stephen T.; Koki, Alane T.; Masferrer, Jaime L.

PA G. D. Searle & Co., USA

SO PCT Int. Appl., 220 pp.

CODEN: PIXXD2

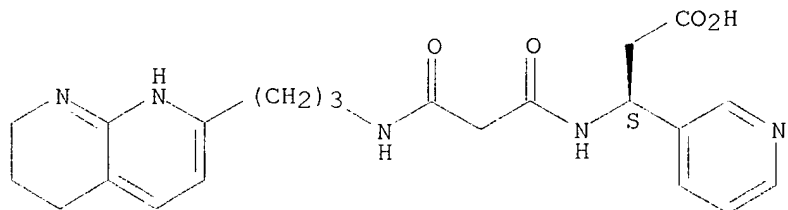
DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000038665	A2	20000706	WO 1999-US30670	19991222
	WO 2000038665	A3	20001116		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP	1140193	A2	20011010	EP 1999-968529	19991222
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1998-113786	P	19981223		
	WO 1999-US30670	W	19991222		
AB	The present invention provides methods to treat or prevent neoplasia disorders in a mammal using a combination of an integrin antagonist and an antineoplastic agent.				
IT	<b>206989-45-9</b>				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (integrin antagonist-antineoplastic agent combination for neoplasia treatment)				
RN	206989-45-9 CAPLUS				
CN	.beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



09/596,086

~~L2~~ ANSWER 30 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:452347 CAPLUS

DN 133:89798

TI Preparation of peptidyl boronic ester and acid compounds as proteasome inhibitors

IN Adams, Julian; Ma, Yu-Ting; Stein, Ross; Baevsky, Matthew; Grenier, Louis; Plamondon, Louis

PA Leukosite, Inc., USA

SO U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 330,525, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6083903	A	20000704	US 1995-442581	19950516
	CA 2203936	AA	19960509	CA 1995-2203936	19951027
	WO 9613266	A1	19960509	WO 1995-US14117	19951027
	W:	AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9641398	A1	19960523	AU 1996-41398	19951027
	AU 710564	B2	19990923		
	ZA 9509119	A	19960527	ZA 1995-9119	19951027
	EP 788360	A1	19970813	EP 1995-939670	19951027
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
	CN 1168633	A	19971224	CN 1995-196590	19951027
	US 5780454	A	19980714	US 1995-549318	19951027
	JP 10510245	T2	19981006	JP 1995-514834	19951027
	FI 9701746	A	19970606	FI 1997-1746	19970423
	NO 9701929	A	19970612	NO 1997-1929	19970425
	US 6066730	A	20000523	US 1998-85404	19980526
	US 6297217	B1	20011002	US 2000-490511	20000125
PRAI	US 1994-330525	B2	19941028		
	US 1995-442581	A	19950516		
	US 1995-549318	A3	19951027		
	WO 1995-US14117	W	19951027		
	US 1998-85404	A3	19980526		
OS	MARPAT 133:89798				
AB	Peptidyl boronic acid and ester compds. P-NRCHR2-X2-CHR3BZ1Z2 [P = 2- or 8-quinolinyl-, 2-quinoxaliny-, 2- or 3-pyridyl-, piperazinyl-, 3-furanyl-, or 3-pyrrolylcarbonyl, or -sulfonyl, or morpholinylcarbonyl; X2 = CONH, CH2NH, CH(OH)CH2, CH(OH)CH(OH), CH(OH)CH2NH, CH:CH, COCH2, SO2NH, SO2CH2, or CH(OH)CH2CONH; R = H or alkyl; R2, R3 = H, alkyl, cycloalkyl, aryl, heterocyclyl, CH2-R5 (R5 = aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl) or alkyl-chalcogen; Z1, Z2 = alkyl, hydroxy, alkoxy, aryloxy, or together form a dihydroxy compd.] were prepd. as proteasome inhibitors. Thus, coupling of (1S,2S,3R,5S)-pinanediol leucine boronate trifluoroacetate salt with N-Boc-.beta.-(1-naphthyl)-L-alanine, followed by deprotection, acylation with 4-morpholinylcarbonyl chloride and cleavage of the pinanediol moiety afforded N-(4-morpholine)carbonyl-.beta.-(1-naphthyl)-L-alanine-L-leucine boronic acid [MG-273], which inhibited 20S proteasome with Ki = 0.18 nM.				
IT	179324-34-6P, MG 289 179324-35-7P, MG 290				



**179324-37-9P, MG 294**

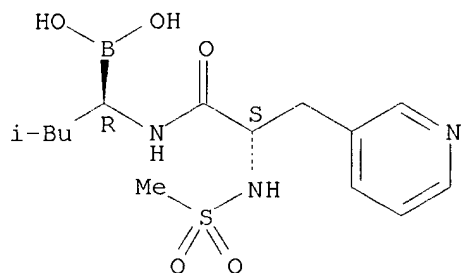
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptidyl boronic ester and acid compds. as proteasome inhibitors)

RN 179324-34-6 CAPLUS

CN Boronic acid, [(1R)-3-methyl-1-[[ (2S)-2-[(methylsulfonyl)amino]-1-oxo-3-(3-pyridinyl)propyl]amino]butyl]- (9CI) (CA INDEX NAME)

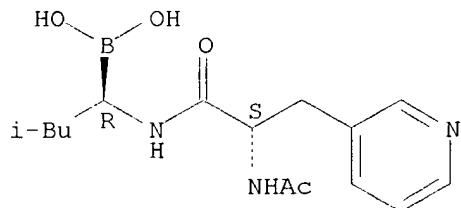
Absolute stereochemistry.



RN 179324-35-7 CAPLUS

CN Boronic acid, [(1R)-1-[[ (2S)-2-(acetylamino)-1-oxo-3-(3-pyridinyl)propyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)

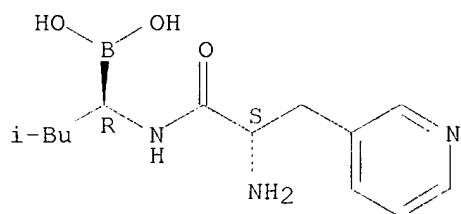
Absolute stereochemistry.



RN 179324-37-9 CAPLUS

CN Boronic acid, [(1R)-1-[[ (2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~122~~ ANSWER 31 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:401690 CAPLUS

~~DN~~ 133:48878

TI Oligopeptide prodrug compounds and process for preparation thereof

IN Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.

PA Coulter Pharmaceutical, Inc., USA

SO PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000033888	A2	20000615	WO 1999-US30393	19991210
	WO 2000033888	A3	20011108		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1144011	A2	20011017	EP 1999-967462	19991210
	EP 1144011	A3	20020206		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1998-111793	P	19981211		
	US 1999-119312	P	19990208		
	WO 1999-US30393	W	19991210		

OS MARPAT 133:48878

AB The prodrug of the invention is a modified form of a therapeutic agent and comprises a therapeutic agent, an oligopeptide, a stabilizing group and, optionally, a linker group. The prodrug is cleavable by the enzyme trouase. Also disclosed are processes for making the prodrug compds.

IT **274912-07-1 274912-67-3**

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

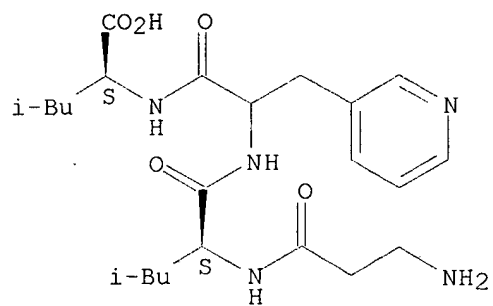
(oligopeptide prodrug compds. and process for prepn. thereof)

RN 274912-07-1 CAPLUS

CN L-Leucine, .beta.-alanyl-L-leucyl-3-(3-pyridinyl)alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

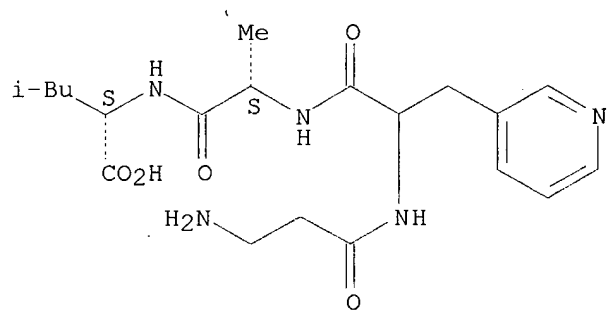
09/596,086



RN 274912-67-3 CAPLUS

CN L-Leucine, .beta.-alanyl-3-(3-pyridinyl)alanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

EP2 ANSWER 32 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:260225 CAPLUS

DN 132:294010

TI Preparation of diaminopropionic acid derivatives as intracellular adhesion molecule-1 (ICAM-1) binding inhibitors

IN Fotouhi, Nader; Gillespie, Paul; Guthrie, Robert William; Pietranico-Cole, Sherrie Lynn; Yun, Weiya

PA F. Hoffmann-La Roche A.-G., Switz.

SO PCT Int. Appl., 259 pp.

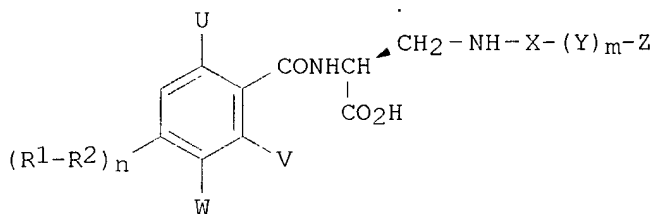
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000021920	A1	20000420	WO 1999-EP7620	19991012
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6331640	B1	20011218	US 1999-407534	19990929
	BR 9914602	A	20010703	BR 1999-14602	19991012
	EP 1121342	A1	20010808	EP 1999-953772	19991012
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1998-104120	P	19981013		
	WO 1999-EP7620	W	19991012		
OS	MARPAT 132:294010				
GI					



I

AB Diaminopropionic acid derivs. I [R1 = substituted 1-naphthyl, 4-indolyl, 4-benzimidazolyl, 4-benzodiazolyl, 4-benzotriazolyl, or phenyl; R2 = CHR<sub>3</sub>NHCO (R<sub>3</sub> = H, carboxy, alkyl), CH<sub>2</sub>CH<sub>2</sub>CO, 1,2-cyclopropanediylcarbonyl, OCH<sub>2</sub>CO, CH:CHCHR<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>CH(OH), CONHCHR<sub>3</sub>, or CH<sub>2</sub>NH-5,1-tetrazolediyl; U, V, W = H, halo, alkyl provided that U and V are not both hydrogen; X = CO, phenylalkylene, sulfonyl; Y = alkylene which may be substituted by amino or cycloalkyl, alkenylene, alkyleneithio; Z = H, alkylthio, CO<sub>2</sub>H, CONH<sub>2</sub>, 1-adamantyl, diphenylmethyl, 3-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-2-pyrazinyl, hydroxy, phenylmethoxy, 2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]phenyl, [(2,6-dichlorophenyl)methoxy], Ph, (un)substituted cycloalkyl or aryl or fused ring system which may

contain 0-3 heteroatoms; m, n = 0, 1] or their pharmaceutically acceptable salts or esters were prepd. and are useful for treating rheumatoid arthritis, psoriasis, multiple sclerosis, Crohn's disease, ulcerative colitis, atherosclerosis, restenosis, pancreatitis, transplant rejection, delayed graft function and diseases of ischemia reperfusion injury, including acute myocardial infarction and stroke. Thus, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-(3-methoxybenzoylamino)-L-alanine was prepd. by the solid-phase method and showed IC50 = 1.2 nM in the LFA-1 (lymphocyte function-associated antigen-1)/ICAM-1 protein-protein assay.

IT **264273-60-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

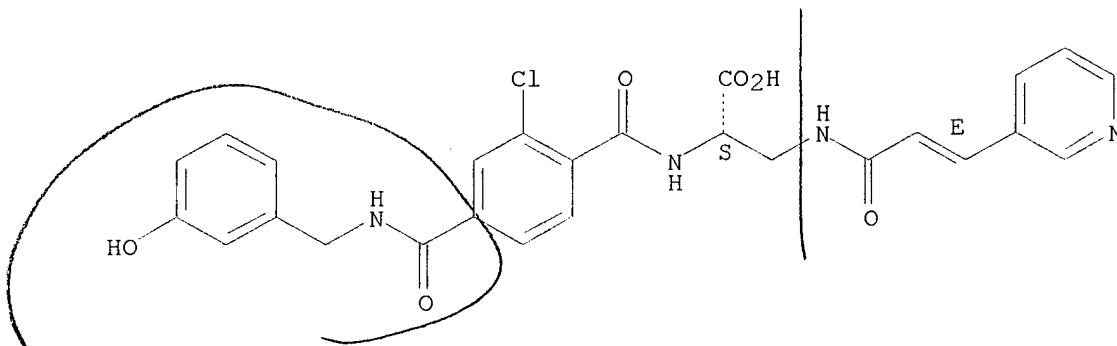
(prepn. of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 264273-60-1 CAPLUS

CN L-Alanine, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~122~~ ANSWER 33 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:144899 CAPLUS

DN 132:189658

TI Amino acid derivative and peptide anti-cancer compounds and methods

IN Stewart, John M.; Chan, Daniel C. F.; Gera, Lojos; York, Eunice; Bunn, Paul

PA USA

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000011022	A1	20000302	WO 1999-US19381	19990820
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 2000015959	A1	20000314	AU 2000-15959	19990820
PRAI	US 1998-97210	P	19980820		
	US 1999-141169	P	19990625		
	US 1999-378019	A	19990819		
	WO 1999-US19381	W	19990820		

OS MARPAT 132:189658

AB The invention provides amino acid deriv. and peptidic compds. useful to inhibit tumor growth and to induce apoptosis. In general, the anti-cancer agents (ACA) are described by the formula [ACA]<sub>n</sub>-X [X = linker group with 2-5 functional groups or is absent; n = 1; ACA as described in the invention (Markush included)].

IT **259885-28-4P 259885-43-3P**

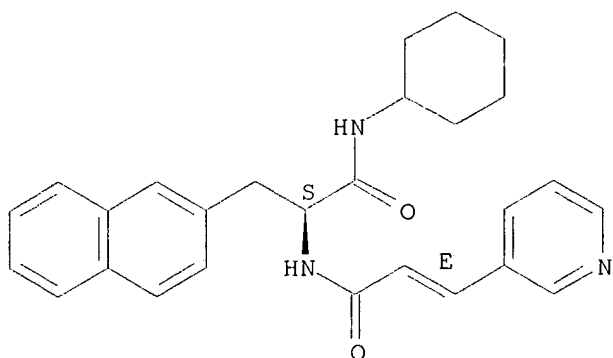
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(peptide and non-peptide anti-cancer compds. and methods)

RN 259885-28-4 CAPLUS

CN 2-Naphthalenepropanamide, N-cyclohexyl-.alpha.-[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

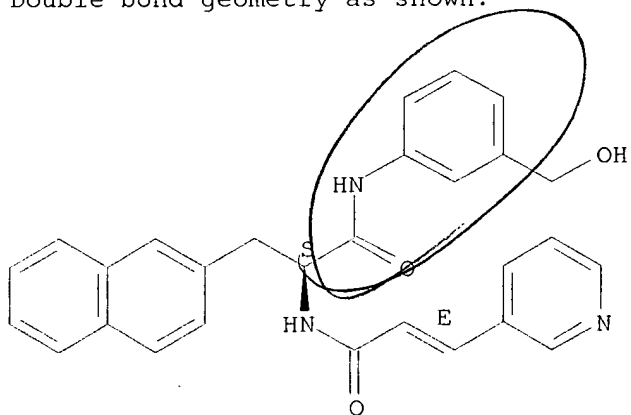


RN 259885-43-3 CAPLUS

CN 2-Naphthalenepropanamide, N-[3-(hydroxymethyl)phenyl]-.alpha.-[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



RE.CNT 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 34 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 2000:113118 CAPLUS

DN 132:152140

TI Preparation of N-substituted glycine derivatives as enzyme inhibitors

IN Abelman, Matthew Mark; Miller, Todd Anthony; Nutt, Ruth Foelsche

PA Corvas International, Inc., USA

SO U.S., 67 pp., Cont.-in-part of U.S. 5,696,231.

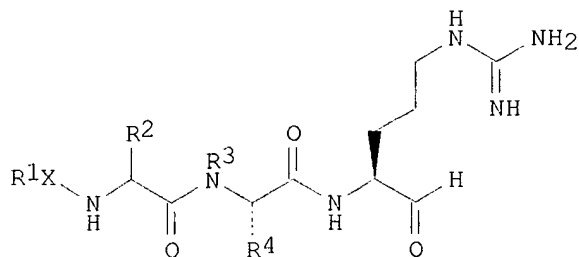
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6025472	A	20000215	US 1995-484509	19950607
	US 5696231	A	19971209	US 1994-361794	19941221
	CA 2207373	AA	19960627	CA 1995-2207373	19951221
	WO 9619493	A1	19960627	WO 1995-US16866	19951221
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9646086	A1	19960710	AU 1996-46086	19951221
	AU 716995	B2	20000316		
	EP 801654	A1	19971022	EP 1995-944234	19951221
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
	BR 9510264	A	19971104	BR 1995-10264	19951221
	CN 1171116	A	19980121	CN 1995-196925	19951221
	HU 77524	A2	19980528	HU 1998-71	19951221
	JP 10512550	T2	19981202	JP 1995-520031	19951221
PRAI	US 1994-361794		19941221		
	US 1995-484509		19950607		
	WO 1995-US16866		19951221		
OS	MARPAT 132:152140				
GI					



I

AB Glycine derivs. I [X = SO<sub>2</sub>, NR'SO<sub>2</sub>, CO, O<sub>2</sub>C, NHCO, P(O)R'', bond; R' = H, alkyl, aryl, aralkyl; R'' = NR', OR', R', SR'; R<sub>1</sub> = H, substituted benzyl or naphthyl; R<sub>2</sub> = H, tetrazol-5-ylalkyl, tetrazol-5-ylalkylsulfonylmethyl, pyridin-3-ylalkyl, H, 3-guanidinopropyl, 2-methylsulfonylethyl, etc.; R<sub>3</sub> = H, cycloalkyl, (un)substituted alkyl or aryl; R<sub>4</sub> = H, (un)substituted alkyl or aryl] were prepd. as potent inhibitors of factor Xa. Thus,



09/596,086

D-camphorsulfonyl-D-arginine-sarcosine-arginine aldehyde, prepd. by soln. phase methods, inhibited factor Xa catalytic activity with IC50 = 8.2 nM.

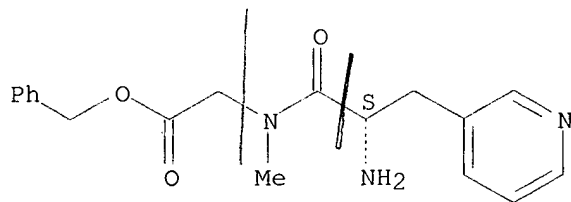
IT 180312-87-2P 180312-88-3P 180312-89-4P  
180312-93-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of N-substituted glycine derivs. as enzyme inhibitors)

RN 180312-87-2 CAPLUS

CN Glycine, N-methyl-N-[3-(3-pyridinyl)-L-alanyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

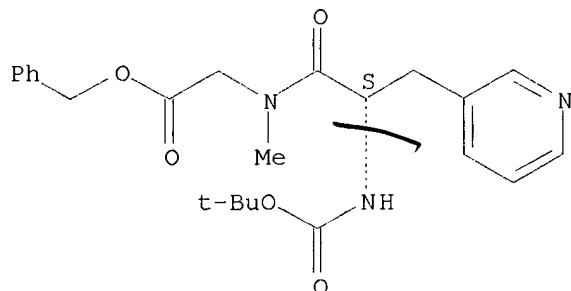


● HCl

RN 180312-88-3 CAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

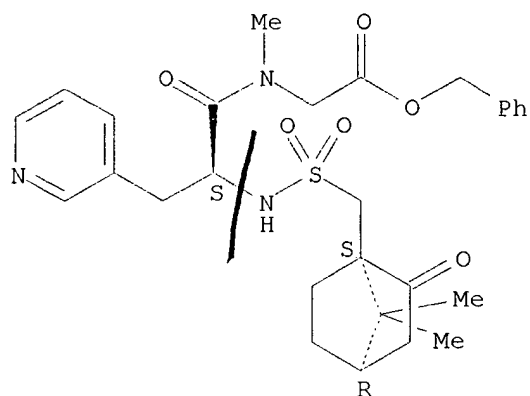


RN 180312-89-4 CAPLUS

CN Glycine, N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methyl]sulfonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

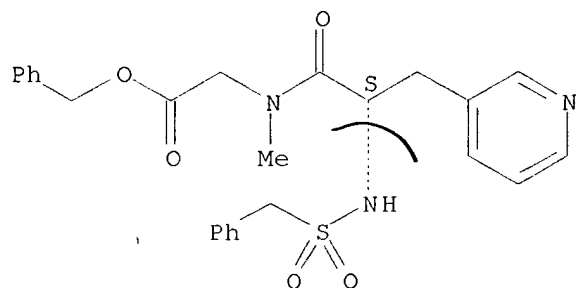
09/596,086



RN 180312-93-0 CAPLUS

CN Glycine, N-[(phenylmethyl)sulfonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

ANSWER 35 OF 193 CAPLUS COPYRIGHT 2002 ACS

AM 2000:98552 CAPLUS

DN 132:151823

TI Preparation of triazolopyridines as GPIIb/IIIa antagonists.

IN Hoekstra, William J.; Lawson, Edward C.; Maryanoff, Bruce E.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 64 pp.

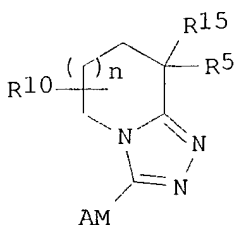
CODEN: PIXXD2

DT Patent

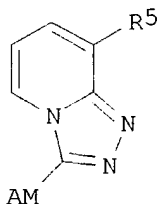
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000006570	A1	20000210	WO 1999-US16572	19990721
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6303625	B1	20011016	US 1999-354032	19990715
	AU 9952218	A1	20000221	AU 1999-52218	19990721
	EP 1102766	A1	20010530	EP 1999-937373	19990721
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 9912556	A	20020115	BR 1999-12556	19990721
	NO 2001000456	A	20010326	NO 2001-456	20010126
PRAI	US 1998-94231P	P	19980727		
	US 1999-354032	A	19990715		
	WO 1999-US16572	W	19990721		
OS	MARPAT 132:151823				
GI					



I



II

AB Title compds. [I, II; M = (CH<sub>2</sub>)<sub>m</sub>, CH:CH, CH:CF, C.tplbond.C; m = 1-3; n = 0-2; A = piperidin-2-yl, piperidin-3-yl, piperidin-4-yl, piperazin-1-yl, pyrrolidin-2-yl, pyrrolidin-3-yl, NHR<sub>2</sub>, etc.; R<sub>2</sub> = H, alkyl, acyl; R<sub>5</sub> = H, CONHQ(CHW)rCO<sub>2</sub>R<sub>8</sub>; r = 0, 1; W = H, NR<sub>6</sub>TR<sub>7</sub>; T = CO, CNCN, SO<sub>2</sub>; R<sub>6</sub> = H, alkyl, acyl; R<sub>7</sub> = alkyl, aryl, aralkyl, aralkoxy, etc.; R<sub>10</sub> = H, CONR<sub>1</sub>YZ; Y = (CH<sub>2</sub>)<sub>p</sub>, piperidine-3-carboxylic acid, etc.; p = 2, 3; Q = CH<sub>2</sub>, CHAr, etc.; Ar = (hetero)aryl; Z = CO<sub>2</sub>R<sub>8</sub>; R<sub>8</sub> = H, alkyl, CH<sub>2</sub>CONR<sub>11</sub>R<sub>12</sub>; R<sub>11</sub>, R<sub>12</sub> = H, alkyl, cycloalkyl; R<sub>15</sub> = H, alkyl], were prepd. Thus,

.beta.-[[[5,6,7,8-tetrahydro-3-[2-(4-piperidinyl)ethyl]-1,2,4-triazolo[4,3-a]pyridin-8-yl]carbonyl]amino]-.beta.S-3-pyridinepropanoic acid (prepn. starting from Et 2-oxo-3-piperidinecarboxylate and N-carbobenzyloxy-4-piperidinepropanoic hydrazide given) inhibited blood platelet aggregation with IC50 = 0.016..mu.M.

IT 257635-51-1

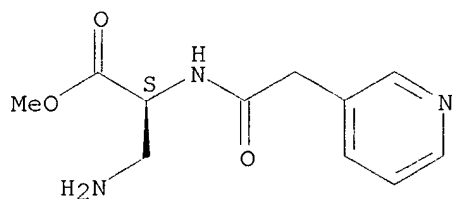
RL: RCT (Reactant)

(prepn. of triazolopyridines as GPIIb/IIIa antagonists)

RN 257635-51-1 CAPLUS

CN L-Alanine, 3-amino-N-(3-pyridinylacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~132~~ ANSWER 36 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:819335 CAPLUS

DN 132:64523

TI Preparation of hydroxamic acid derivatives as inhibitors of the production of human CD23 and the release of TNF

IN Faller, Andrew; MacPherson, David Timothy; Milner, Peter Henry; Mistry, Jayshree; Ward, John Gerard

PA Smithkline Beecham PLC, UK

SO PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967201	A1	19991229	WO 1999-GB1954	19990622
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9945195	A1	20000110	AU 1999-45195	19990622
	BR 9911423	A	20010313	BR 1999-11423	19990622
	EP 1089963	A1	20010411	EP 1999-928068	19990622
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
	NO 2000006349	A	20001213	NO 2000-6349	20001213
PRAI	GB 1998-13451	A	19980622		
	WO 1999-GB1954	W	19990622		

OS MARPAT 132:64523

AB Amino acid derivs. HONHCOCH(OR)CHR1CONHCHR2CONH(O)nR3 [R = Me substituted by one to three groups selected from alkyl, aryl, alkenyl, and alkynyl; n = 0 or 1; R1 = arylmethyl or heterocyclymethyl; R2 = alkyl, alkenyl, aryl, cycloalkyl, cycloalkenyl; R3 = H, alkyl, alkenyl, alkynyl, or aryl] were prepd. for treatment of disorders mediated by s-CD23 or TNF. Thus, N'-[3S-(allyloxy)-4-(hydroxyamino)-2R-(2-naphthylmethyl)succinyl]-S-tert-leucinamide was prepd. from tert-Bu (3R)-carboxy-4-(2-naphthyl)butyrate by conversion to the propiolactone deriv. using lithium bis(trimethylsilyl)amide and N-iodosuccinimide, coupling with (S)-tert-leucinamide, allylation, and conversion the hydroxylamide.

IT **253202-18-5 253202-19-6**

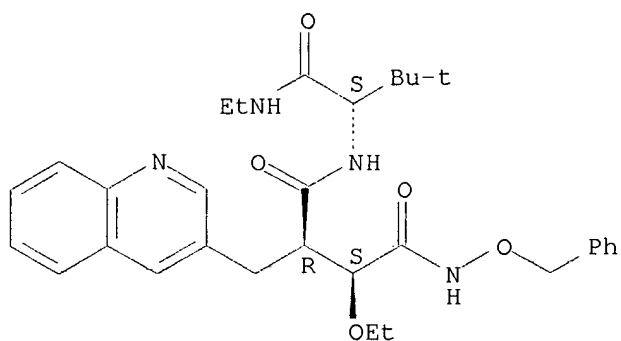
RL: RCT (Reactant)

(prepn. of hydroxamic acid derivs. as inhibitors of prodn. of human CD23 and release of TNF)

RN 253202-18-5 CAPLUS

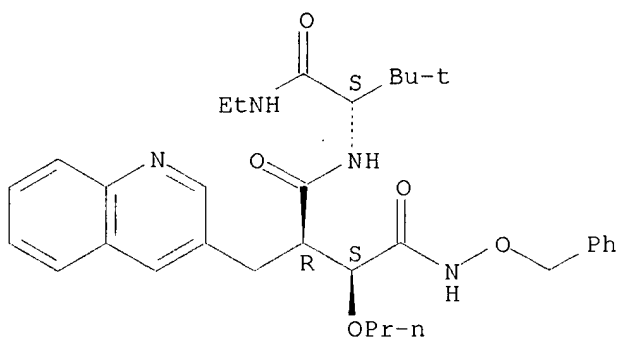
CN Butanediamide, 2-ethoxy-N4-[(1S)-1-[(ethylamino)carbonyl]-2,2-dimethylpropyl]-N1-(phenylmethoxy)-3-(3-quinolinylmethyl)-, (2S,3R)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



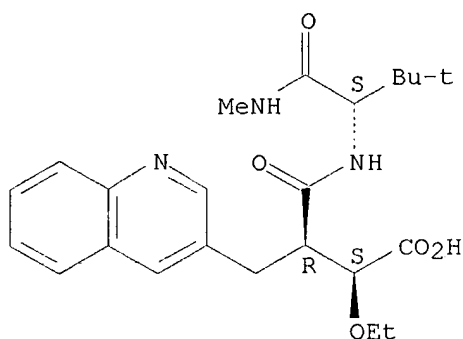
RN 253202-19-6 CAPLUS  
 CN Butanediamide, N4-[(1S)-1-[(ethylamino)carbonyl]-2,2-dimethylpropyl]-N1-(phenylmethoxy)-2-propoxy-3-(3-quinolinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **253201-85-3P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of hydroxamic acid derivs. as inhibitors of prodn. of human CD23 and release of TNF)  
 RN 253201-85-3 CAPLUS  
 CN 3-Quinolinebutanoic acid, .beta.-[[[(1S)-2,2-dimethyl-1-[(methylamino)carbonyl]propyl]amino]carbonyl]-.alpha.-ethoxy-, hydrochloride, (.alpha.S,.beta.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● x HCl

IT 253201-00-2P 253201-42-2P 253201-43-3P

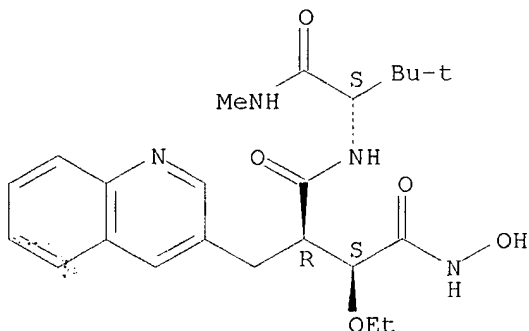
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxamic acid derivs. as inhibitors of prodn. of human CD23 and release of TNF)

RN 253201-00-2 CAPLUS

CN Butanediamide, N4-[(1S)-2,2-dimethyl-1-[(methylamino)carbonyl]propyl]-2-ethoxy-N1-hydroxy-3-(3-quinolinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

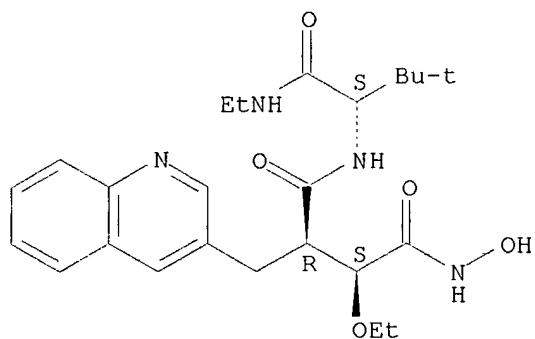
Absolute stereochemistry.



RN 253201-42-2 CAPLUS

CN Butanediamide, 2-ethoxy-N4-[(1S)-1-[(ethylamino)carbonyl]-2,2-dimethylpropyl]-N1-hydroxy-3-(3-quinolinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

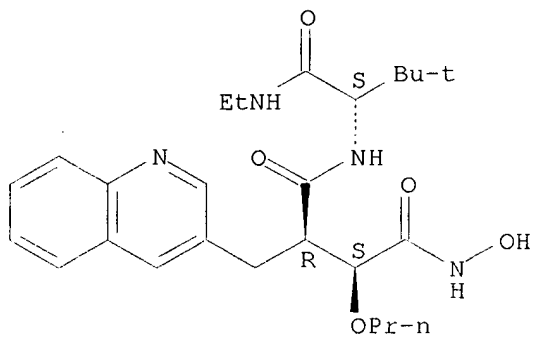
Absolute stereochemistry.



RN 253201-43-3 CAPLUS

CN Butanediamide, N1-[(1S)-1-[(ethylamino)carbonyl]-2,2-dimethylpropyl]-N4-hydroxy-3-propoxy-2-(3-quinolinylmethyl)-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



~~122~~ ANSWER 37 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1999:811230 CAPLUS

DN 132:64112

TI Preparation of halichondrin analogs as anticancer or antimitotic agents

IN Littlefield, Bruce A.; Palme, Monica; Seletsky, Boris M.; Towle, Murray J.; Yu, Melvin J.; Zheng, Wanjun

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965894	A1	19991223	WO 1999-US13677	19990616
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9945739	A1	20000105	AU 1999-45739	19990616
	BR 9911326	A	20010403	BR 1999-11326	19990616
	EP 1087960	A1	20010404	EP 1999-928746	19990616
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6214865	B1	20010410	US 1999-334488	19990616
	NO 2000006316	A	20010215	NO 2000-6316	20001212
PRAI	US 1998-89682	P	19980617		
	WO 1999-US13677	W	19990616		
OS	MARPAT 132:64112				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The halichondrin analogs I (A = C1-6 satd. or C2-6 unsatd. hydrocarbon skeleton, the skeleton may be unsubstituted or having 1-10 substituents, selected from cyano, halo, azido, oxo, alkoxy, amino, carbamoyl, etc.; D, D' = H, alkyl, haloalkyl, OH, alkoxy, haloalkyl, haloalkoxy; n = 0, 1; E = H, (un)substituted aryl, alkyl, OH, alkoxy, aryloxy, etc.; G = O, S, CH<sub>2</sub>, amino; J, J' = H, alkoxy, alkyl; J, J' = CH<sub>2</sub>, alkylenedioxy; Q = alkyl, T = ethylene, substituted ethylene; U, U' = H, alkoxy, alkyl; UU' = CH<sub>2</sub>, alkylenedioxy; X = H, alkoxy; Y, Y' = H, alkoxy, YY' = CH<sub>2</sub>, O, alkylenedioxy; Z, Z' = H, alkoxy; ZZ' = O, CH<sub>2</sub>; alkylenedioxy) and their pharmaceutically acceptable salts were prep'd. as anticancer or antimitotic agents. Thus, B1793 (II) was prep'd. starting from L-arabinose via condensation of fragment III with fragment IV. The IC<sub>50</sub> of II against DLD-1 human cancer cells was 0.93 nm.

IT **253128-43-7P**, B 1940

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

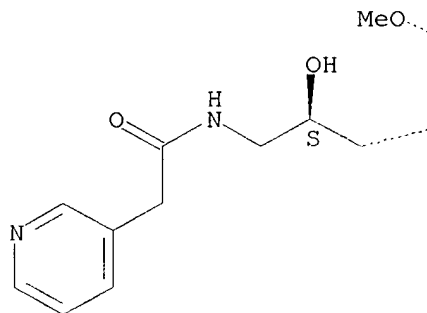
(prepn. of halichondrin analogs as anticancer or antimitotic agents)

RN 253128-43-7 CAPLUS

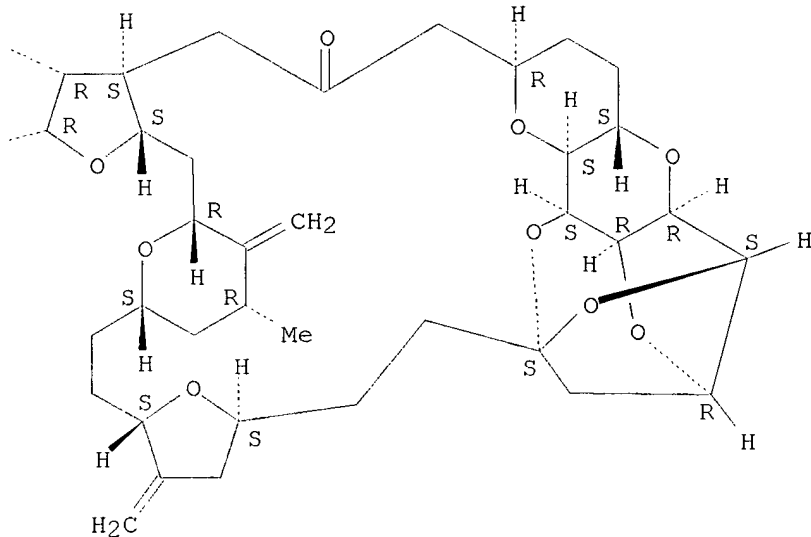
CN 3-Pyridineacetamide, N-[(2S)-3-[(2R,3R,3aS,7R,8aS,9S,10aR,11S,12R,13aR,13bS,15S,18S,21S,24S,26R,28R,29aS)-octacosahydro-3-methoxy-26-methyl-20,27-bis(methylene)-5-oxo-11,15:18,21:24,28-triepoxy-7,9-ethano-12,15-methano-9H,15H-furo[3,2-i]furo[2',3':5,6]pyrano[4,3-b][1,4]dioxacyclopentacosin-2-yl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~133~~ ANSWER 38 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:698078 CAPLUS

~~DN~~ 131:319669

TI Benzamide derivatives as histone deacetylase inhibitors for treating tumors and other diseases

IN Suzuki, Tsuneji; Ando, Tomoyuki; Tsuchiya, Katsutoshi; Nakanishi, Satoru; Saito, Akiko; Yamashita, Satoshi

PA Mitsui Chemicals Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 26 pp.

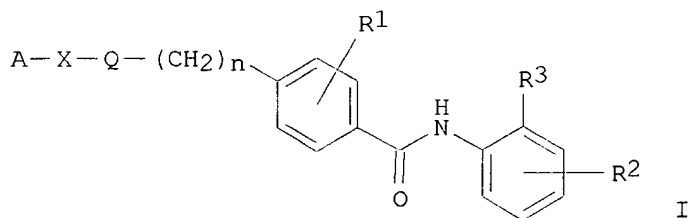
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11302173	A2	19991102	JP 1998-106742	19980416
OS	MARPAT 131:319669				
GI					



AB A series of benzamide derivs. (I; A=(substituted) pyridine, condensed pyridine; X=direct link; (CH)<sub>e</sub> etc. where e=1.apprx.4; Q=CONR<sub>7</sub>, etc. where R<sub>7</sub>=H, C1-4 alkyl; R<sub>1</sub>,R<sub>2</sub>=H, halo, OH, amino, C1-4 alkyl, etc.; R<sub>3</sub>=amino, OH; n=1.apprx.4) exhibiting the histone deacetylase-inhibiting activities are provided for treating tumors, autoimmune diseases, infectious diseases, skin diseases, allergy, vascular diseases, or for improving gene therapy effects. In vitro assessment of I for the histone deacetylase-inhibiting activities using histone deacetylase partially purified from K562 cells was demonstrated.

IT **209783-75-5 209784-28-1**

RL: BAC (Biological activity or effector, except adverse); THU

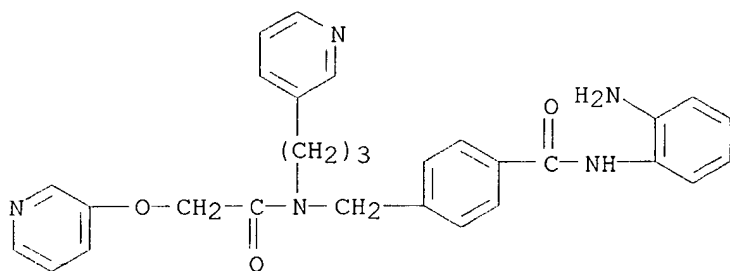
(Therapeutic use); BIOL (Biological study); USES (Uses)

(benzamide deriv.; benzamide derivs. as histone deacetylase inhibitors for treating tumors and other diseases)

RN 209783-75-5 CAPLUS

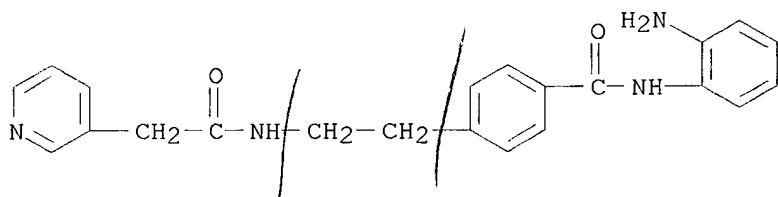
CN Benzamide, N-(2-aminophenyl)-4-[[[(3-pyridinyloxy)acetyl][3-(3-pyridinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

09/596,086



RN 209784-28-1 CAPLUS

CN 3-Pyridineacetamide, N-[2-[4-[[ (2-aminophenyl) amino] carbonyl] phenyl] ethyl]-  
(9CI) (CA INDEX NAME)



122 ANSWER 39 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1999:690954 CAPLUS

DN 131:307106

TI Use of vitamin PP compounds as cytoprotective agents in chemotherapy

IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

PA Klinge Pharma GmbH, Germany

SO PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

not  
prior  
art

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9953920	A1	19991028	WO 1999-EP2686	19990421
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	DE 19818044	A1	19991028	DE 1998-19818044	19980422
	EP 1031564	A1	20000830	EP 1999-103814	19990226
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	AU 9939282	A1	19991108	AU 1999-39282	19990421
	EP 1079832	A1	20010307	EP 1999-922119	19990421
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
	WO 2000050399	A1	20000831	WO 2000-EP1628	20000228
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1154998	A1	20011121	EP 2000-907642	20000228
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	DE 1998-19818044	A	19980422		
	EP 1999-103814	A	19990226		
	WO 1999-EP2686	W	19990421		
	WO 2000-EP1628	W	20000228		

OS MARPAT 131:307106

AB The invention relates to the use of vitamin PP compds. and/or compds. with anti-pellagra activity such as for example nicotinic acid (niacin), and nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the redn., elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, esp. with substituted pyridine carboxamides, as well as combination medicaments with an amt. of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents

are esp. considered in the mentioned chemotherapies and indications.  
Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with  
antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-  
yl)propionamide. There were no deaths in the nicotinamide-treated mice  
and the strong redn. of leukocytes was completely prevented.

IT 200868-03-7 201034-51-7 201034-93-7

201159-50-4 228114-73-6 228114-83-8

228114-85-0 228114-87-2 228114-94-1

228114-97-4 228114-99-6 228115-01-3

228115-03-5 228115-05-7 228115-06-8

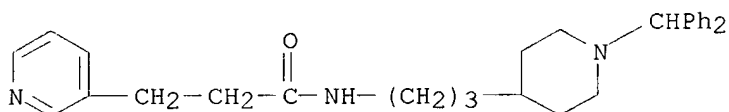
228115-08-0 228115-10-4 247241-14-1

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(vitamin PP compds. as cytoprotective agents in chemotherapy)

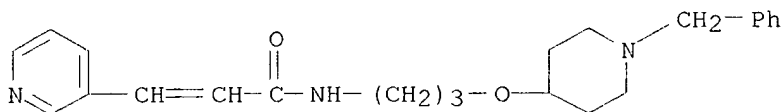
RN 200868-03-7 CAPLUS

CN 3-Pyridinepropanamide, N-[3-[1-(diphenylmethyl)-4-piperidinyl]propyl]-  
(9CI) (CA INDEX NAME)



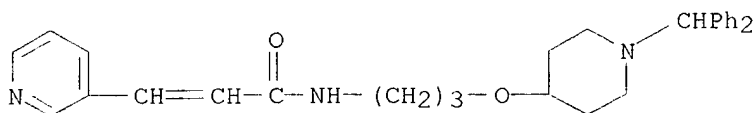
RN 201034-51-7 CAPLUS

CN 2-Propenamide, N-[3-[[1-(phenylmethyl)-4-piperidinyl]oxy]propyl]-3-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)



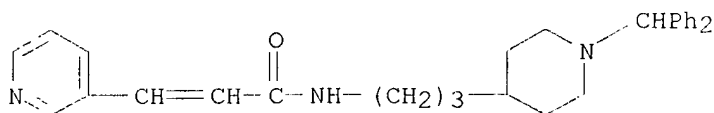
RN 201034-93-7 CAPLUS

CN 2-Propenamide, N-[3-[[1-(diphenylmethyl)-4-piperidinyl]oxy]propyl]-3-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)



RN 201159-50-4 CAPLUS

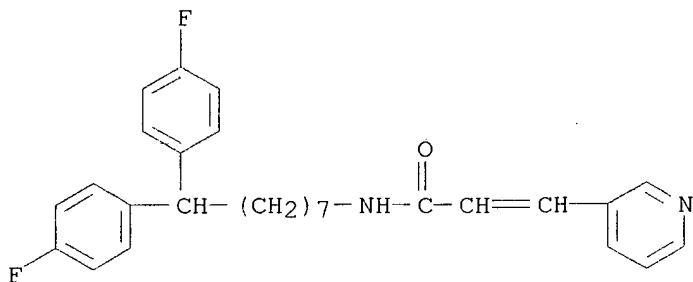
CN 2-Propenamide, N-[3-[1-(diphenylmethyl)-4-piperidinyl]propyl]-3-(3-  
pyridinyl)- (9CI) (CA INDEX NAME)



09/596,086

RN 228114-73-6 CAPLUS

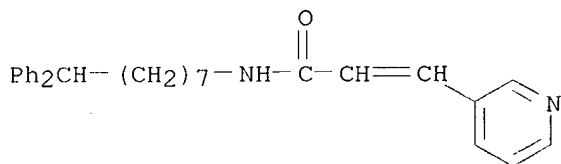
CN 2-Propenamide, N-[8,8-bis(4-fluorophenyl)octyl]-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

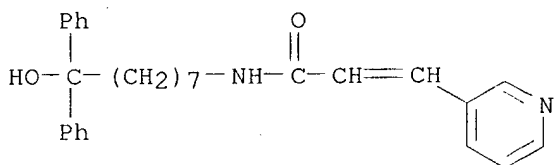
RN 228114-83-8 CAPLUS

CN 2-Propenamide, N-(8,8-diphenyloctyl)-3-(3-pyridinyl)- (9CI) (CA INDEX  
NAME)



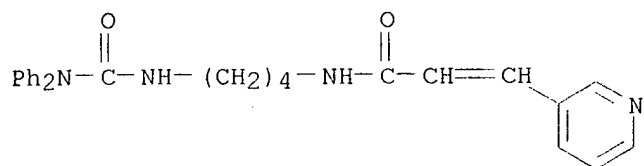
RN 228114-85-0 CAPLUS

CN 2-Propenamide, N-(8-hydroxy-8,8-diphenyloctyl)-3-(3-pyridinyl)- (9CI) (CA  
INDEX NAME)



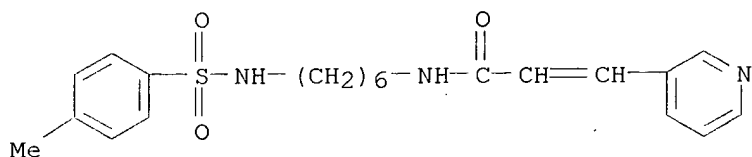
RN 228114-87-2 CAPLUS

CN 2-Propenamide, N-[4-[[[(diphenylamino)carbonyl]amino]butyl]-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)]



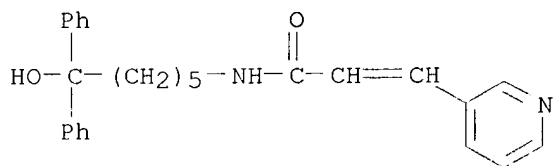
RN 228114-94-1 CAPLUS

CN 2-Propenamide, N-[6-[[4-methylphenyl)sulfonyl]amino]hexyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



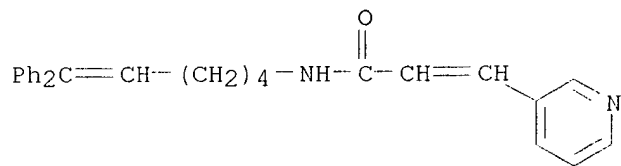
RN 228114-97-4 CAPLUS

CN 2-Propenamide, N-(6-hydroxy-6,6-diphenylhexyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 228114-99-6 CAPLUS

CN 2-Propenamide, N-(6,6-diphenyl-5-hexenyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

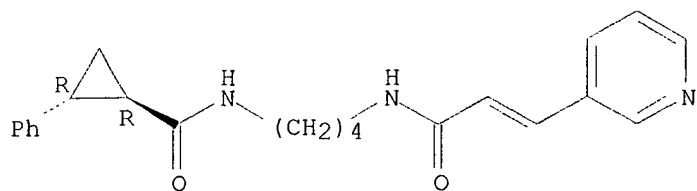


RN 228115-01-3 CAPLUS

CN Cyclopropanecarboxamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]-2-phenyl-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

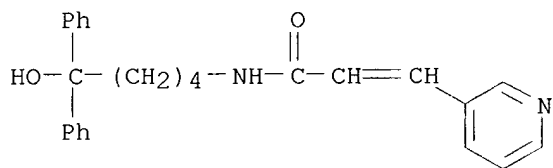
Relative stereochemistry.  
Double bond geometry unknown.





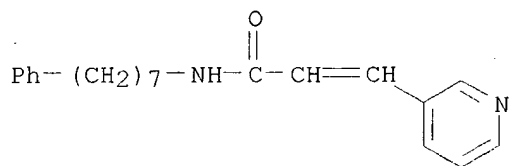
RN 228115-03-5 CAPLUS

CN 2-Propenamide, N-(5-hydroxy-5,5-diphenylpentyl)-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



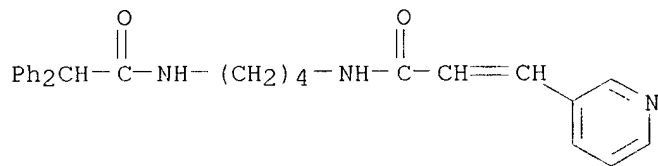
RN 228115-05-7 CAPLUS

CN 2-Propenamide, N-(7-phenylheptyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



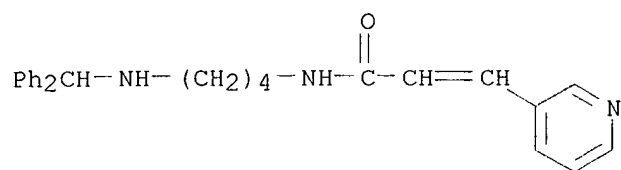
RN 228115-06-8 CAPLUS

CN Benzeneacetamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]-  
.alpha.-phenyl- (9CI) (CA INDEX NAME)



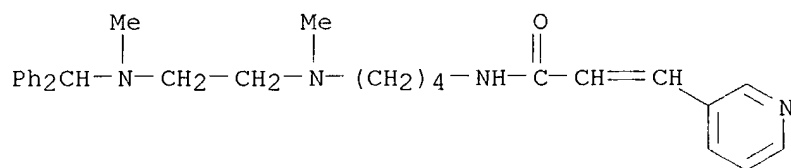
RN 228115-08-0 CAPLUS

CN 2-Propenamide, N-[4-[(diphenylmethyl)amino]butyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



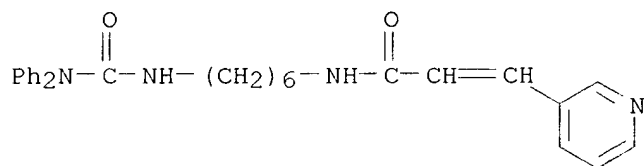
RN 228115-10-4 CAPLUS

CN 2-Propenamide, N-[4-[[2-[(diphenylmethyl)methylamino]ethyl]methylamino]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 247241-14-1 CAPLUS

CN 2-Propenamide, N-[6-[[[(diphenylamino)carbonyl]amino]hexyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)]



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~12~~ ANSWER 40 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1999:487312 CAPLUS

DN 131:130288

TI Preparation of peptides as efflux pump inhibitors

IN Chamberland, Suzanne; Lee, May; Lee, Ving J.; Leger, Roger; Renau, Thomas; She, Miles; Zhang, Zhijia J.

PA Microcide Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 206 pp.

CODEN: PIXXD2

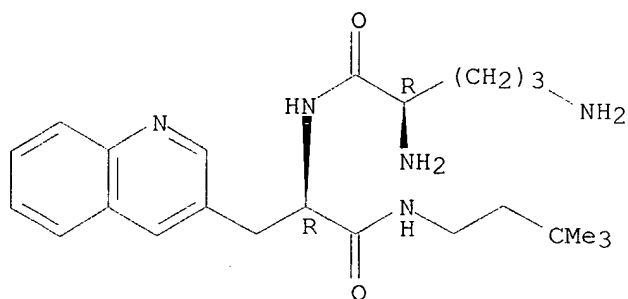
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	WO 9937667	A1	19990729	WO 1999-US1422	19990122
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6114310	A	20000905	US 1998-12363	19980123
	US 6245746	B1	20010612	US 1998-20001	19980204
	US 6204279	B1	20010320	US 1998-89734	19980603
	AU 9923375	A1	19990809	AU 1999-23375	19990122
PRAI	US 1998-12363	A	19980123		
	US 1998-20001	A	19980204		
	US 1998-89734	A	19980603		
	WO 1999-US1422	W	19990122		
OS	MARPAT 131:130288				
AB	Compds. RCHW-A-NR2-CHRI-M-P-X [M = (CH <sub>2</sub> ) <sub>n</sub> (n = 0, 1, 2), P = CO, CONH, CO <sub>2</sub> , CH <sub>2</sub> , CH(OH) of (R)- or (S)-configuration, S, SO, or SO <sub>2</sub> ; A = CO, CH(OH)CH <sub>2</sub> of (R)- or (S)-configuration; R, R <sub>1</sub> , R <sub>2</sub> = H, alkyl, fluoroalkyl, mono- or disubstituted aryl, thienyl, furyl, etc.; W = (.alpha.-aminoacyl)amido, aminoalkyl, NH <sub>2</sub> or mono- or disubstituted amino, (un)substituted heterocyclyl, OH, alkoxy, alkylthio; X = (un)substituted aryl, imidazolyl, oxazolyl, thiazolyl, quinolyl, etc.] were prepd. as efflux pump inhibitors which increase the susceptibility of microbes to antimicrobial agents. In vitro microbiol. data for antibiotic potentiation are tabulated for 210 compds., including phenylalanyl-ornithine quinoline-3-amide.				
IT	<b>233687-40-6P 233687-42-8P 233687-44-0P</b>				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of peptides as efflux pump inhibitors)				
RN	233687-40-6 CAPLUS				
CN	D-Alaninamide, D-ornithyl-N-(3,3-dimethylbutyl)-3-(3-quinoliny)- (9CI)				
	(CA INDEX NAME)				

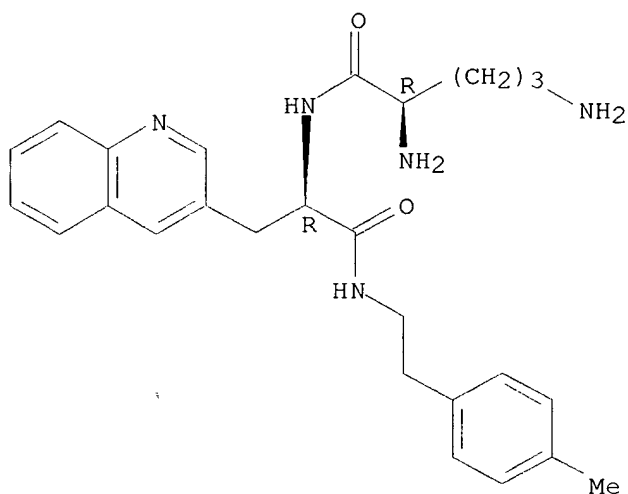
Absolute stereochemistry.



RN 233687-42-8 CAPLUS

CN D-Alaninamide, D-ornithyl-N-[2-(4-methylphenyl)ethyl]-3-(3-quinoliny)- (9CI) (CA INDEX NAME)

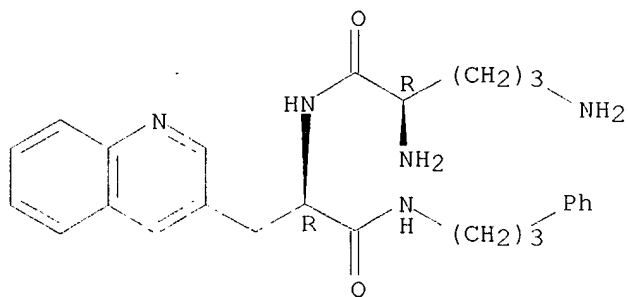
Absolute stereochemistry.



RN 233687-44-0 CAPLUS

CN D-Alaninamide, D-ornithyl-N-(3-phenylpropyl)-3-(3-quinoliny)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~22~~ ANSWER 41 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:421655 CAPLUS

DN 131:58762

TI Preparation of benzazine derivatives as phosphodiesterase 4 inhibitors

IN Napoletano, Mauro; Norcini, Gabriele; Botta, Daniela; Grancini, Giancarlo; Morazzoni, Gabriele

PA Zambon Group S.p.A., Italy

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

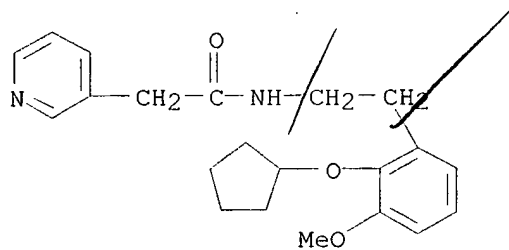
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932449	A2	19990701	WO 1998-EP8292	19981217
	WO 9932449	A3	19990930		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9922742	A1	19990712	AU 1999-22742	19981217
	EP 1060173	A2	20001220	EP 1998-966359	19981217
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
	JP 2001526264	T2	20011218	JP 2000-525386	19981217
	US 6297257	B1	20011002	US 2000-581505	20000713
PRAI	IT 1997-MI2807	A	19971219		
	WO 1998-EP8292	W	19981217		
GI	For diagram(s), see printed CA Issue.				
AB	The title compds. I [A = ortho-condensed heterocycle optionally substituted by (C1-4)alkyl, (C1-4)alkoxy or COOR', and necessarily substituted by a -BCy group wherein B is methylene, ethylene, amino, CONH or a bond; and is a 5- or 6-membered heterocycle contg. from 1 to 3 nitrogen atom(s) optionally substituted by one or more halogen(s); R1 = (C1-6)alkyl, polyfluoro(C1-6)alkyl group; R2 = aryl, aryl(C1-10)alkyl, (C4-7)cycloalkyl group optionally contg. an oxygen atom and optionally substituted by a polar substituent], PDE 4 and TNF.alpha. inhibitors, were prepd. E.g., 7-cyclopentyloxy-1-(3,5-dichloropyridin-4-ylmethyl)-6-methoxy-3,4-dihydroisoquinoline hydrochloride was prepd.				
IT	<b>227781-75-1P</b>				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of benzazine derivs. as phosphodiesterase 4 and TNF.alpha. inhibitors)				
RN	227781-75-1 CAPLUS				
CN	3-Pyridineacetamide, N-[2-[2-(cyclopentyloxy)-3-methoxyphenyl]ethyl]-(9CI) (CA INDEX NAME)				

09/596,086



09/596,086

~~LA~~ 2 ANSWER 42 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:421652 CAPLUS

DN 131:73562

TI Preparation of dihydropyridine derivatives as N-type calcium channel blockers

IN Niwa, Seiji; Ohno, Seiji; Onishi, Tomoyuki; Kito, Morikazu; Takahara, Akira; Ono, Yukitsugu; Uneyama, Hisayuki

PA Ajinomoto Co., Inc., Japan

SO PCT Int. Appl., 101 pp.

CODEN: PIXXD2

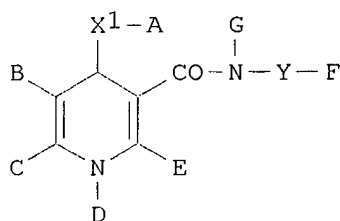
DT Patent

LA Japanese

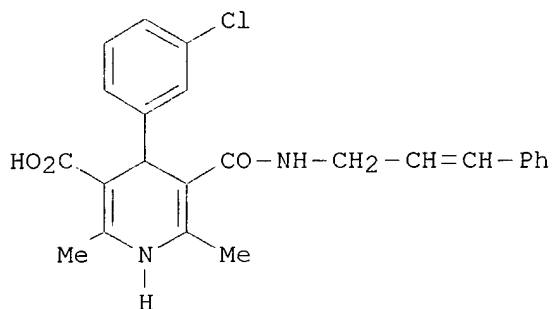
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932446	A1	19990701	WO 1998-JP5801	19981222
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9916851	A1	19990712	AU 1999-16851	19981222
	EP 1043314	A1	20001011	EP 1998-961470	19981222
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI			
	US 6350762	B1	20020226	US 1999-403575	19991025
PRAI	JP 1997-353370	A	19971222		
	JP 1998-303067	A	19981023		
	JP 1998-303098	A	19981023		
	WO 1998-JP5801	W	19981222		
OS	MARPAT 131:73562				
GI					

not  
prior  
art



I



II

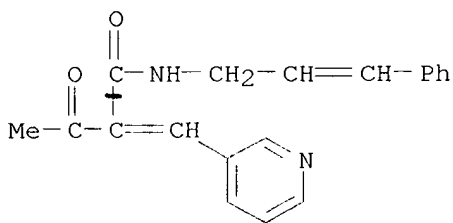
AB The title compds. I [A = (un)substituted Ph (generic structure given), 1-naphthyl, etc.; B = cyano, nitro, etc.; C = H, alkyl, etc.; D = H, alkyl, etc.; E = H, alkyl, cyano, etc.; F = aryl, etc.; G = H, alkyl; X1 = bond, CH<sub>2</sub>, etc.; Y = CH<sub>2</sub>C.tplbond.C, etc.] are prepd. In an in vitro test for N-type calcium channel blocking activity, the title compd. II showed the pIC<sub>50</sub> of 5.3.

IT **228562-46-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of dihydropyridine derivs. as N-type calcium channel blockers)

RN 228562-46-7 CAPLUS

CN Butanamide, 3-oxo-N-(3-phenyl-2-propenyl)-2-(3-pyridinylmethylene)- (9CI)  
(CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



~~LX2~~ ANSWER 43 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:404983 CAPLUS

DN 131:45107

TI Preparation of peptidyl antipicornaviral compounds

IN Webber, Stephen E.; Dragovich, Peter S.; Prins, Thomas J.; Littlefield, Ethel S.; Marakovits, Joseph T.; Babine, Robert E.

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DT Patent

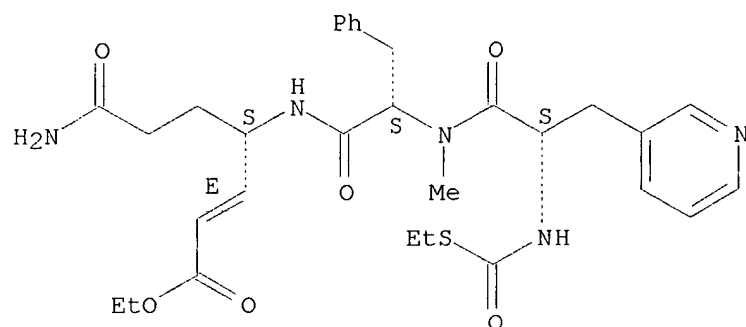
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9931122	A1	19990624	WO 1998-US26583	19981215
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM,				
	RW:				
	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 5962487	A	19991005	US 1997-991739	19971216
	AU 9918262	A1	19990705	AU 1999-18262	19981215
	EP 1037905	A1	20000927	EP 1998-963184	19981215
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 9813651	A	20001003	BR 1998-13651	19981215
	NO 2000003067	A	20000815	NO 2000-3067	20000615
PRAI	US 1997-991739	A	19971216		
	WO 1998-US26583	W	19981215		
OS	MARPAT 131:45107				
AB	Picornaviral 3C protease inhibitors R8R4NCR3R6C(:M)NR7CR2R5CR1:CZZ1 [M = O, S; R1 = H, F, alkyl, OH, SH, O-alkyl group; R2, R5 = H, alkyl, X-Y1-A1(B1)D1, X-Y2-A2(B2)D2 (X = :CH, :CF, CH2, CF2, CHF, S; Y1, Y2 = :CH, :CF; or X and Y1 or Y2 may form a ring; A1, A2 = C, CH, CF, S, P, Se, N, etc.; D1 and D2 are moieties with a lone pair of electrons capable of forming a hydrogen bond; B1, B2 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.), R3, R6 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, CHO, OH, SH, etc.; R4 is any suitable org. moiety or R4 and R3 or R6 may form a ring; R7, R8 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc. or R4 and R8 may form a ring; Z, Z1 are H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.] were prepd. Thus, Et 3-(Cbz-L-N-Me-Phe-L-Gln)-E-propenoate (Cbz = benzyloxycarbonyl) was prepd. and showed Ki >100 .mu.M for inhibition of Rhinovirus protease.				
IT	227613-86-7P 227614-26-8P 227614-67-7P 227615-06-7P 227615-45-4P 227615-84-1P				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of peptidyl antipicornaviral compds.)				
RN	227613-86-7 CAPLUS				
CN	L-Phenylalaninamide, N-[(ethylthio)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-N.alpha.-methyl-(9CI) (CA INDEX NAME)				

09/596,086

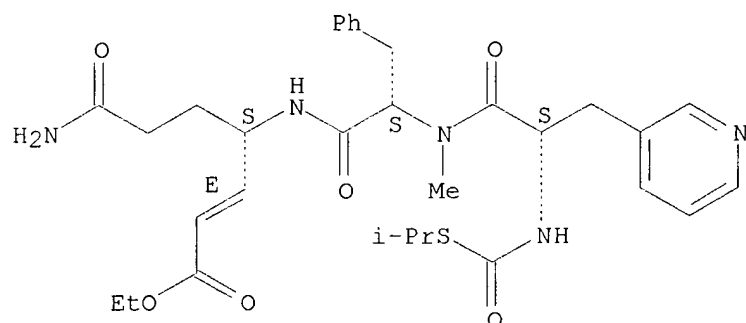
Absolute stereochemistry.  
Double bond geometry as shown.



RN 227614-26-8 CAPLUS

CN L-Phenylalaninamide, N-[[ (1-methylethyl)thio]carbonyl]-3-(3-pyridinyl)-L-alanyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-N.alpha.-methyl- (9CI) (CA INDEX NAME)

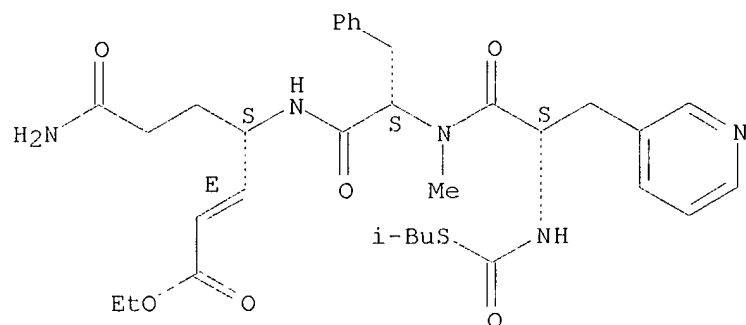
Absolute stereochemistry.  
Double bond geometry as shown.



RN 227614-67-7 CAPLUS

CN L-Phenylalaninamide, N-[[ (2-methylpropyl)thio]carbonyl]-3-(3-pyridinyl)-L-alanyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-N.alpha.-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



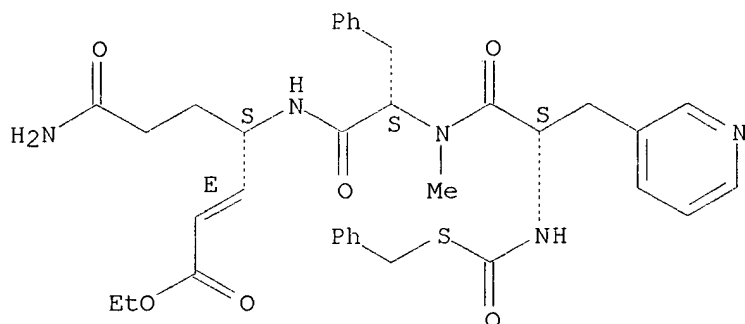
09/596,086

RN 227615-06-7 CAPLUS

CN L-Phenylalaninamide, N-[[ (phenylmethyl)thio]carbonyl]-3-(3-pyridinyl)-L-alanyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-N.alpha.-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

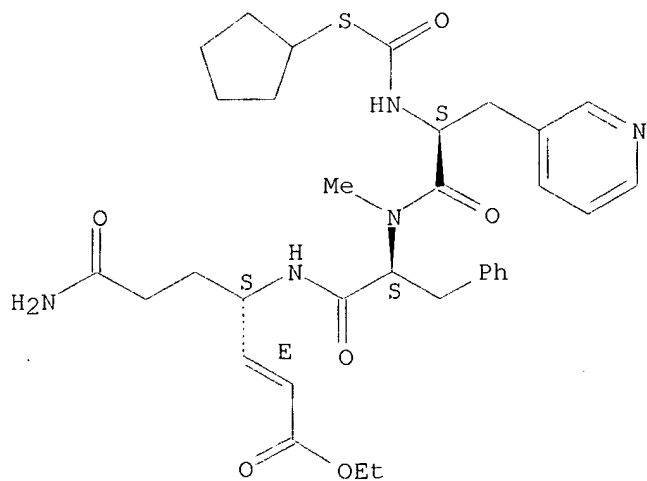


RN 227615-45-4 CAPLUS

CN L-Phenylalaninamide, N-[(cyclopentylthio)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-N.alpha.-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

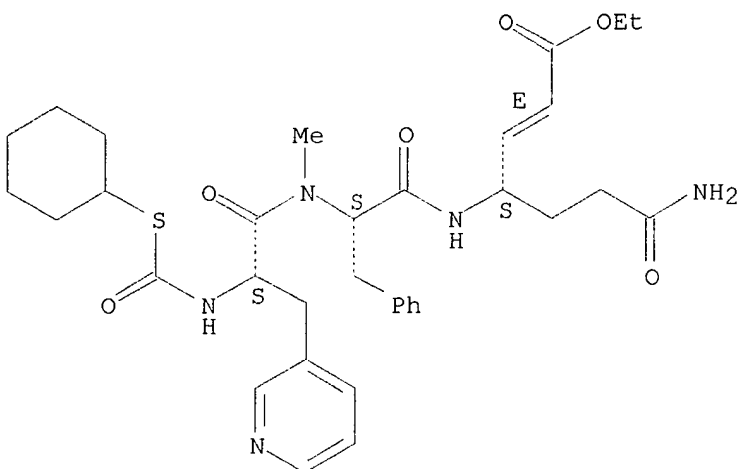


RN 227615-84-1 CAPLUS

CN L-Phenylalaninamide, N-[(cyclohexylthio)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-N.alpha.-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~E2~~ ANSWER 44 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:404952 CAPLUS

DN 131:58758

TI Cyclic imide-substituted pyridylalkanecarboxamides, pyridylalkenecarboxamides and pyridylalkynecarboxamides useful as cytostatic and immunosuppressive agents

IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

PA Klinge Pharma G.m.b.H., Germany

SO PCT Int. Appl., 168 pp.

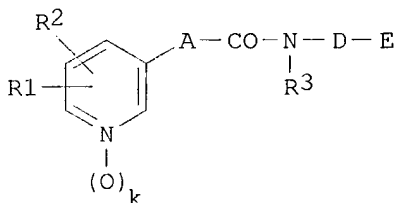
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9931087	A1	19990624	WO 1998-EP8267	19981216
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	DE 19756212	A1	19990701	DE 1997-19756212	19971217
	ZA 9811231	A	19990608	ZA 1998-11231	19981208
	AU 9924146	A1	19990705	AU 1999-24146	19981216
	EP 1042315	A1	20001011	EP 1998-966634	19981216
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
PRAI	DE 1997-19756212	A	19971217		
	WO 1998-EP8267	W	19981216		
OS	MARPAT 131:58758				
GI					



AB Pyridine derivs. I [R1 = H, OH, halo, CN, or org. group; R2 = H, halo, CN, alkyl, trifluoromethyl, OH, alkoxy, or aralkoxy; R3 = H, alkyl, alkenyl, alkynyl, OH, alkoxy, or aryloxy; A = (substituted) alkylene, 1,2-cyclopropylene, (substituted) alkenylene, (substituted) alkadienylene, (substituted) hexatrienylene, or ethynylene; D = (substituted) alkylene, (substituted) alkenylene, (substituted) alkynylene (in which 1-3 CH2 units is isosterically replaced by O, S, NR4, CO, SO, or SO2, R4 = H, alkyl, alkenyl, acyl, or alkanesulfonyl); E = N-substituted cyclic imide or N-substituted cyclic sulfonimide; k = 0 or 1] are manufd. for use as cytostatic agents and immunosuppressive agents. Thus, slowing adding 46.9 mmol oxalyl chloride to 20 mmol 3-(3-pyridyl)acrylic acid suspended in

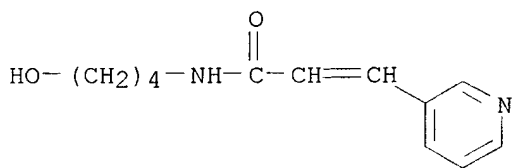
CH<sub>2</sub>Cl<sub>2</sub>, stirring the mixt. with ice-cooling for 30 min and then at room temp. overnight, suspending the resulting acid chloride in CH<sub>2</sub>Cl<sub>2</sub>, cooling to 0.degree. under anhyd. conditions, adding 17.6 mmol 4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butylamine-HCl in CH<sub>2</sub>Cl<sub>2</sub> and 39.5 mmol Et<sub>3</sub>N dropwise, and stirring an addnl. 2 h at room temp. gave N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butyl]-3-pyridin-3-ylacrylamide.

IT **227473-15-6P**

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation)  
(precursor; cyclic imide-substituted pyridyl carboxamides for  
cytostatic and immunosuppressive agents)

RN 227473-15-6 CAPLUS

CN 2-Propenamide, N-(4-hydroxybutyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 45 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1999:404933 CAPLUS

DN 131:58757

TI Aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides useful as cytostatic and immunosuppressive agents

IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

PA Klinge Pharma G.m.b.H., Germany

SO PCT Int. Appl., 208 pp.

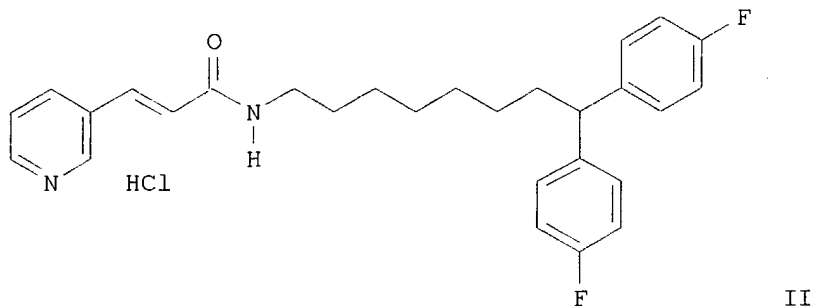
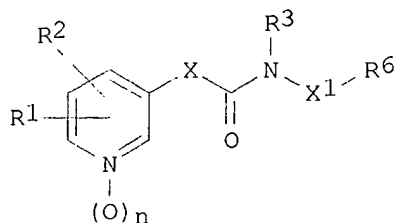
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9931064	A1	19990624	WO 1998-EP8272	19981216
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	DE 19756261	A1	19990701	DE 1997-19756261	19971217
	ZA 9811240	A	19990608	ZA 1998-11240	19981208
	AU 9922740	A1	19990705	AU 1999-22740	19981216
	EP 1042291	A1	20001011	EP 1998-966352	19981216
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
PRAI	DE 1997-19756261	A	19971217		
	WO 1998-EP8272	W	19981216		
OS	MARPAT 131:58757				
GI					



AB The pyridine-contg. carboxamides I [ $n = 0, 1$ ;  $R_1 = H, \text{halo, cyano, alkyl, alkenyl, alkynyl, alkoxy, HO, H}_2\text{NCO, alkylthio, PhO, pyridyloxy, R}_4\text{R}_5\text{N}$  ( $R_4, R_5 = H, \text{alkyl, alkenyl, alkynyl, aralkyl, aryl}$ ), etc.;  $R_2 = H, \text{halo, cyano, alkyl, fluoroalkyl, HO, alkoxy, PhCH}_2\text{O, etc.}$ ;  $R_3 = H, \text{alkyl, alkenyl, alkynyl, HO, alkoxy, aralkyloxy, etc.}$ ;  $X = \text{alkylene substituted by alkyl, HO, alkoxy, F, aryl}$ ; alkylene with methylene unit isosterically replaced by O, S, NH, substituted NH, CO, SO, SO<sub>2</sub>; 1,2-cyclopropylene, alkenylene, alkadienylene, hexatrienylene, ethynylene;  $X_1 = \text{substituted alkylene, alkenylene, alkynylene, and alkylene, alkenylene, or alkynylene with methylene units replaced by O, S, NH, substituted NH, CO, SO, or SO}_2$ ;  $R_6 = R_7(\text{CR}_8\text{R}_9)_m$ ;  $m = 0, 1$ ;  $R_7 = \text{aralkyl, heterocyclyl, carbocyclyl, R}_8$ ,  $R_9 = H, \text{HO, alkyl alkenyl, alkynyl, cycloalkyl, aralkyl, etc.}$ ;  $R_6 = \text{R}_8\text{R}_9\text{C:}$ ;  $R_8, R_9 = \text{as above or R}_8\text{R}_9\text{C:} = \text{carbocyclic or heterocyclic ring system bound over the C atom}$ ;  $R_6 = R_7(\text{CR}_8\text{R}_9)_m(\text{CH}_2)_p\text{-X}_2$ ;  $R_7, R_8, R_9, m$  as above;  $p = 1-2$ ;  $X_2 = \text{substituted NH, O, S}$ ;  $R_6 = \text{NR}_8\text{R}_9$ ,  $R_8, R_9$  as above or  $\text{NR}_8\text{R}_9 = \text{N-heterocyclyl}$ ;  $R_6 = R_7(\text{CR}_8\text{R}_9)_m\text{-X}_3\text{-CONH-}$ ;  $R_7, R_8, R_9, m$  as above,  $X_3 = \text{bond, methylene, ethylene, cycloalkylene, etc.}$ ;  $R_6 = \text{substituted sulfonylamino}$ ;  $R_6 = \text{Ar(Ar}_1\text{)P(O)-}$ ;  $\text{Ar, Ar}_1 = \text{aryl, heteroaryl}$ ] were prepd. for use as cytostatic and immunosuppressive agents. Thus, 3-(3-pyridinyl)acrylic acid was chlorinated with oxalyl chloride and then amidated with (4-FC<sub>6</sub>H<sub>4</sub>)<sub>2</sub>CH(CH<sub>2</sub>)<sub>7</sub>NH<sub>2</sub> to give the N-octylacrylamide II which inhibited HepG2 cells from a human liver carcinoma with IC<sub>50</sub> = 0.05 .mu.M.

IT 228114-73-6P 228114-77-0P 228114-83-8P

228114-85-0P 228114-87-2P 228114-94-1P

228114-97-4P 228114-99-6P 228115-01-3P

228115-03-5P 228115-05-7P 228115-06-8P

228115-08-0P 228115-10-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

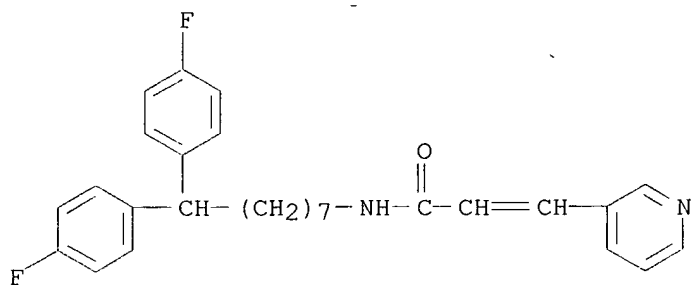
(prepn. of aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides as cytostatic and immunosuppressive agents)

RN 228114-73-6 CAPLUS



09/596,086

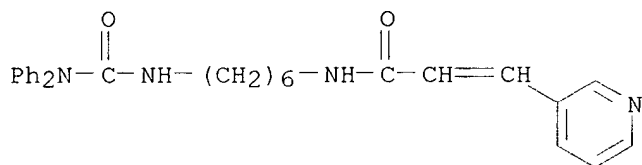
CN 2-Propenamide, N-[8,8-bis(4-fluorophenyl)octyl]-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 228114-77-0 CAPLUS

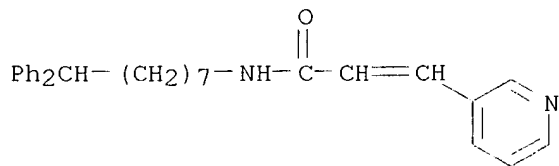
CN 2-Propenamide, N-[6-[[ (diphenylamino) carbonyl] amino]hexyl]-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

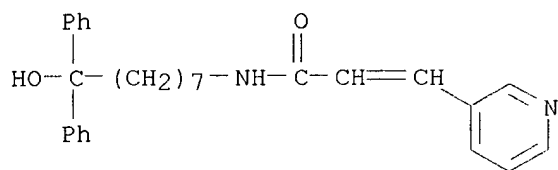
RN 228114-83-8 CAPLUS

CN 2-Propenamide, N-(8,8-diphenyloctyl)-3-(3-pyridinyl)- (9CI) (CA INDEX  
NAME)



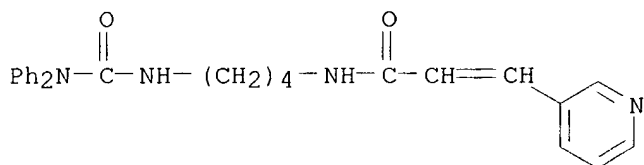
RN 228114-85-0 CAPLUS

CN 2-Propenamide, N-(8-hydroxy-8,8-diphenyloctyl)-3-(3-pyridinyl)- (9CI) (CA  
INDEX NAME)



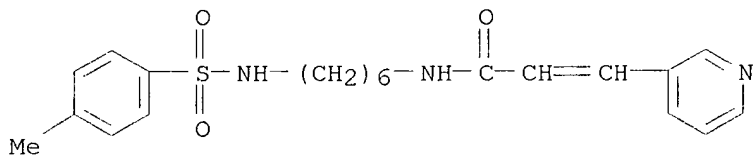
RN 228114-87-2 CAPLUS

CN 2-Propenamide, N-[4-[[[(diphenylamino)carbonyl]amino]butyl]-3-(3-pyridinyl)]- (9CI) (CA INDEX NAME)



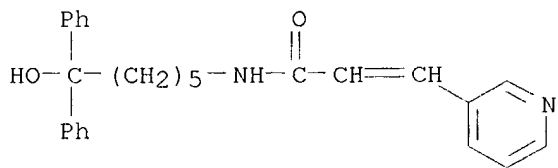
RN 228114-94-1 CAPLUS

CN 2-Propenamide, N-[6-[[[(4-methylphenyl)sulfonyl]amino]hexyl]-3-(3-pyridinyl)]- (9CI) (CA INDEX NAME)



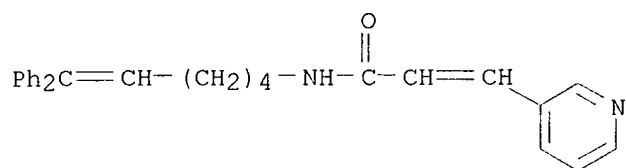
RN 228114-97-4 CAPLUS

CN 2-Propenamide, N-(6-hydroxy-6,6-diphenylhexyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 228114-99-6 CAPLUS

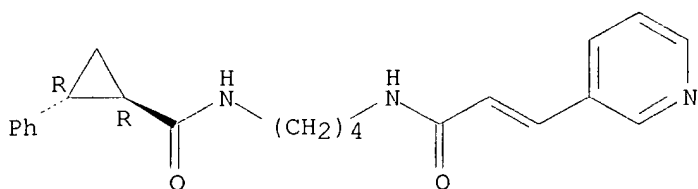
CN 2-Propenamide, N-(6,6-diphenyl-5-hexenyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 228115-01-3 CAPLUS

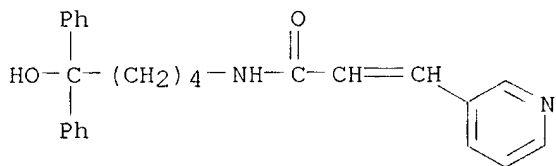
CN Cyclopropanecarboxamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]-2-phenyl-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.



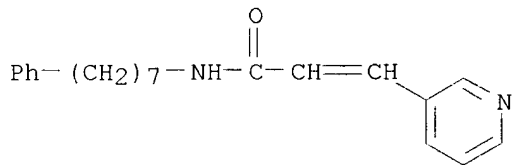
RN 228115-03-5 CAPLUS

CN 2-Propenamide, N-(5-hydroxy-5,5-diphenylpentyl)-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



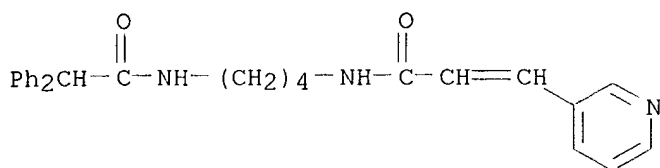
RN 228115-05-7 CAPLUS

CN 2-Propenamide, N-(7-phenylheptyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



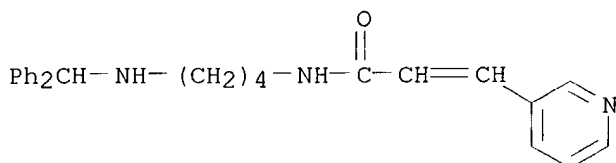
RN 228115-06-8 CAPLUS

CN Benzeneacetamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]-.alpha.-phenyl- (9CI) (CA INDEX NAME)



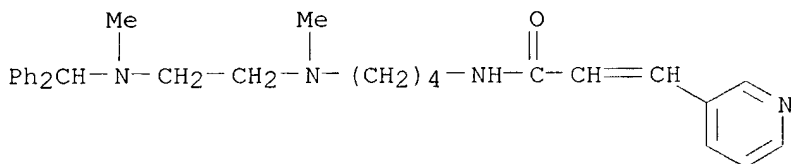
RN 228115-08-0 CAPLUS

CN 2-Propenamide, N-[4-[(diphenylmethyl)amino]butyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 228115-10-4 CAPLUS

CN 2-Propenamide, N-[4-[[2-[(diphenylmethyl)methylamino]ethyl]methylamino]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

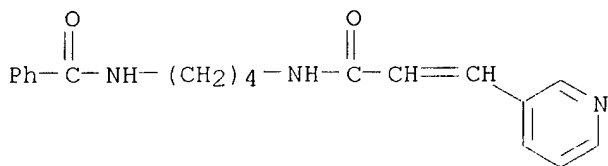


IT **228115-31-9**

RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(prepn. of aryl-substituted pyridyl alkane, alkene, and alkyne  
carboxamides as cytostatic and immunosuppressive agents)

RN 228115-31-9 CAPLUS

CN Benzamide, N-[4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]butyl]- (9CI)  
(CA INDEX NAME)



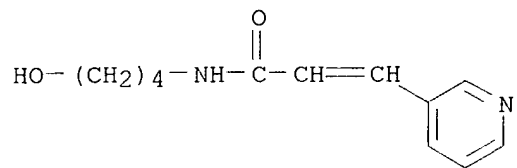
IT **227473-15-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of aryl-substituted pyridyl alkane, alkene, and alkyne  
carboxamides as cytostatic and immunosuppressive agents)

RN 227473-15-6 CAPLUS

09/596,086

CN 2-Propenamide, N-(4-hydroxybutyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 46 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1999:393986 CAPLUS

DN 131:59143

TI Preparation of peptide analogs as retroviral protease inhibitors

IN Sham, Hing Leung; Norbeck, Daniel W.; Chen, Xiaoqi; Betebenner, David A.

PA Abbott Laboratories, USA

SO U.S., 44 pp., Cont.-in-part of U.S. Ser. No. 572,226, abandoned.

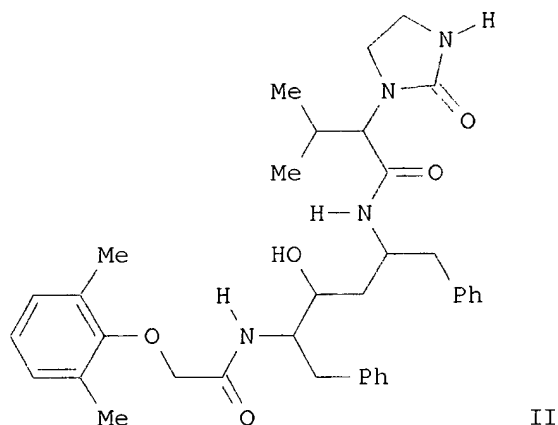
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5914332	A	19990622	US 1996-753201	19961121
	CA 2238978	AA	19970619	CA 1996-2238978	19961206
	WO 9721685	A1	19970619	WO 1996-US20440	19961206
	W: AU, CA, CN, CZ, HU, IL, JP, KR, MX, NZ				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9713422	A1	19970703	AU 1997-13422	19961206
	AU 725369	B2	20001012		
	EP 882024	A1	19981209	EP 1996-944941	19961206
	EP 882024	B1	20020206		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	CN 1208405	A	19990217	CN 1996-199904	19961206
	JP 2000502085	T2	20000222	JP 1997-522278	19961206
	JP 3170292	B2	20010528		
	JP 2001058979	A2	20010306	JP 2000-190510	19961206
	EP 1170289	A2	20020109	EP 2001-124290	19961206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	ZA 9610475	A	19970731	ZA 1996-10475	19961212
	US 6284767	B1	20010904	US 1998-207873	19981208
	US 6313296	B1	20011106	US 2000-511390	20000223
	US 2002004503	A1	20020110	US 2001-837280	20010418
PRAI	US 1995-572226	B2	19951213		
	US 1996-753201	A	19961121		
	EP 1996-944941	A3	19961206		
	JP 1997-522278	A3	19961206		
	WO 1996-US20440	W	19961206		
	US 1998-207873	A3	19981208		
OS	MARPAT 131:59143				
GI					



AB R4Z1CONHCHR1CH(OH)CH2CHR2NHCOCHR3R5 [I; R1,R2 = lower alkyl, cycloalkylalkyl, arylalkyl; R3 = lower alkyl, hydroxyalkyl, cycloalkylalkyl; R4 = aryl, heterocyclyl; R5 = N-attached (thi)oxo- or iminoazacycloalkyl; Z1 = Z, O, S, (alkyl)imino, OZ, ZO, NHZ, etc.; Z = alkylene] were prepd. Thus, title compd. (S,S,S)-II was prepd. in 8 steps from L-phenylalanine. Data for biol. activity of I were given.

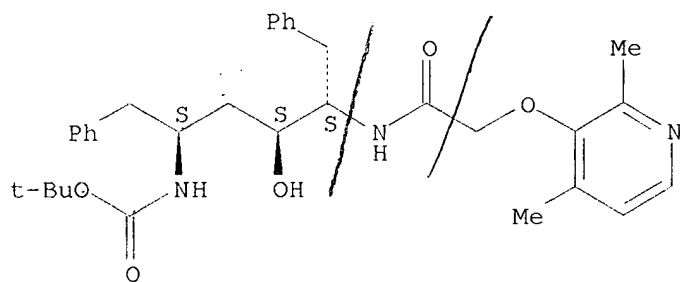
IT **192725-66-9P 192725-67-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of peptide analogs as retroviral protease inhibitors for inhibiting HIV infection)

RN 192725-66-9 CAPLUS

CN Carbamic acid, [(1S,3S,4S)-4-[[[(2,4-dimethyl-3-pyridinyl)oxy]acetyl]amino]-3-hydroxy-5-phenyl-1-(phenylmethyl)pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

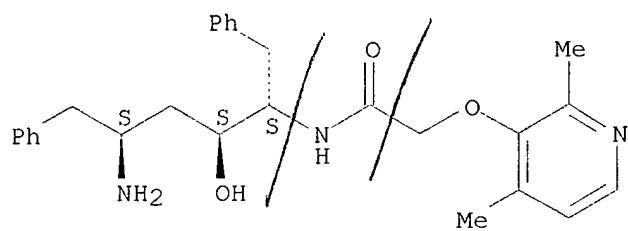


RN 192725-67-0 CAPLUS

CN Acetamide, N-[(1S,2S,4S)-4-amino-2-hydroxy-5-phenyl-1-(phenylmethyl)pentyl]-2-[(2,4-dimethyl-3-pyridinyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/596,086



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L22 ANSWER 47 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1999:355790 CAPLUS

DN 131:5531

TI Liquid phase process for the preparation of GnRH peptides

IN Palmer, David C.; Magid, Abdel Ahmed; Breslav, Michael S.; Eggmann, Urs P.; Haslego, Mark L.; Sorgi, Kirk L.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

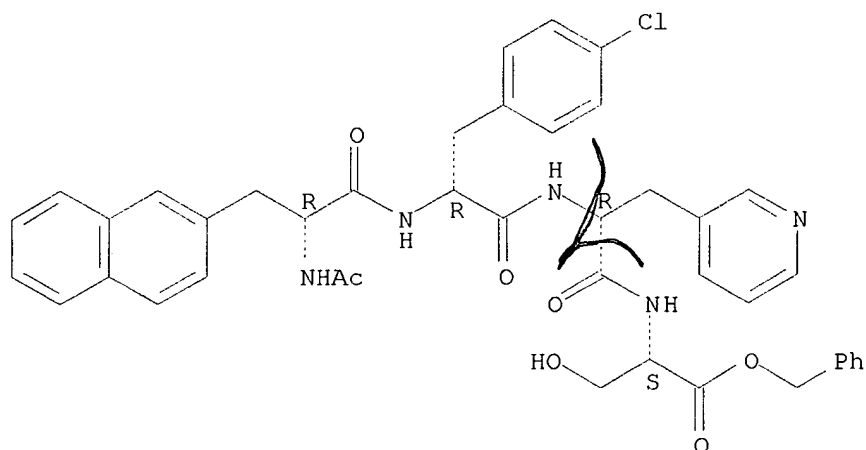
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9926964	A1	19990603	WO 1998-US24623	19981118
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9915906	A1	19990615	AU 1999-15906	19981118
	US 5977302	A	19991102	US 1998-195049	19981118
	US 6235876	B1	20010522	US 1999-350231	19990708
PRAI	US 1997-65969	P	19971120		
	US 1998-195049	A3	19981118		
	WO 1998-US24623	W	19981118		
OS	MARPAT 131:5531				
AB	A liq. phase process is described for the prepn. of GnRH peptide analogs G-AA1-(A)D-Phe-AA3-AA4-(R2)AA5-AA6-AA7-AA8-Pro-AA10-NH2 [G is an acyl group; AA1 is (A)D-Phe, (B)D-Trp, or .beta.-D-NAL (D-.beta.-naphthylalanyl); A is H, Cl, F, NO2, Br, Me, MeO; B is H, NO2, NH2, OMe, F, Cl, Br, or Me; AA3 is D-PAL (D-.beta.-3-pyridylalanyl), .beta.-D-NAL, (B)D-Trp; AA4 is Ser, Pl-Ser (Pl is a hydroxy-protecting group); R2 is H, N.alpha.Me, or N.alpha.Et; AA5 is Aph(P2) (Aph is 4-NH2Phe, P2 is an amino-protecting group), Aph(Ac), Aph(atz) (atz is 3'-amino-1H-1',2',4'-triazol-5'-yl), Lys(P2), Lys(atz), Aph(Q-atz) (Q is the acyl residue of an amino acid), Lys(Q-Atz); AA6 is D-Aph(P2), D-Aph(Ac), D-Aph(atz), D-Lys(atz), D-Aph(Q-atz), D-Lys(Q-atz); AA7 is Leu, NML (NML is N.alpha.Me-Leu), NLe, or Phe; AA8 is iPr-Lys, (P2)iPr-Ly, or Arg; AA10 is D-Ala, Gly, NH2NHCO, or NH(R3), where R3 is alkyl]. Thus, Leu-Lys(.epsilon.-Z,.epsilon.-isopropyl)-Pro-D-Ala-NH2.TFA (Z = benzyloxycarbonyl, TFA = trifluoroacetic acid) was prepd. by sequential coupling of D-alaninamide.HCl, Boc-proline, Boc-lysine-N.epsilon.(i-Pr,Z), and Boc-leucine hydrate and deprotection by TFA.				
IT	<b>208599-56-8P 225931-69-1P 225931-70-4P</b>				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (liq. phase process for prepn. of GnRH peptides)				
RN	208599-56-8 CAPLUS				
CN	L-Serine, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-, phenylmethyl ester (9CI) (CA INDEX NAME)				

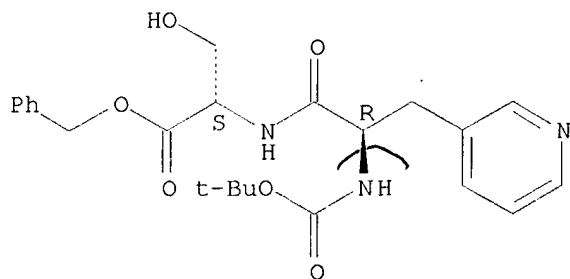
Absolute stereochemistry.



RN 225931-69-1 CAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-D-alanyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

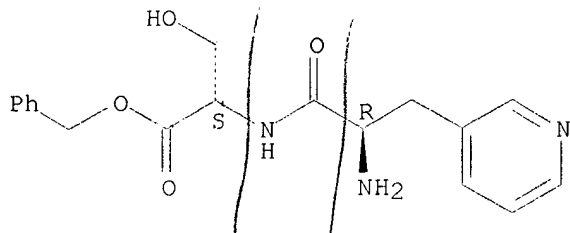
Absolute stereochemistry.



RN 225931-70-4 CAPLUS

CN L-Serine, 3-(3-pyridinyl)-D-alanyl-, phenylmethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



2 HCl

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

122 ANSWER 48 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1999:325961 CAPLUS

DN 130:352553

TI Synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins  
IN Altmann, Eva; Betschart, Claudia; Gohda, Keigo; Horiuchi, Miyuki;  
Lattmann, Rene; Missbach, Martin; Sakaki, Junichi; Takai, Michihiro; Teno,  
Naoki; Cowen, Scott Douglas; Greenspan, Paul David; McQuire, Leslie  
Wighton; Tommasi, Ruben Alberto; Van Duzer, John Henry

PA Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH

SO PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924460	A2	19990520	WO 1998-EP6937	19981103
	WO 9924460	A3	19990902		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9914873	A1	19990531	AU 1999-14873	19981103
	EP 1028942	A2	20000823	EP 1998-958887	19981103
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	BR 9813197	A	20000829	BR 1998-13197	19981103
	JP 2001522862	T2	20011120	JP 2000-520468	19981103
	ZA 9810073	A	19990505	ZA 1998-10073	19981104
	NO 2000002320	A	20000704	NO 2000-2320	20000502
PRAI	GB 1997-23407	A	19971105		
	US 1997-985973	A	19971205		
	WO 1998-EP6937	W	19981103		
OS	MARPAT 130:352553				
AB	N-terminal substituted dipeptide nitriles R(L)xX1NHCR2R3C(:Y)NHCR4R5CN [R is optionally substituted aryl, alkyl, alkenyl, alkynyl, heterocyclyl; R2, R3 = H, optionally substituted alkyl, cycloalkyl, bicycloalkyl, or aryl-, biaryl-, cycloalkyl, bicycloalkylalkyl; R2 and R3 together represent alkylene, optionally interrupted by O, S, or NR6, where R6 is H, alkyl, arylalkyl; or R2 or R3 are linked by alkylene to the adjacent nitrogen to form a ring; R4, R5 = H, optionally substituted alkyl, arylalkyl, CO2R7, CONR7R8 (R7 is optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, or heterocyclyl and R8 is H or optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, heterocyclyl), etc.; R4 and R5 together represent alkylene, optionally interrupted by O, S, or NR6; X1 = CO, CS, SO, SO2, P(O)OR6; Y = O, S; L is optionally substituted Het, Het-CH2, CH2-Het (Het = O, N, or S); x = zero or 1] were prepd. as inhibitors of cysteine cathepsins, e.g., cathepsins B, K, L and S, and can be used for the treatment of cysteine cathepsin dependent diseases and conditions. Thus, N-[2-[(3-carboxyphenyl)methoxy]-1(S)-cyanoethyl]-3-methyl-N.alpha.-(2,2-diphenylacetyl)-L-phenylalaninamide was prepd. and shown to have IC50 .apprxeq. 5 nM for inhibition of cathepsin B.				
IT	225119-15-3P 225121-45-9P				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic				

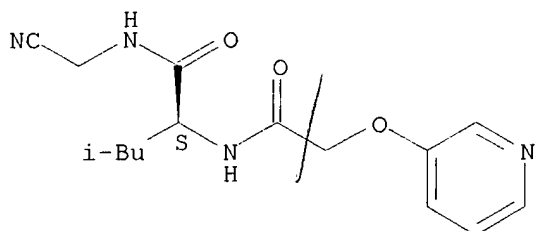
09/596,086

preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins)

RN 225119-15-3 CAPLUS

CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[ (3-pyridinyloxy)acetyl]amino]-,  
(2S)- (9CI) (CA INDEX NAME)

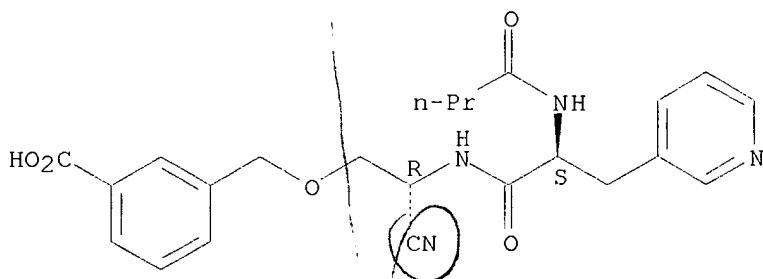
Absolute stereochemistry.



RN 225121-45-9 CAPLUS

CN Benzoic acid, 3-[[ (2R)-2-cyano-2-[[ (2S)-1-oxo-2-[[ (1-oxobutyl) amino]-3-(3-pyridinyl)propyl]amino]ethoxy)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

~~122~~ ANSWER 49 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:271331 CAPLUS

~~DN~~ 130:311803

TI Preparation of aminobutanoic acid derivatives as inhibitors of matrix metalloproteinases

IN Takahashi, Kanji; Sugiura, Tsuneyuki

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 557 pp.

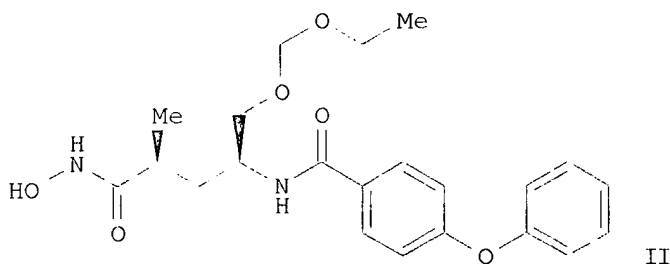
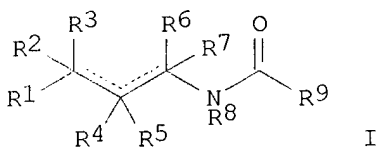
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9919296	A1	19990422	WO 1998-JP4529	19980907
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9894580	A1	19990503	AU 1998-94580	19980907
	EP 1024134	A1	20000802	EP 1998-947771	19980907
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
	JP 3155536	B2	20010409	JP 2000-515869	19980907
	JP 2001172245	A2	20010626	JP 2000-322746	19980907
	ZA 9809113	A	19990414	ZA 1998-9113	19981006
	BR 9812807	A	20001017	BR 1998-12807	19981007
	NO 2000001813	A	20000609	NO 2000-1813	20000407
PRAI	JP 1997-291834	A	19971009		
	JP 1998-28533	A	19980210		
	JP 2000-515869	A3	19980907		
	WO 1998-JP4529	W	19980907		
OS	MARPAT 130:311803				
GI					



AB Aminobutanoic acid derivs. represented by general formula (I) and salts thereof [wherein R1 = CO2R10, CONHOR10, CONHNHR10, (CH2)nSR50, Y-P(:O)(OR51)2; R10 = H, C1-8 alkyl, Ph, phenyl- or C1-8 alkoxy-C1-8 alkyl, PhO2C, PhCH2O2C, C1-8 alkoxy-carbonyl; wherein n = 0-3; R50 = H, C1-8 alkyl, C1-8-alkyl-carbonyl, PhCO, SH, C1-8 alkylthio, SPh; R51 = H, C1-8 alkyl, Ph; Y = single bond, CH2, O; R2-R7 = H, C2-8 alkenyl, (un)substituted SH, OH, or NH2, CO2H, C1-8 alkyl-carbonyl, C1-8 alkoxy-carbonyl, (un)substituted carbocyclyl or heterocyclyl, (un)substituted C1-8 alkyl or C2-8 alkenyl; or R3 and R4 or R5 and R6 together represents C1-8 alkylene; or R2 and R3, R4 and R5, or R6 and R7 together represent C2-8 alkylene; when R8 = H, (un)substituted C1-8 alkyl, or C1-8 alkoxy-carbonyl, R9 = (un)substituted carbocyclyl; or when R8 = (un)substituted carbocyclyl or heterocyclyl, R9 = (un)substituted C1-8 alkyl or C1-8 alkoxy, (un)substituted carbocyclyl; M = C1-8 alkylene; J = single bond, O, S, NH, C1-8 alkyl-N] are prep'd. and claimed. Also claimed are matrix metalloproteinases contg. I as the active ingredients and drugs contg. I as the active ingredients for the prevention and/or treatment of rheumatism, osteoarthritis, pathol. bone resorption, osteoporosis, periodontal diseases, interstitial nephritis, arteriosclerosis, pulmonary emphysema, hepatic cirrhosis, corneal injury, diseases due to metastasis and infiltration of cancer cells or proliferation thereof, autoimmune diseases (such as Crohn's disease and Sjogren's disease), diseases due to transmigration of white blood cells or infiltration thereof, neovascularization, multiple sclerosis, aortic aneurysm, or endometritis. For example, the title comp'd. (II) showed IC50 of 26 nM against human stromelysin. A table and an ampule formulation contg. II were described.

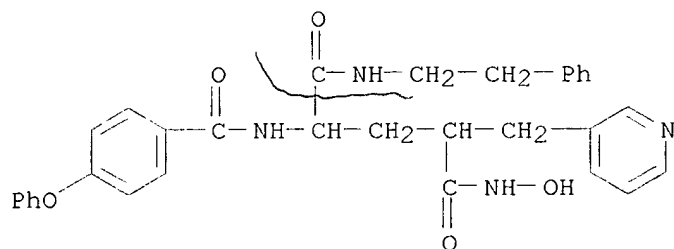
IT **223468-19-7P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminobutanoic acid derivs. as inhibitors of matrix metalloproteinases for prevention and treatment of diseases)

RN 223468-19-7 CAPLUS

CN Pentanediamide, N5-hydroxy-2-[(4-phenoxybenzoyl)amino]-N1-(2-phenylethyl)-4-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~IN 2~~ ANSWER 50 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:267218 CAPLUS

DN 130:345090

TI Photo- and heat-sensitive recording material using imidazopyridine coupler

IN Sato, Hiroshi; Yanagihara, Naoto

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 22 pp.

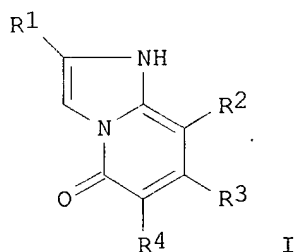
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11115318	A2	19990427	JP 1997-287084	19971020
OS	MARPAT 130:345090				
GI					



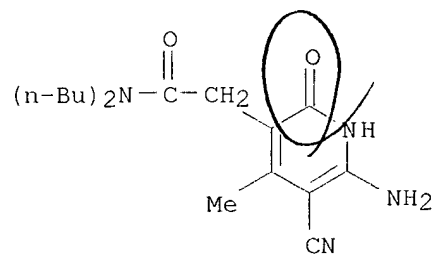
AB The material contains a photo- heat-sensitive recording layer, contg. a diazonium salt compd. and an imidazopyridine coupler I (R1-4 = H, substituent), on a support. The material gives good images with high color concn. and improved light resistance and fixation property.

IT **224042-86-8P**

RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation) (photo- and heat-sensitive recording material using imidazopyridine coupler and diazonium salt)

RN 224042-86-8 CAPLUS

CN 3-Pyridineacetamide, 6-amino-N,N-dibutyl-5-cyano-1,2-dihydro-4-methyl-2-oxo- (9CI) (CA INDEX NAME)



09/596,086

~~122~~ ANSWER 51 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~DN~~ 1999:148325 CAPLUS

Correction of: 1999:64775

DN 130:153580

Correction of: 130:124995

TI Preparation of pyridine derivatives for treating disorders mediated full or in part by mGluR5

IN Allgeier, Hans; Auberson, Yves; Biollaz, Michel; Cosford, Nicholas David; Gasparini, Fabrizio; Heckendorn, Roland; Johnson, Edwin Carl; Kuhn, Rainer; Varney, Mark Andrew; Velicelebi, Gonul

PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.h.; Sibia Neurosciences Inc.

SO PCT Int. Appl., 48 pp.

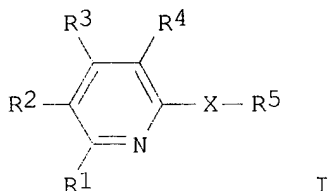
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 9902497	A2	19990121	WO 1998-EP4266	19980709
	WO 9902497	A3	19990401		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9889743	A1	19990208	AU 1998-89743	19980709
	AU 738973	B2	20011004		
	EP 998459	A2	20000510	EP 1998-941308	19980709
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
	BR 9811685	A	20000919	BR 1998-11685	19980709
	JP 2001509504	T2	20010724	JP 2000-502025	19980709
	ZA 9806137	A	19990122	ZA 1998-6137	19980710
	NO 2000000124	A	20000302	NO 2000-124	20000110
PRAI	US 1997-890689	A	19970711		
	US 1997-891691	A	19970711		
	WO 1998-EP4266	W	19980709		
GI					



AB The title compds. [I; R<sup>1</sup> = H, lower alkyl, hydroxy-lower alkyl, etc.; R<sup>2</sup> = H, lower alkyl, CO<sub>2</sub>H, etc.; R<sup>3</sup> = H, lower alkyl, CO<sub>2</sub>H, etc.; R<sup>4</sup> = H, lower



alkyl, OH, etc.; X = an optionally halo-substituted lower alkenylene or alkynylene bonded via vicinal unsatd. carbon atoms or an azo group; R5 = (un)substituted arom. or heteroarom.] and their salts, useful for treating disorders mediated full or in part by mGluR1 or mGluR5 (no data) such as epilepsy, cerebral ischemia, ischemic diseases of the eye, muscle spasms, convulsions, pain, acute, traumatic and chronic degenerative processes of the nervous system and psychiatric diseases, were prepd. Thus, reaction of 2,6-dimethylpyridine with 3-cyanobenzaldehyde in Ac2O afforded I [R1 = Me; R2-R4 = H; X = CH:CH; R5 = 3-NCC6H4].

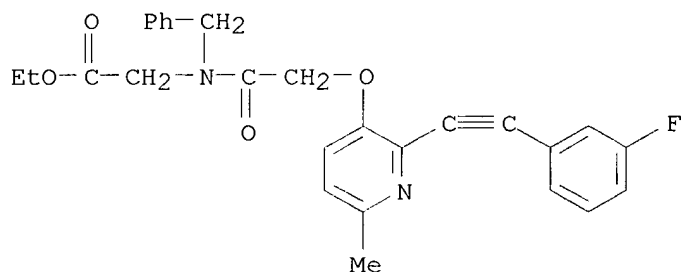
IT **219914-11-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridine derivs. for treating disorders mediated full or in part by mGluR5)

RN 219914-11-1 CAPLUS

CN Glycine, N-[[[2-[(3-fluorophenyl)ethynyl]-6-methyl-3-pyridinyl]oxy]acetyl]-N-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



L22 ANSWER 52 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1999:96215 CAPLUS

DN 130:124997

TI Preparation of pyridylacrylamide derivatives as TGF- $\beta$ . inhibitors and therapeutic agents for nephritis

IN Hasegawa, Yoshihiro; Shindou, Shouichirou; Hattori, Tomohisa; Yamazaki, Yousuke; Obata, Tatsuhiro; Horiuchi, Fumiko; Hayakawa, Hiroyuki; Kumazawa, Hiroaki

PA Tsumura &amp; Co., Japan

SO PCT Int. Appl., 104 pp.

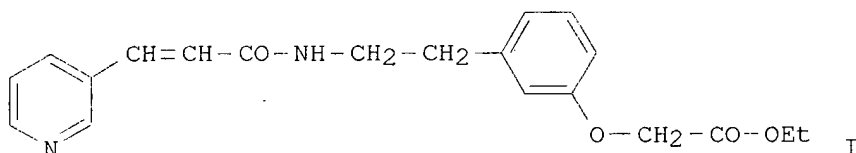
CODEN: PIXXD2

DT Patent

LA Japanese

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9905109	A1	19990204	WO 1998-JP3312	19980724
	W: AU, CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9883577	A1	19990216	AU 1998-83577	19980724
	AU 737018	B2	20010809		
	EP 1000935	A1	20000517	EP 1998-933924	19980724
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6313153	B1	20011106	US 2000-463511	20000121
PRAI	JP 1997-200169	A	19970725		
	JP 1997-288083	A	19971021		
	WO 1998-JP3312	W	19980724		
OS	MARPAT 130:124997				
GI					

1-21-00  
102(c) date

AB The title compds. Ar1C(R1):C(R2)C(:X)N(R3)(CH2)<sub>n</sub>-1C(A)(B)Ar2 [Ar1 is (substituted) pyridyl; Ar2 is (substituted) phenyl; R1 is H, alkyl or aryl; R2 is H, alkyl, cyano or alkoxy carbonyl; R3 is H or (substituted) alkyl; X is O or S; A and B are each H, OH, alkoxy or alkylthio, or alternatively they together form oxo, thioxo, NY (wherein Y is dialkylamino, OH, aralkyloxy or alkoxy) or Z1MZ2 (wherein Z1 and Z2 are each O, S or optionally alkyl-substituted imino; and M is alkylene or phenylene), or B may be 1-alkylimidazol-2-yl with A being OH; and n is an integer of 1 to 3] are prep'd. The title compd. I at 2 mg/kg in mice gave significant inhibition of TGF- $\beta$ .1 prodn.

IT 219963-66-3P 219963-67-4P 219963-68-5P  
 219963-69-6P 219963-70-9P 219963-71-0P  
 219963-72-1P 219963-73-2P 219963-74-3P  
 219963-75-4P 219963-76-5P 219963-77-6P  
 219963-78-7P 219963-79-8P 219963-80-1P  
 219963-81-2P 219963-82-3P 219963-83-4P  
 219963-84-5P 219963-86-7P 219963-87-8P

219963-89-0P 219963-90-3P 219963-91-4P  
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 219963-95-8P 219963-96-9P 219963-97-0P  
 219963-98-1P 219963-99-2P 219964-00-8P  
 219964-01-9P 219964-03-1P 219964-04-2P  
 219964-05-3P 219964-06-4P 219964-09-7P  
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 219964-15-5P 219964-16-6P 219964-17-7P  
 219964-18-8P 219964-19-9P 219964-22-4P  
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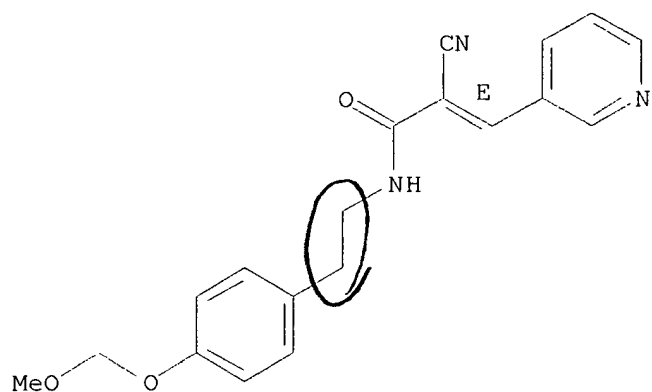
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridylacrylamide derivs. as TGF-.beta. inhibitors and therapeutic agents for nephritis)

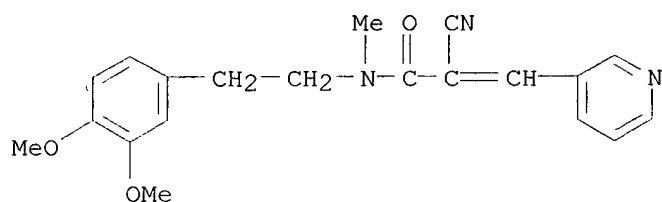
RN 219963-66-3 CAPLUS

CN 2-Propenamamide, 2-cyano-N-[2-[4-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

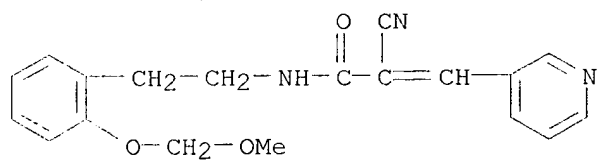


RN 219963-67-4 CAPLUS  
 CN 2-Propenamide, 2-cyano-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

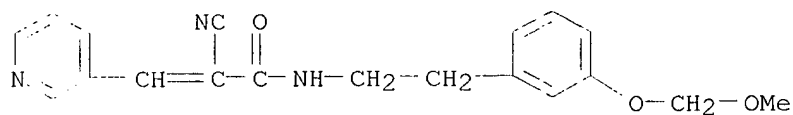


● HCl

RN 219963-68-5 CAPLUS  
 CN 2-Propenamide, 2-cyano-N-[2-[2-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



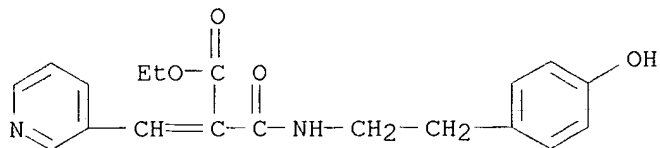
RN 219963-69-6 CAPLUS  
 CN 2-Propenamide, 2-cyano-N-[2-[3-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



09/596,086

RN 219963-70-9 CAPLUS

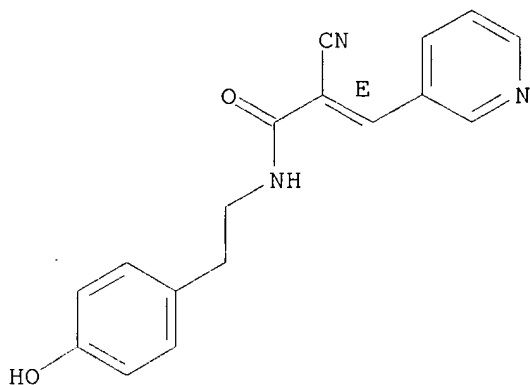
CN 2-Propenoic acid, 2-[[[2-(4-hydroxyphenyl)ethyl]amino]carbonyl]-3-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 219963-71-0 CAPLUS

CN 2-Propenamide, 2-cyano-N-[2-(4-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

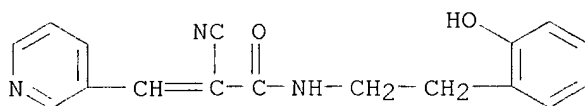
Double bond geometry as shown.



● HCl

RN 219963-72-1 CAPLUS

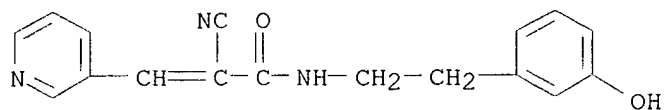
CN 2-Propenamide, 2-cyano-N-[2-(2-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219963-73-2 CAPLUS

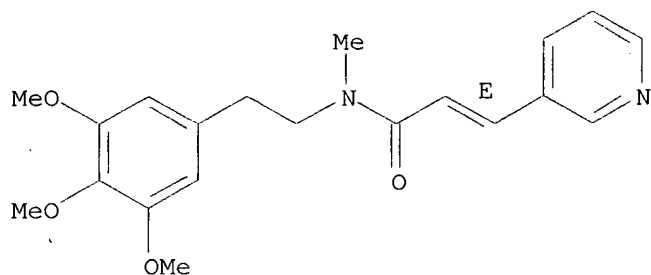
CN 2-Propenamide, 2-cyano-N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-74-3 CAPLUS

CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(3,4,5-trimethoxyphenyl)ethyl]-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

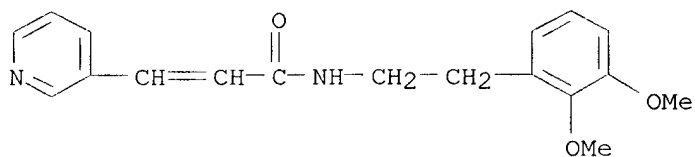
Double bond geometry as shown.



● HCl

RN 219963-75-4 CAPLUS

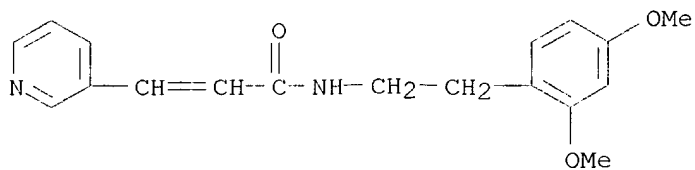
CN 2-Propenamide, N-[2-(2,3-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



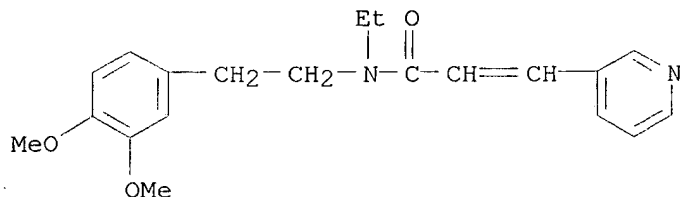
● HCl

RN 219963-76-5 CAPLUS

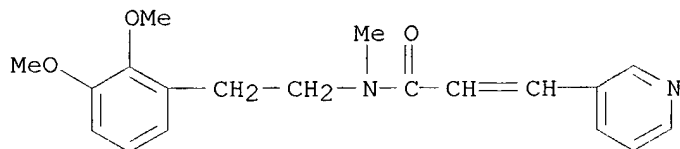
CN 2-Propenamide, N-[2-(2,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-77-6 CAPLUS  
 CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-ethyl-3-(3-pyridinyl)-  
 (9CI) (CA INDEX NAME)

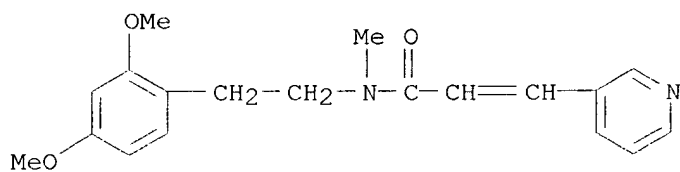


RN 219963-78-7 CAPLUS  
 CN 2-Propenamide, N-[2-(2,3-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
 monohydrochloride (9CI) (CA INDEX NAME)

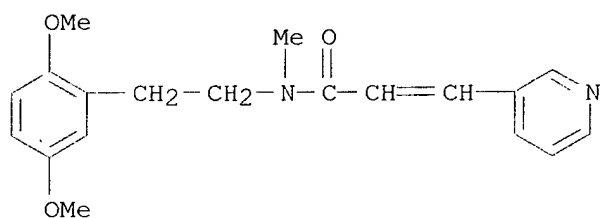


● HCl

RN 219963-79-8 CAPLUS  
 CN 2-Propenamide, N-[2-(2,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-  
 (9CI) (CA INDEX NAME)



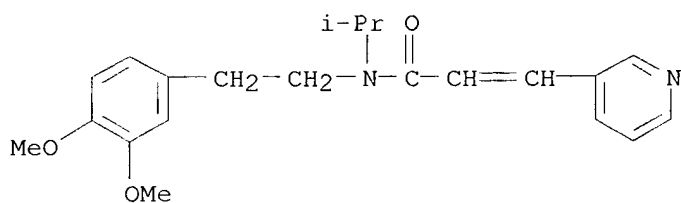
RN 219963-80-1 CAPLUS  
 CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

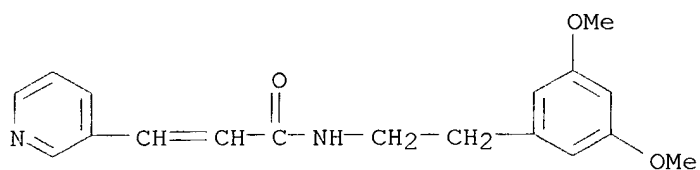
RN 219963-81-2 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-(1-methylethyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



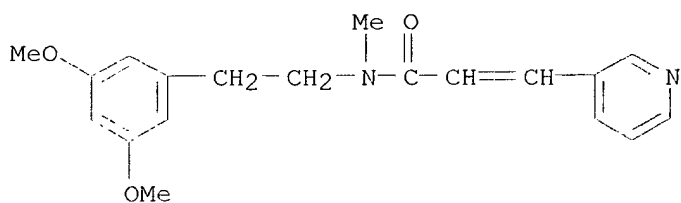
RN 219963-82-3 CAPLUS

CN 2-Propenamide, N-[2-(3,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219963-83-4 CAPLUS

CN 2-Propenamide, N-[2-(3,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



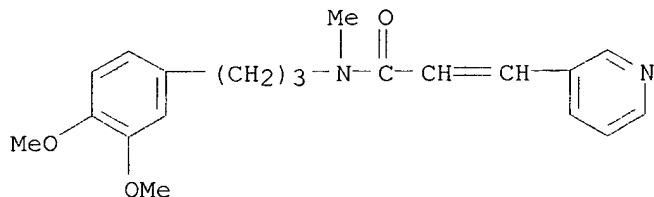
RN 219963-84-5 CAPLUS

CN 2-Propenamide, N-[3-(3,4-dimethoxyphenyl)propyl]-N-methyl-3-(3-pyridinyl)-



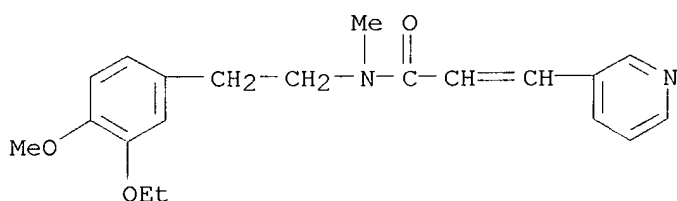
09/596,086

(9CI) (CA INDEX NAME)



RN 219963-86-7 CAPLUS

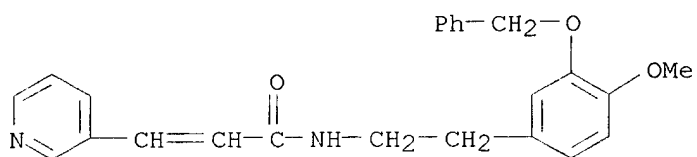
CN 2-Propenamide, N-[2-(3-ethoxy-4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

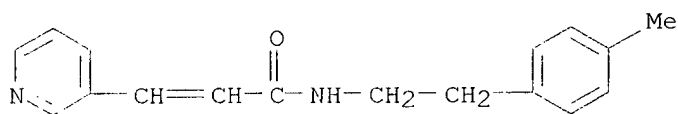
RN 219963-87-8 CAPLUS

CN 2-Propenamide, N-[2-(4-methoxy-3-(phenylmethoxy)phenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



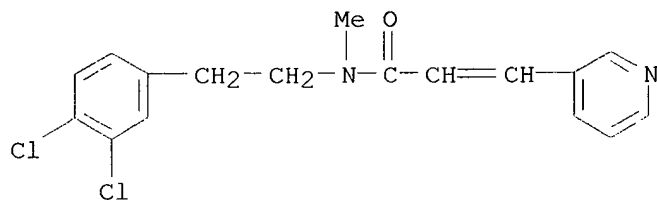
RN 219963-89-0 CAPLUS

CN 2-Propenamide, N-[2-(4-methylphenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



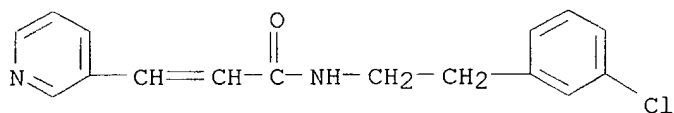
RN 219963-90-3 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dichlorophenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



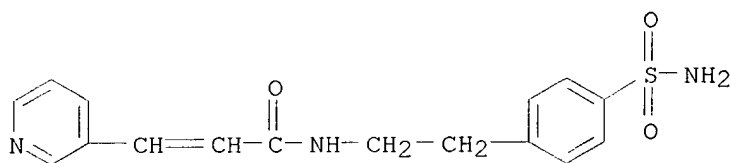
RN 219963-91-4 CAPLUS

CN 2-Propenamide, N-[2-(3-chlorophenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



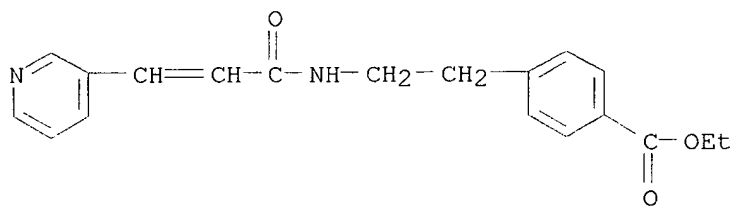
RN 219963-92-5 CAPLUS

CN 2-Propenamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



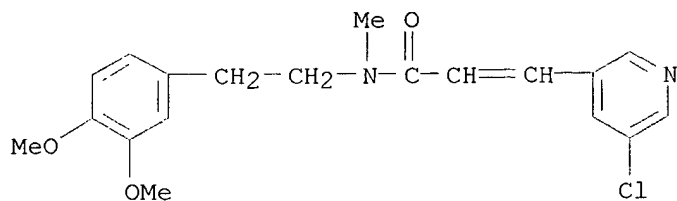
RN 219963-93-6 CAPLUS

CN Benzoic acid, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



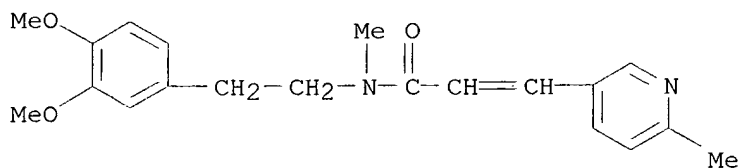
RN 219963-94-7 CAPLUS

CN 2-Propenamide, 3-(5-chloro-3-pyridinyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



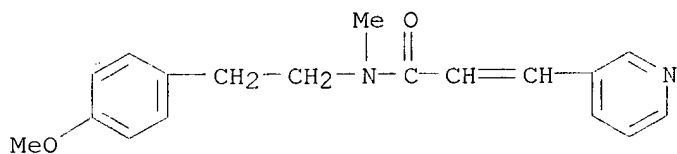
RN 219963-95-8 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



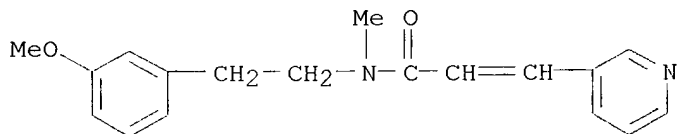
RN 219963-96-9 CAPLUS

CN 2-Propenamide, N-[2-(4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



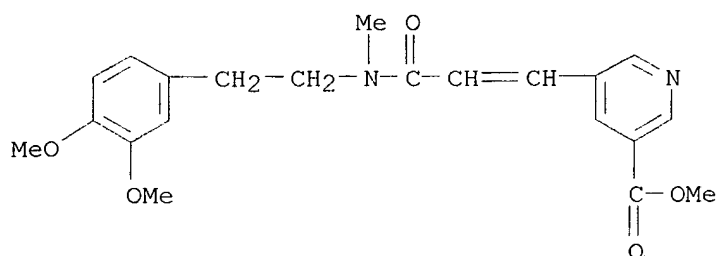
RN 219963-97-0 CAPLUS

CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

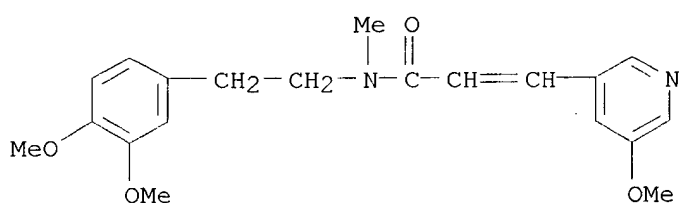


RN 219963-98-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-3-oxo-1-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

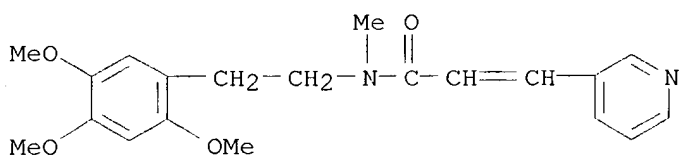


RN 219963-99-2 CAPLUS  
 CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(5-methoxy-3-pyridinyl)-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

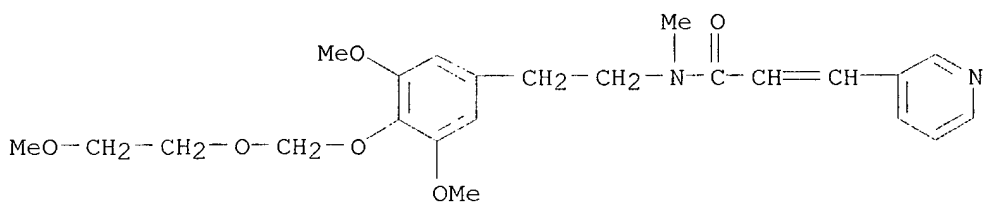


● HCl

RN 219964-00-8 CAPLUS  
 CN 2-Propenamide, N-methyl-3-(3-pyridinyl)-N-[2-(2,4,5-trimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



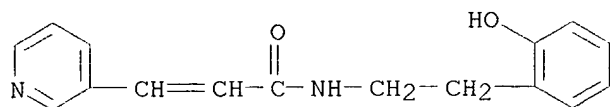
RN 219964-01-9 CAPLUS  
 CN 2-Propenamide, N-[2-[3,5-dimethoxy-4-[(2-methoxyethoxy)methoxy]phenyl]ethyl]-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-03-1 CAPLUS  
 CN 2-Propenamide, N-[2-(2-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-,

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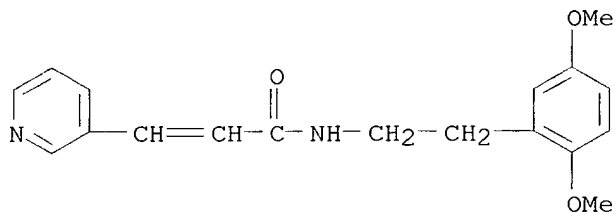
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

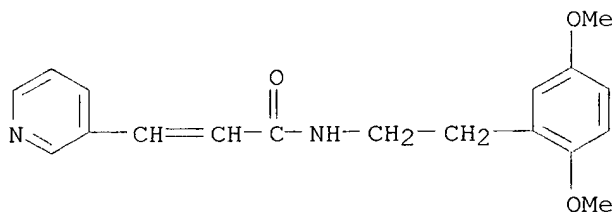
RN 219964-04-2 CAPLUS

CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219964-05-3 CAPLUS

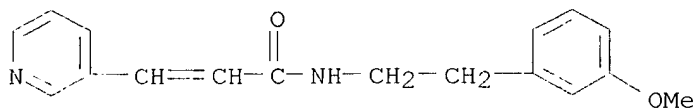
CN 2-Propenamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219964-06-4 CAPLUS

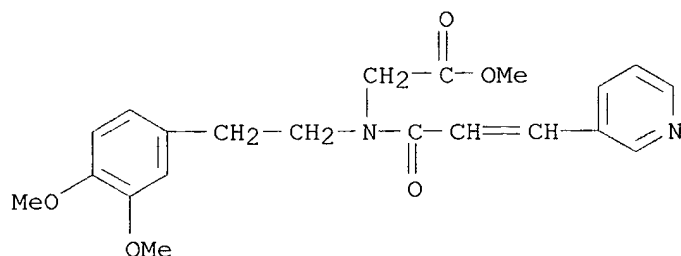
CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA  
INDEX NAME)



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RN 219964-09-7 CAPLUS

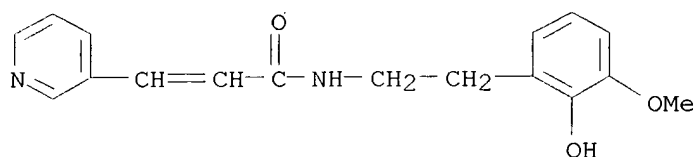
CN Glycine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[1-oxo-3-(3-pyridinyl)-2-propenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

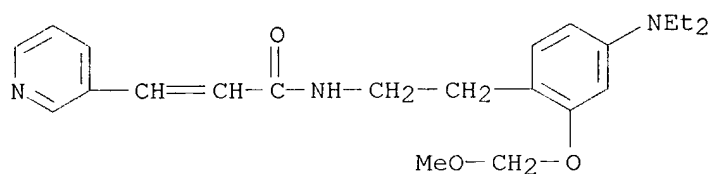
RN 219964-12-2 CAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-3-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



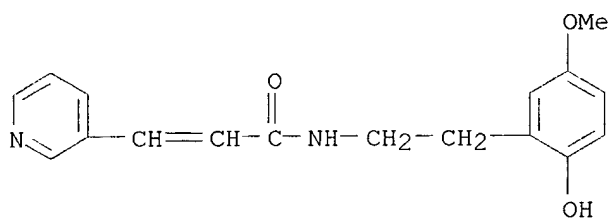
RN 219964-13-3 CAPLUS

CN 2-Propenamide, N-[2-[4-(diethylamino)-2-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



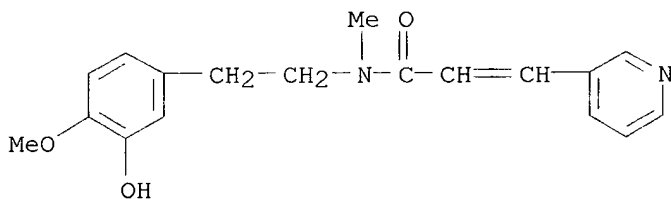
RN 219964-14-4 CAPLUS

CN 2-Propenamide, N-[2-(2-hydroxy-5-methoxyphenyl)ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



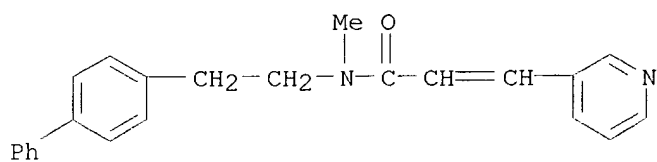
● HCl

RN 219964-15-5 CAPLUS  
 CN 2-Propenamide, N-[2-(3-hydroxy-4-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

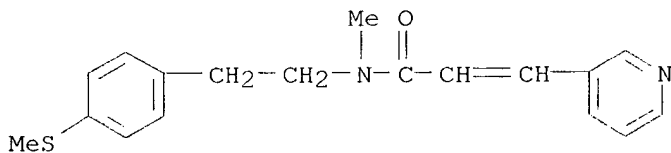


● HCl

RN 219964-16-6 CAPLUS  
 CN 2-Propenamide, N-(2-[1,1'-biphenyl]-4-ylethyl)-N-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



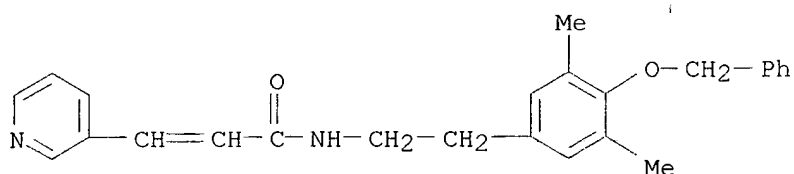
RN 219964-17-7 CAPLUS  
 CN 2-Propenamide, N-methyl-N-[2-[4-(methylthio)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



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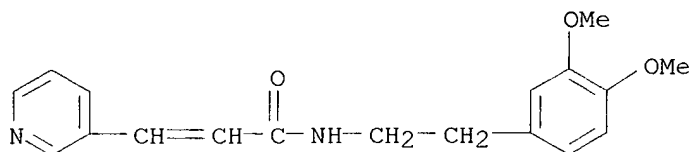
RN 219964-18-8 CAPLUS

CN 2-Propenamide, N-[2-[3,5-dimethyl-4-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



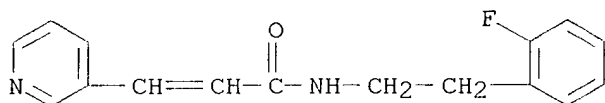
RN 219964-19-9 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



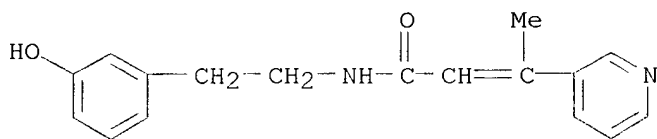
RN 219964-22-4 CAPLUS

CN 2-Propenamide, N-[2-(2-fluorophenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



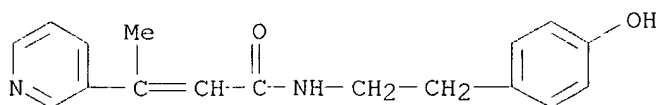
RN 219964-24-6 CAPLUS

CN 2-Butenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-26-8 CAPLUS

CN 2-Butenamide, N-[2-(4-hydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

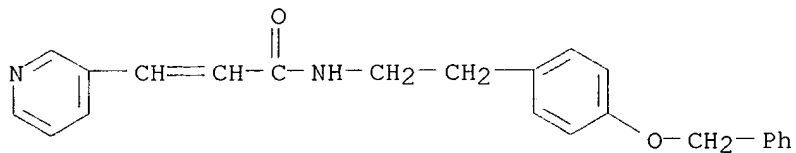




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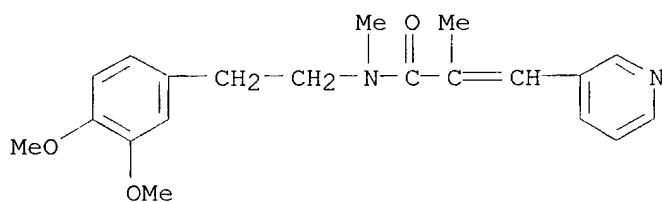
RN 219964-28-0 CAPLUS

CN 2-Propenamide, N-[2-[4-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219964-30-4 CAPLUS

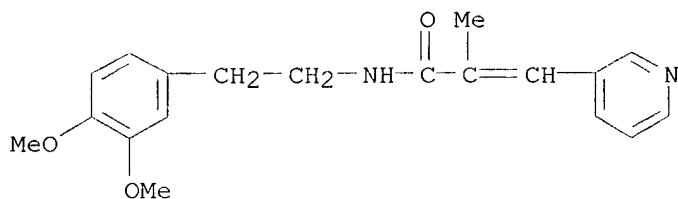
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N,2-dimethyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

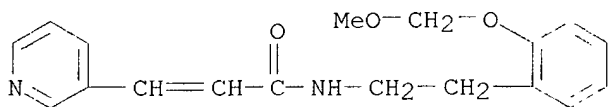
RN 219964-32-6 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-methyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-33-7 CAPLUS

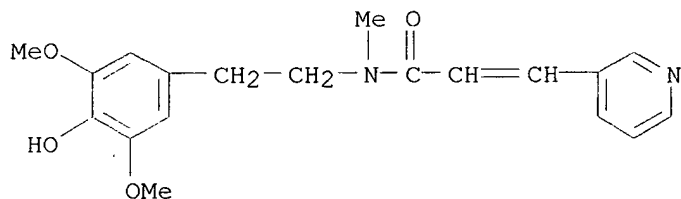
CN 2-Propenamide, N-[2-[2-(methoxymethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-35-9 CAPLUS

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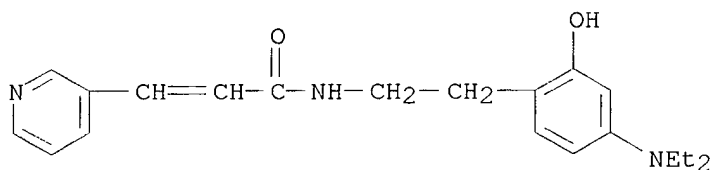
CN 2-Propenamide, N-[2-(4-hydroxy-3,5-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

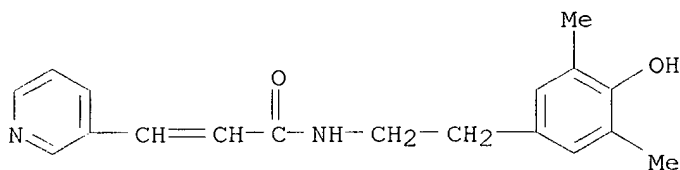
RN 219964-36-0 CAPLUS

CN 2-Propenamide, N-[2-[4-(diethylamino)-2-hydroxyphenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-37-1 CAPLUS

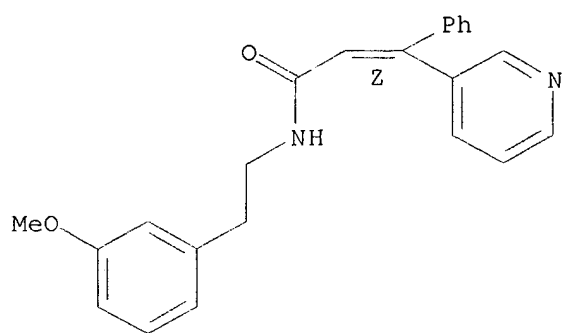
CN 2-Propenamide, N-[2-(4-hydroxy-3,5-dimethylphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-38-2 CAPLUS

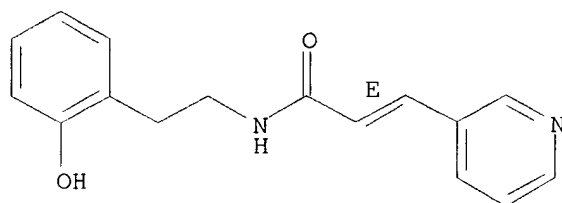
CN 2-Propenamide, N-[2-(3-methoxyphenyl)ethyl]-3-phenyl-3-(3-pyridinyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

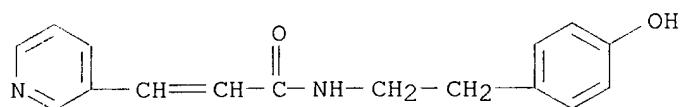


RN 219964-39-3 CAPLUS  
 CN 2-Propenamide, N-[2-(2-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
 (CA INDEX NAME)

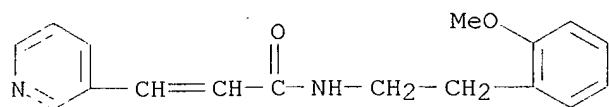
Double bond geometry as shown.



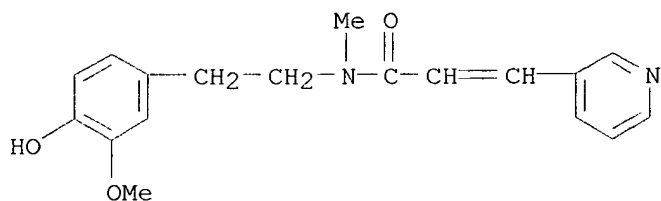
RN 219964-40-6 CAPLUS  
 CN 2-Propenamide, N-[2-(4-hydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA  
 INDEX NAME)



RN 219964-41-7 CAPLUS  
 CN 2-Propenamide, N-[2-(2-methoxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI) (CA  
 INDEX NAME)

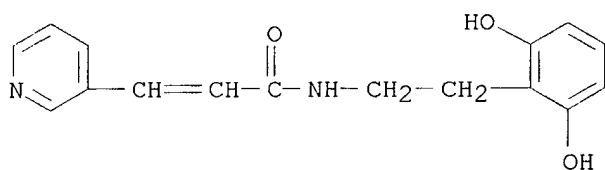


RN 219964-42-8 CAPLUS  
 CN 2-Propenamide, N-[2-(4-hydroxy-3-methoxyphenyl)ethyl]-N-methyl-3-(3-  
 pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



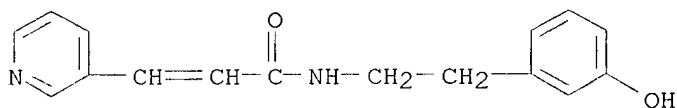
● HCl

RN 219964-43-9 CAPLUS  
 CN 2-Propenamide, N-[2-(2,6-dihydroxyphenyl)ethyl]-3-(3-pyridinyl)-,  
 monohydrochloride (9CI) (CA INDEX NAME)



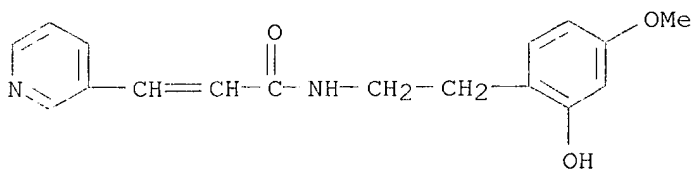
● HCl

RN 219964-44-0 CAPLUS  
 CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-,  
 monohydrochloride (9CI) (CA INDEX NAME)

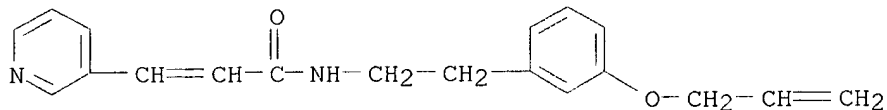


● HCl

RN 219964-45-1 CAPLUS  
 CN 2-Propenamide, N-[2-(2-hydroxy-4-methoxyphenyl)ethyl]-3-(3-pyridinyl)-  
 (9CI) (CA INDEX NAME)

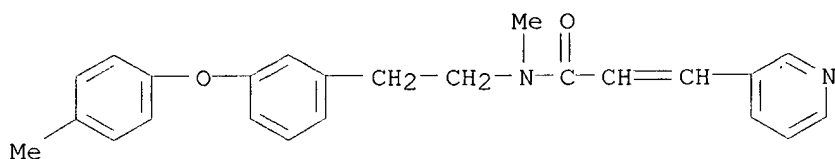


RN 219964-46-2 CAPLUS

CN 2-Propenamide, N-[2-[3-(2-propenyloxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)

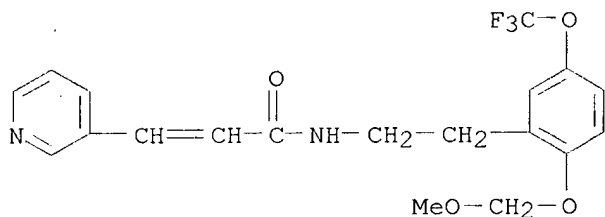
RN 219964-47-3 CAPLUS

CN 2-Propenamide, N-methyl-N-[2-[3-(4-methylphenoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



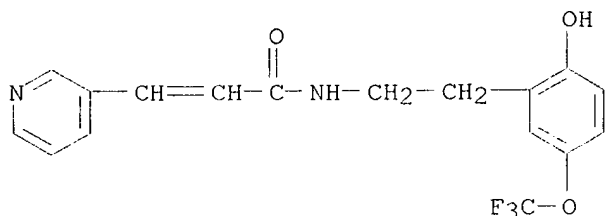
RN 219964-48-4 CAPLUS

CN 2-Propenamide, N-[2-[2-(methoxymethoxy)-5-(trifluoromethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-49-5 CAPLUS

CN 2-Propenamide, N-[2-[2-hydroxy-5-(trifluoromethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

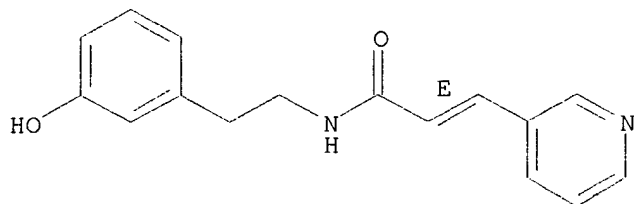


RN 219964-50-8 CAPLUS

CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-(3-pyridinyl)-, (2E)- (9CI)  
(CA INDEX NAME)

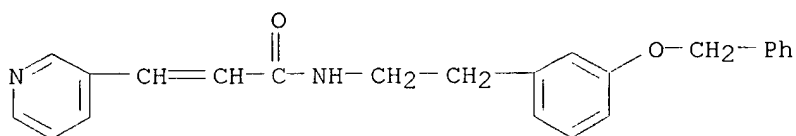
09/596,086

Double bond geometry as shown.



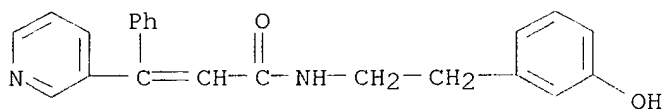
RN 219964-51-9 CAPLUS

CN 2-Propenamide, N-[2-[3-(phenylmethoxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219964-52-0 CAPLUS

CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-phenyl-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

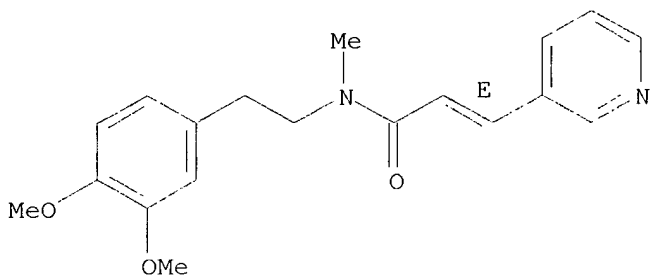


● HCl

RN 219964-53-1 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

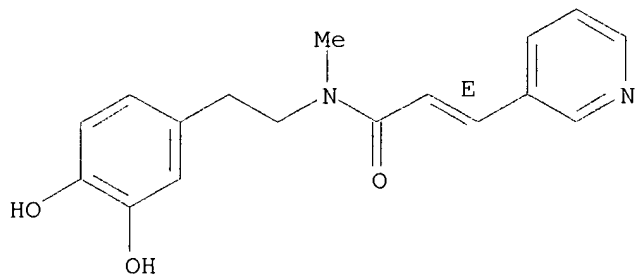


RN 219964-54-2 CAPLUS

09/596,086

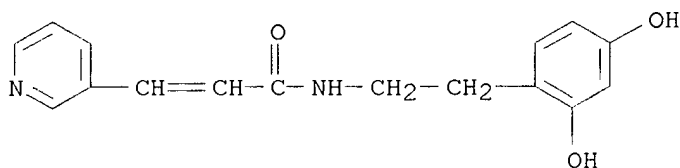
CN 2-Propenamide, N-[2-(3,4-dihydroxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-,  
(2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 219964-56-4 CAPLUS

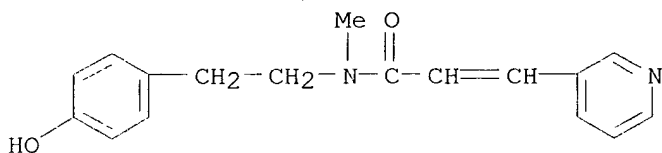
CN 2-Propenamide, N-[2-(2,4-dihydroxyphenyl)ethyl]-3-(3-pyridinyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

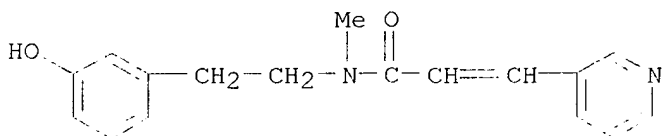
RN 219964-58-6 CAPLUS

CN 2-Propenamide, N-[2-(4-hydroxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 219964-60-0 CAPLUS

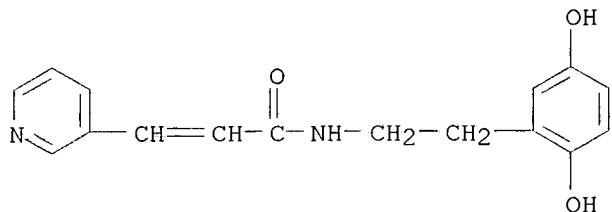
CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)



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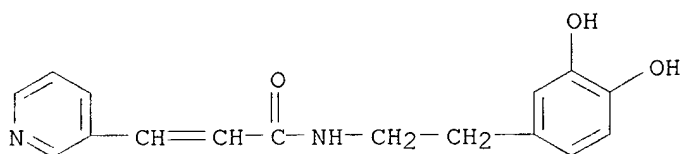
RN 219964-62-2 CAPLUS

CN 2-Propenamide, N-[2-(2,5-dihydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



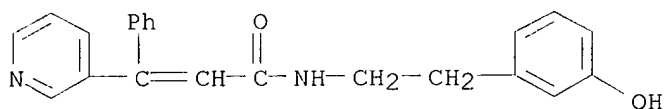
RN 219964-66-6 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dihydroxyphenyl)ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219964-67-7 CAPLUS

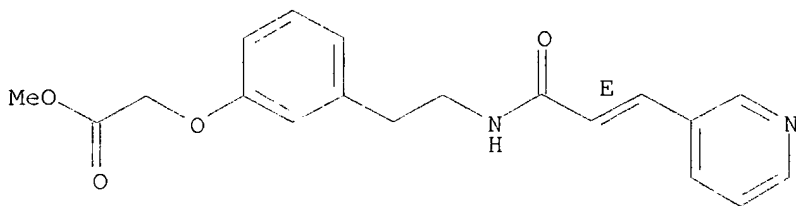
CN 2-Propenamide, N-[2-(3-hydroxyphenyl)ethyl]-3-phenyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 219964-68-8 CAPLUS

CN Acetic acid, [3-[2-[[ (2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

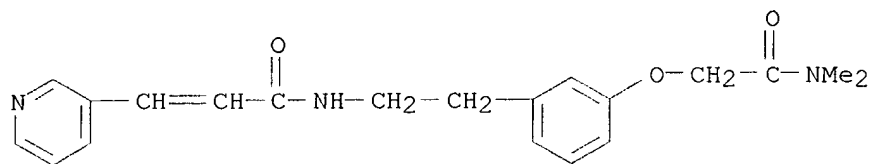
Double bond geometry as shown.



RN 219964-71-3 CAPLUS

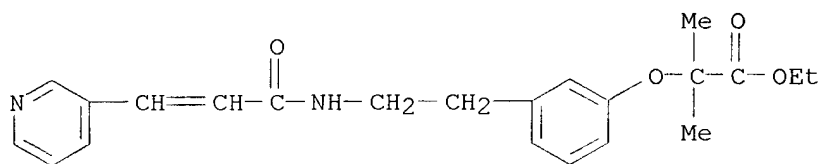
CN 2-Propenamide, N-[2-[3-[2-(dimethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)





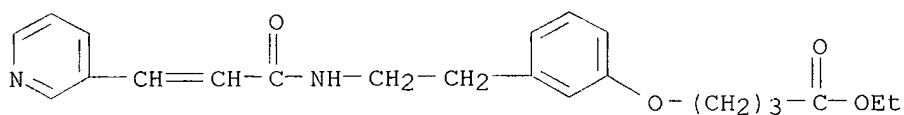
● HCl

RN 219964-73-5 CAPLUS  
CN Propanoic acid, 2-methyl-2-[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

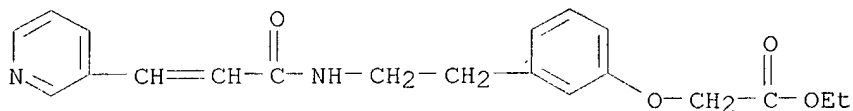


● HCl

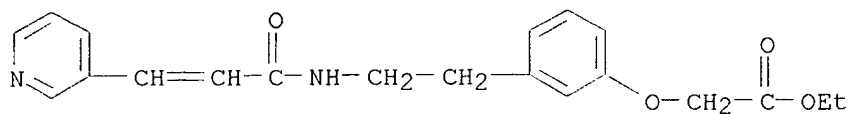
RN 219964-75-7 CAPLUS  
CN Butanoic acid, 4-[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 219964-77-9 CAPLUS  
CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

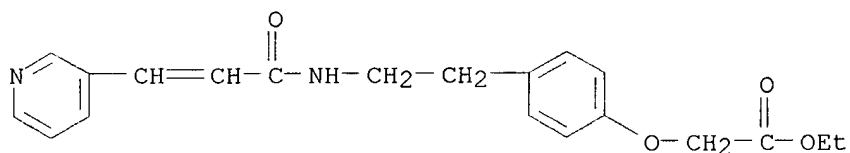


RN 219964-79-1 CAPLUS  
CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

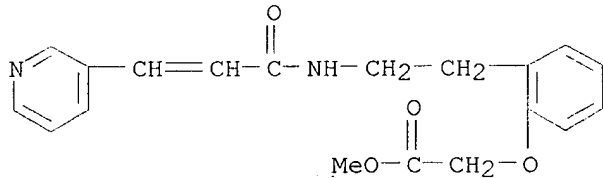


● HCl

RN 219964-81-5 CAPLUS

CN Acetic acid, [4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-  
, ethyl ester (9CI) (CA INDEX NAME)

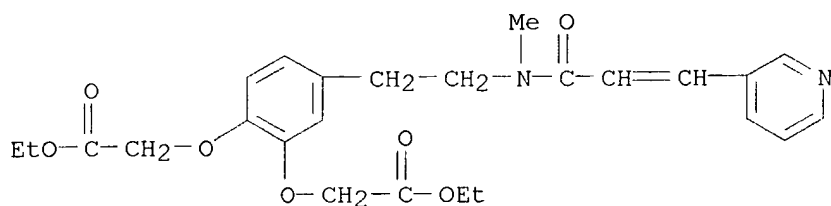
RN 219964-84-8 CAPLUS

CN Acetic acid, [2-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-  
, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 219964-88-2 CAPLUS

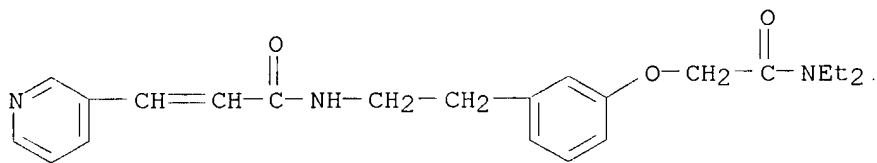
CN Acetic acid, 2,2'-[[4-[2-[methyl[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-1,2-phenylene]bis(oxy)]bis-, diethyl ester,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

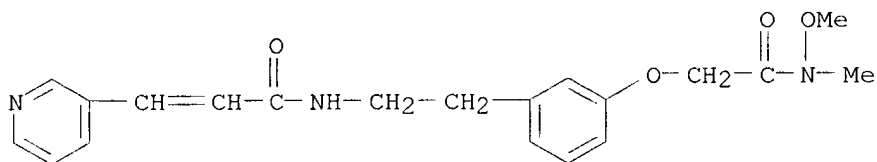
RN 219965-05-6 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-(diethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



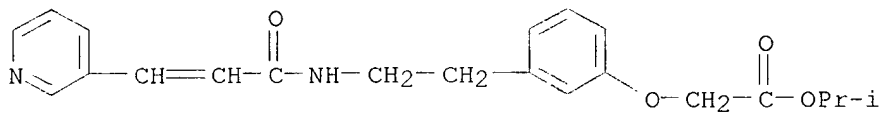
RN 219965-12-5 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-(methoxymethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



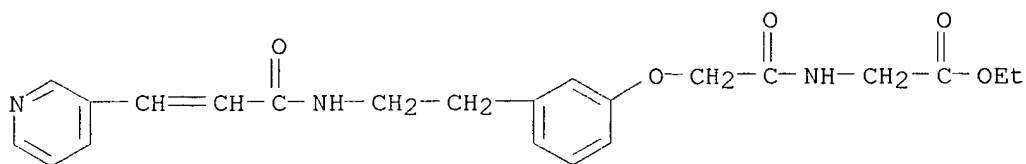
RN 219965-16-9 CAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



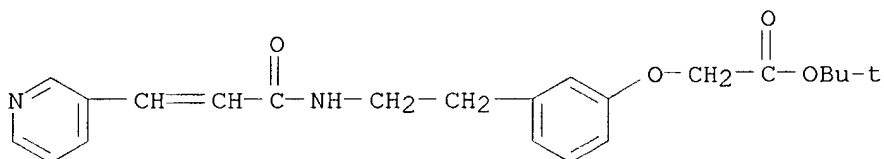
RN 219965-17-0 CAPLUS

CN Glycine, N-[[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



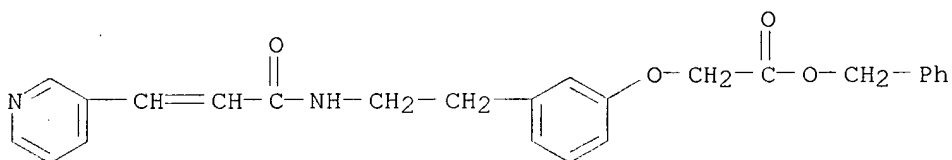
RN 219965-18-1 CAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



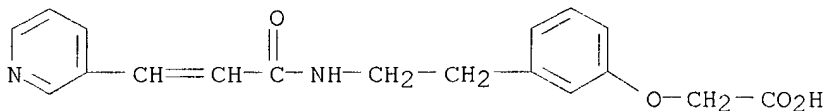
RN 219965-19-2 CAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 219965-20-5 CAPLUS

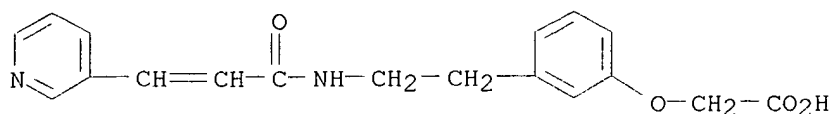
CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, monopotassium salt (9CI) (CA INDEX NAME)



● K

RN 219965-21-6 CAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

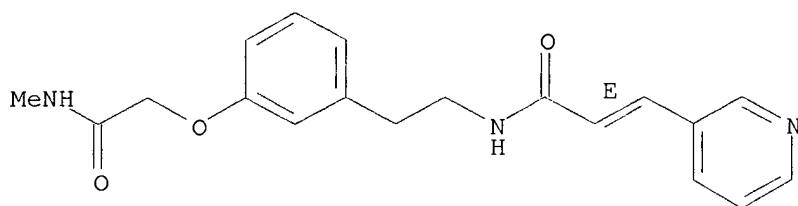


● HCl

RN 219965-22-7 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-(methylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

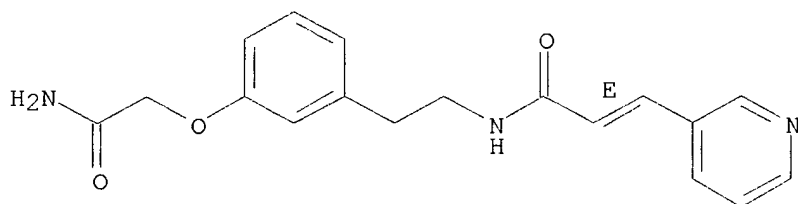
Double bond geometry as shown.



RN 219965-23-8 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-(2-amino-2-oxoethoxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

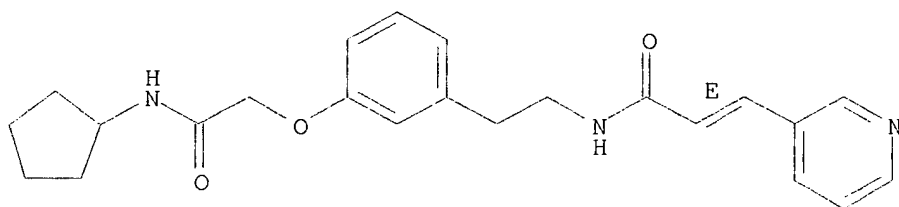
Double bond geometry as shown.



RN 219965-24-9 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-(cyclopentylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



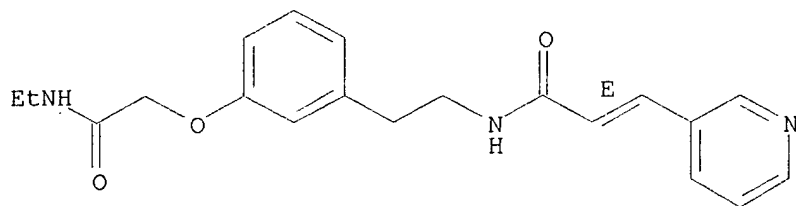
RN 219965-25-0 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-(ethylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

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pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

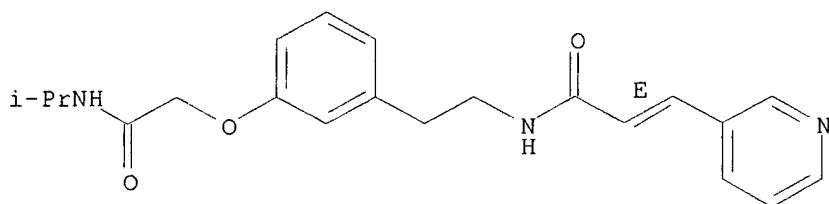
Double bond geometry as shown.



RN 219965-26-1 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-[(1-methylethyl)amino]-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

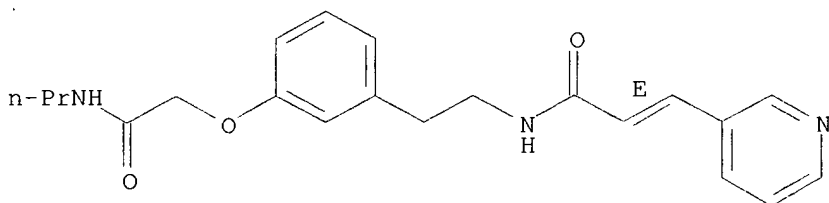
Double bond geometry as shown.



RN 219965-27-2 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-oxo-2-(propylamino)ethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

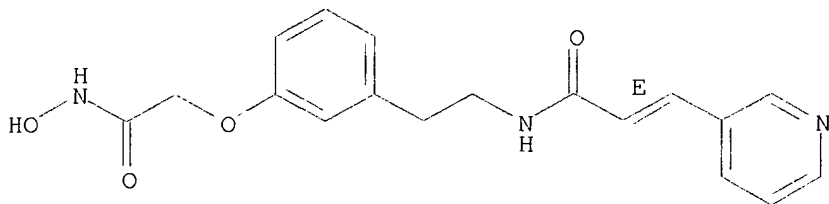
Double bond geometry as shown.



RN 219965-28-3 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-(hydroxyamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

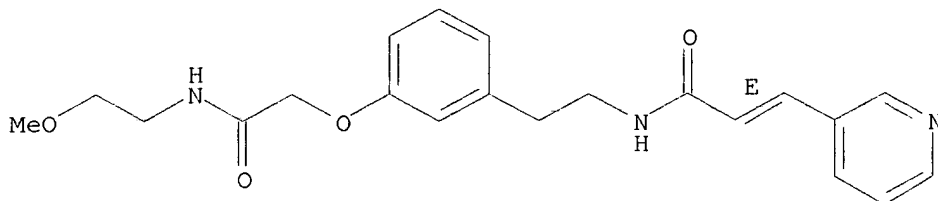


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RN 219965-30-7 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-[(2-methoxyethyl)amino]-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

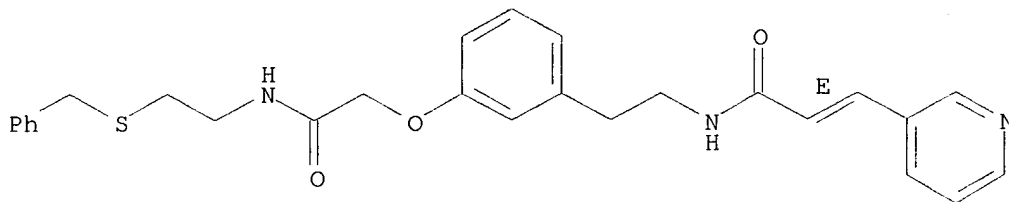
Double bond geometry as shown.



RN 219965-32-9 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-oxo-2-[[2-[(phenylmethyl)thio]ethyl]amino]ethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

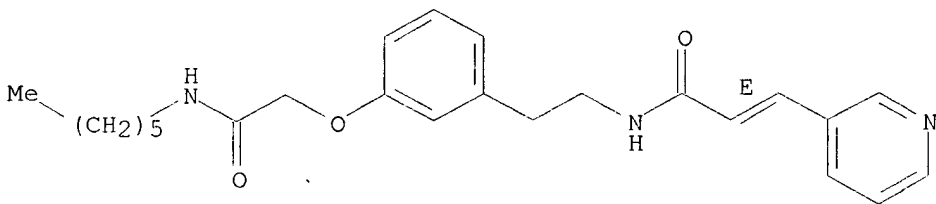
Double bond geometry as shown.



RN 219965-33-0 CAPLUS

CN 2-Propenamide, N-[2-[3-[2-(hexylamino)-2-oxoethoxy]phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

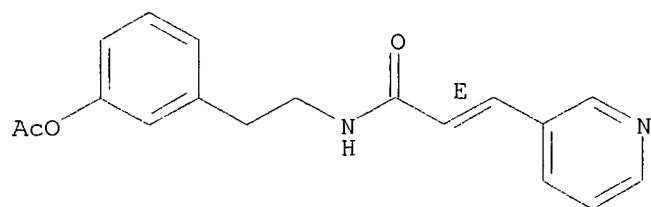


RN 219965-34-1 CAPLUS

CN 2-Propenamide, N-[2-[3-(acetyloxy)phenyl]ethyl]-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

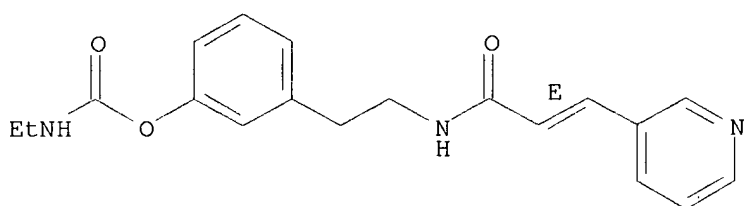




RN 219965-35-2 CAPLUS

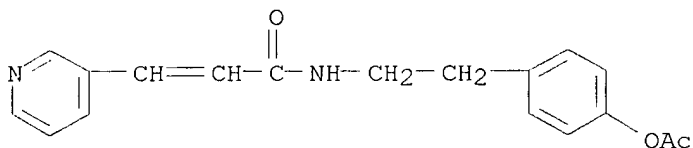
CN Carbamic acid, ethyl-, 3-[2-[[ (2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



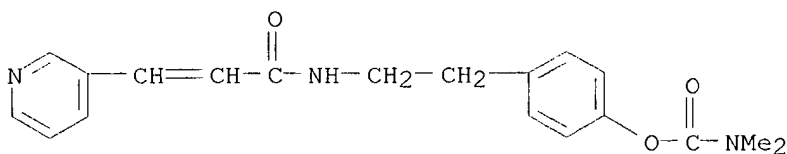
RN 219965-36-3 CAPLUS

CN 2-Propenamide, N-[2-[4-(acetyloxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)



RN 219965-37-4 CAPLUS

CN Carbamic acid, dimethyl-, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

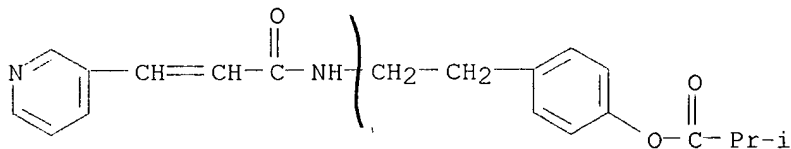


● HCl

RN 219965-38-5 CAPLUS

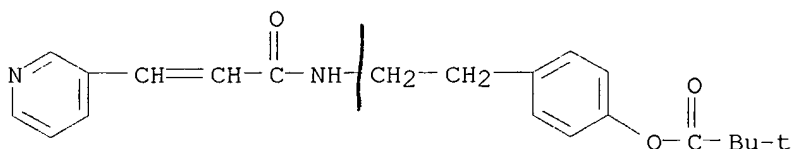
CN Propanoic acid, 2-methyl-, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



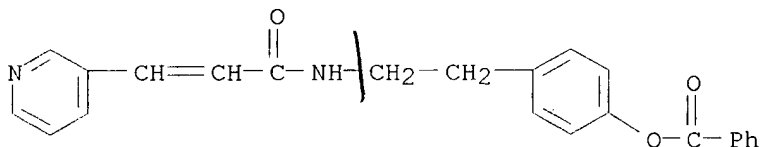


RN 219965-39-6 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

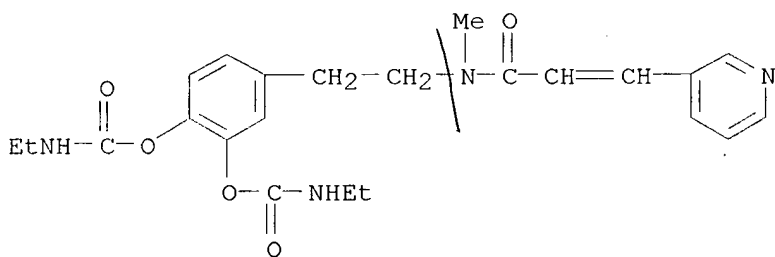


RN 219965-40-9 CAPLUS

CN 2-Propenamide, N-[2-[4-(benzyloxy)phenyl]ethyl]-3-(3-pyridinyl)- (9CI)  
(CA INDEX NAME)

RN 219965-41-0 CAPLUS

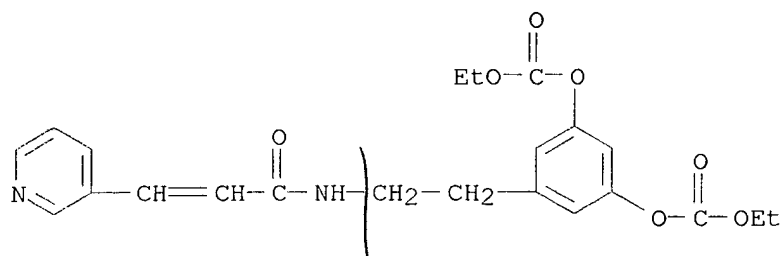
CN Carbamic acid, ethyl-, 4-[2-[methyl[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-1,2-phenylene ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 219965-42-1 CAPLUS

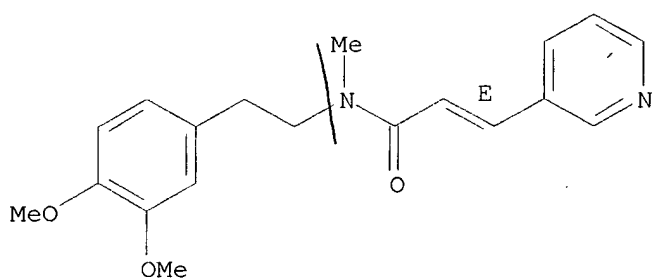
CN Carbonic acid, 5-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]-1,3-phenylene diethyl ester (9CI) (CA INDEX NAME)



RN 219965-51-2 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

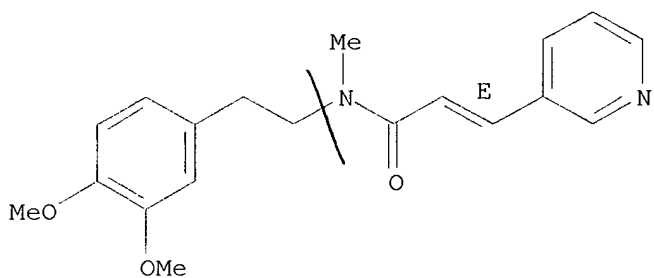


● HCl

RN 219965-52-3 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, monohydrobromide, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HBr

RN 219965-53-4 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, sulfate (1:1) (9CI) (CA INDEX NAME)

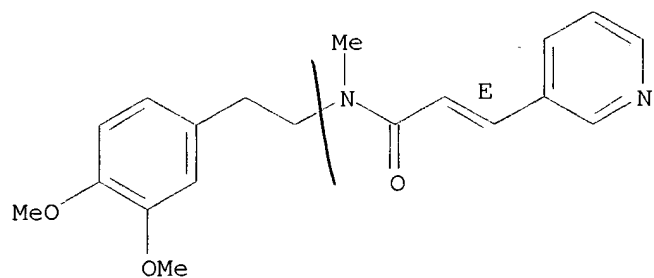
09/596,086

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

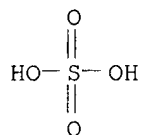
Double bond geometry as shown.



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 219965-54-5 CAPLUS

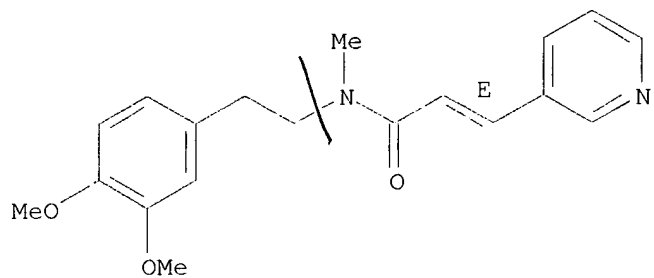
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

Double bond geometry as shown.

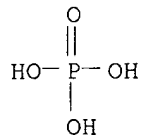


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CM 2

CRN 7664-38-2

CMF H3 O4 P



RN 219965-55-6 CAPLUS

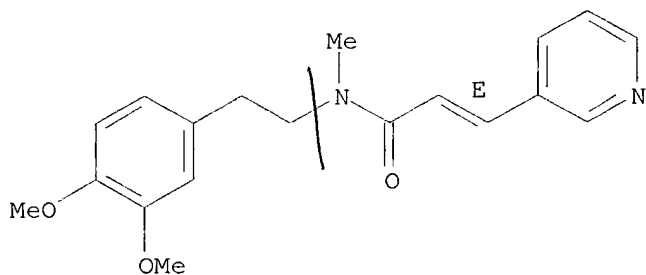
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

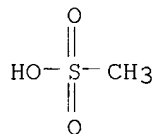
Double bond geometry as shown.



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 219965-56-7 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

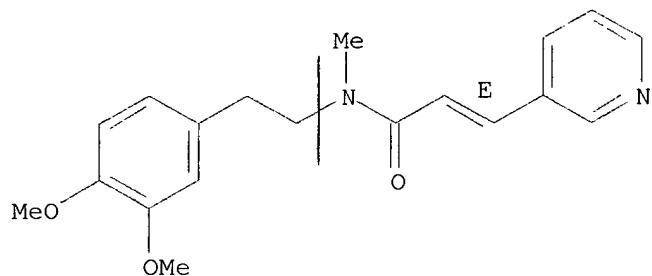
CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

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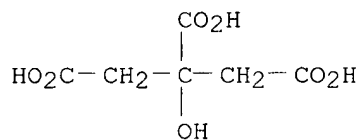
Double bond geometry as shown.



CM 2

CRN 77-92-9

CMF C6 H8 O7



RN 219965-57-8 CAPLUS

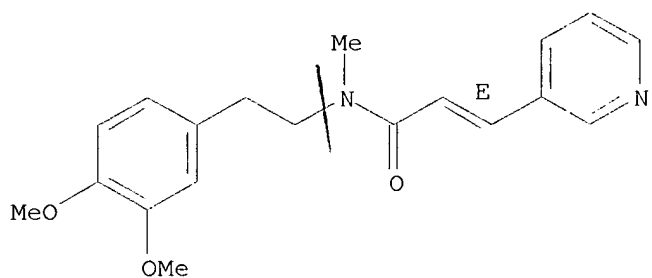
CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

Double bond geometry as shown.



CM 2

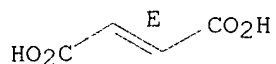
CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

09/596,086

Double bond geometry as shown.



RN 219965-58-9 CAPLUS

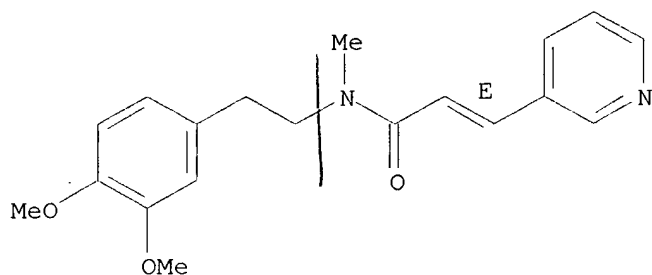
CN Butanedioic acid, compd. with (2E)-N-[2-(3,4-dimethoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-2-propenamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 219964-53-1

CMF C19 H22 N2 O3

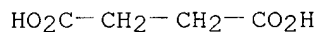
Double bond geometry as shown.



CM 2

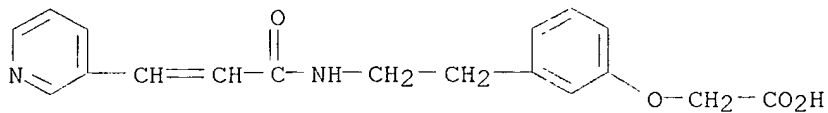
CRN 110-15-6

CMF C4 H6 O4



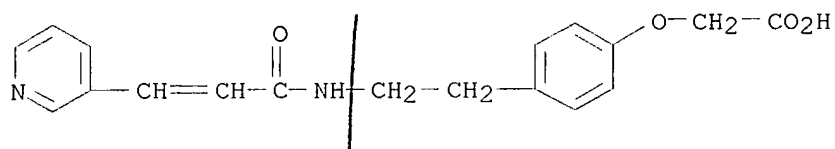
RN 219965-59-0 CAPLUS

CN Acetic acid, [3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-(9CI) (CA INDEX NAME)



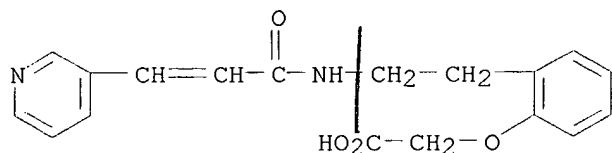
RN 219965-60-3 CAPLUS

CN Acetic acid, [4-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-(9CI) (CA INDEX NAME)



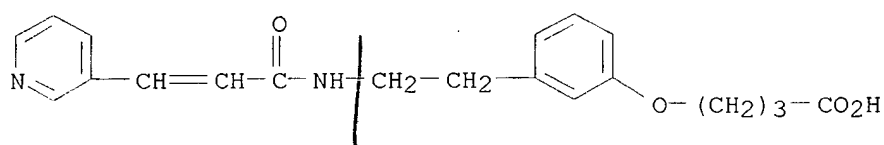
RN 219965-61-4 CAPLUS

CN Acetic acid, [2-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-(9CI) (CA INDEX NAME)



RN 219965-63-6 CAPLUS

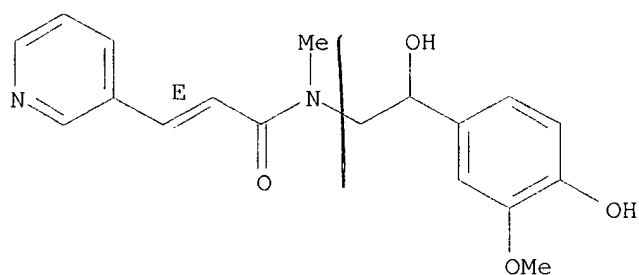
CN Butanoic acid, 4-[3-[2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-(9CI) (CA INDEX NAME)



RN 219965-69-2 CAPLUS

CN 2-Propenamide, N-[2-hydroxy-2-(4-hydroxy-3-methoxyphenyl)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

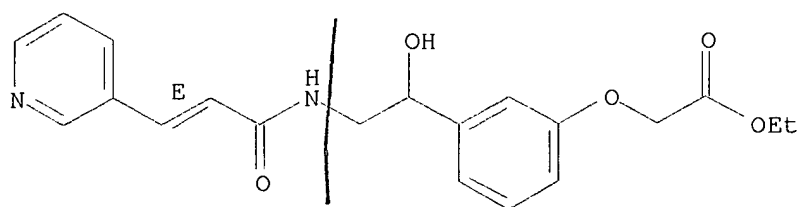
Double bond geometry as shown.



RN 219965-70-5 CAPLUS

CN Acetic acid, [3-[1-hydroxy-2-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

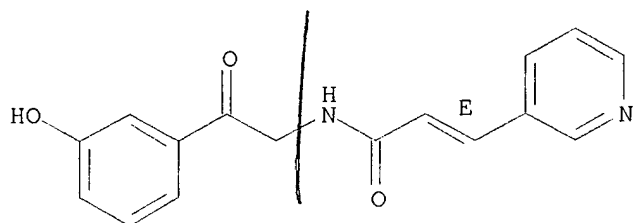
Double bond geometry as shown.



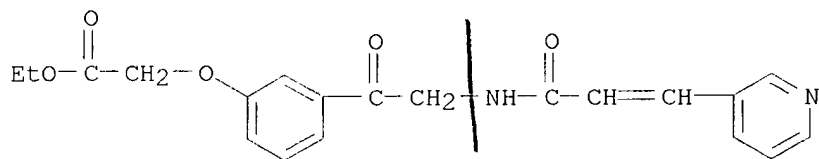
RN 219965-71-6 CAPLUS

CN 2-Propenamide, N-[2-(3-hydroxyphenyl)-2-oxoethyl]-3-(3-pyridinyl)-, (2E)-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



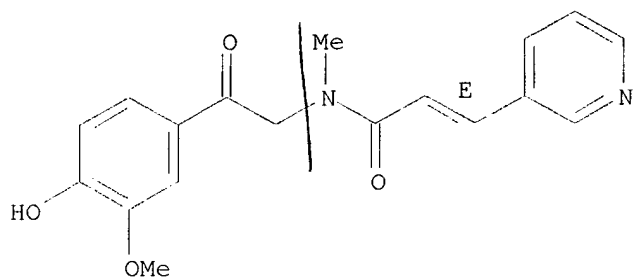
RN 219965-72-7 CAPLUS

CN Acetic acid, [3-[[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]acetyl]phenoxy]-  
, ethyl ester (9CI) (CA INDEX NAME)

RN 219965-73-8 CAPLUS

CN 2-Propenamide, N-[2-(4-hydroxy-3-methoxyphenyl)-2-oxoethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



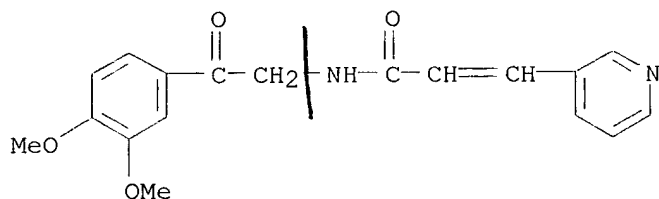
RN 219965-74-9 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-oxoethyl]-3-(3-pyridinyl)-



09/596,086

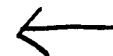
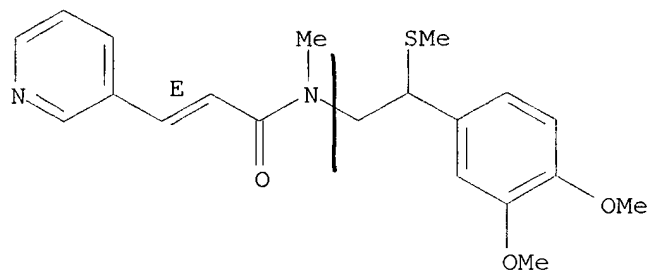
(9CI) (CA INDEX NAME)



RN 219965-75-0 CAPLUS

CN 2-Propenamide, N-[2-(3,4-dimethoxyphenyl)-2-(methylthio)ethyl]-N-methyl-3-(3-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/586,086

~~122~~ ANSWER 53 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1999:64775 CAPLUS

DN 130:124995

TI Preparation of pyridine derivatives for treating disorders mediated full or in part by mGluR5

IN Allgeier, Hans; Auberson, Yves; Biollaz, Michel; Cosford, Nicholas David; Gasparini, Fabrizio; Heckendorn, Roland; Johnson, Edwin Carl; Kuhn, Rainer; Varney, Mark Andrew; Velicelebi, Gonul

PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.; Sibia Neurosciences Inc.

SO PCT Int. Appl., 48 pp.  
CODEN: PIXXD2

DT Patent

LA English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9902497 A2	19990121	WO 1998-EP4266	19980709
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W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG

PRAI US 1997-891691 19970711

US 1997-890689 19970711

OS MARPAT 130:124995

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R1 = H, lower alkyl, hydroxy-lower alkyl, etc.; R2 = H, lower alkyl, CO2H, etc.; R3 = H, lower alkyl, CO2H, etc.; R4 = H, lower alkyl, OH, etc.; X = an optionally halo-substituted lower alkenylene or alkynylene bonded via vicinal unsatd. carbon atoms or an azo group; R5 = (un)substituted arom. or heteroarom.] and their salts, useful for treating disorders mediated full or in part by mGluR1 or mGluR5 (no data) such as epilepsy, cerebral ischemia, ischemic diseases of the eye, muscle spasms, convulsions, pain, acute, traumatic and chronic degenerative processes of the nervous system and psychiatric diseases, were prepd. Thus, reaction of 2,6-dimethylpyridine with 3-cyanobenzaldehyde in Ac2O afforded I [R1 = Me; R2-R4 = H; X = CH:CH; R5 = 3-(NC)C6H5].

IT **219914-11-1P**

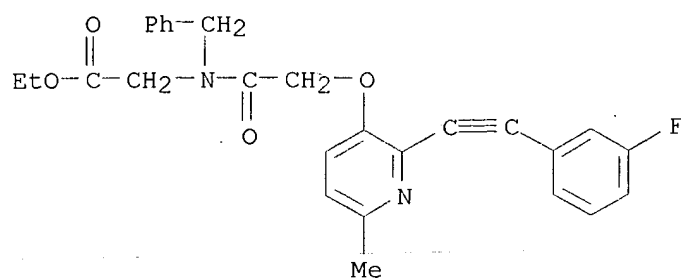
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(prepn. of pyridine derivs. for treating disorders mediated full or in part by mGluR5)

RN 219914-11-1 CAPLUS

CN Glycine, N-[[[2-[(3-fluorophenyl)ethynyl]-6-methyl-3-pyridinyl]oxy]acetyl]-N-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



~~172~~ ANSWER 54 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:799996 CAPLUS

DN 130:33016

TI Sulfonamides as cell adhesion inhibitors

IN Durette, Philippe L.; Hagmann, William K.; Maccoss, Malcolm; Mills, Sander G.; Mumford, Richard A.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

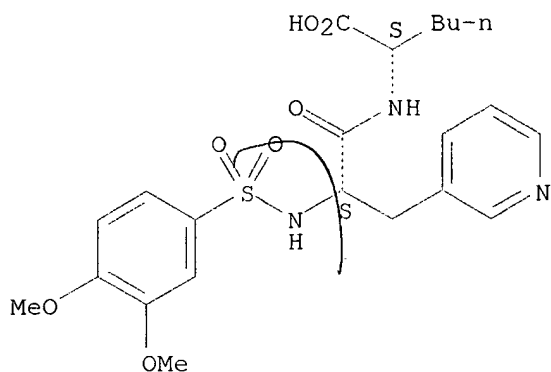
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9853818	A1	19981203	WO 1998-US10952	19980529
	W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9877032	A1	19981230	AU 1998-77032	19980529
	AU 728435	B2	20010111		
	EP 998282	A1	20000510	EP 1998-924989	19980529
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
	JP 2002501537	T2	20020115	JP 1999-500939	19980529
	US 6221888	B1	20010424	US 1999-424823	19991129
PRAI	US 1997-47954	P	19970529		
	GB 1997-14335	A	19970707		
	US 1997-66787	P	19971125		
	GB 1998-684	A	19980114		
	WO 1998-US10952	W	19980529		
OS	MARPAT 130:33016				
AB	Sulfonamidodipeptides are antagonists of VLA-4 and/or .alpha.4-.beta.7, and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. These compds. may be formulated into pharmaceutical compns. and are suitable for use in the treatment of asthma, allergies, inflammation, multiple sclerosis, and other inflammatory and autoimmune disorders. A no. of benzenesulfonyldipeptide derivs. were prepd. and tested for inhibition of VLA-4-dependent adhesion of BSA-CS-1 conjugate.				
IT	<b>216780-04-0P 216780-32-4P</b>				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(sulfonamides as cell adhesion inhibitors)				
RN	216780-04-0 CAPLUS				
CN	L-Norleucine, N-[(3,4-dimethoxyphenyl)sulfonyl]-3-(3-pyridinyl)-L-alanyl-(9CI) (CA INDEX NAME)				

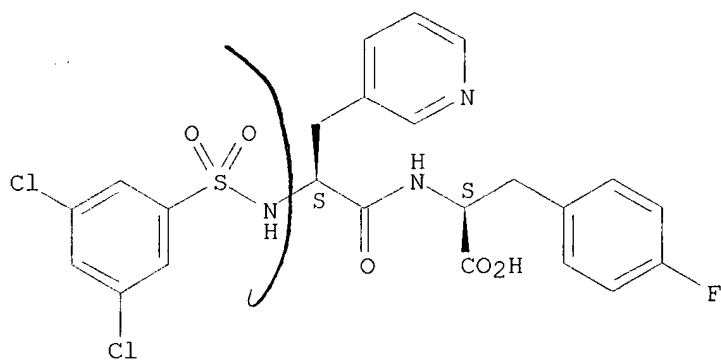
Absolute stereochemistry.



RN 216780-32-4 CAPLUS

CN L-Phenylalanine, N-[(3,5-dichlorophenyl)sulfonyl]-3-(3-pyridinyl)-L-alanyl-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~122~~ ANSWER 55 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:789038 CAPLUS

DN 130:52731

TI Preparation of novel peptide nucleic acid monomers and oligomers with increased thymidine specificity

IN Nielsen, Peter E.; Haaime, Gerald; Eldrup, Anne B.

PA Isis Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 120 pp.

CODEN: PIXXD2

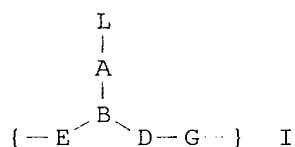
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9852595	A1	19981126	WO 1998-US10672	19980522
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9875981	A1	19981211	AU 1998-75981	19980522
	AU 737528	B2	20010823		
	EP 988045	A1	20000329	EP 1998-923764	19980522
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	JP 2001500387	T2	20010116	JP 1998-550748	19980522
PRAI	US 1997-862629	A2	19970523		
	WO 1998-US10672	W	19980522		

GI



AB Novel peptide nucleic acid (PNA) oligomers and their constituent monomers I [L = adenosine-thymidine nucleobase pair recognition moiety; A = bond, CH<sub>2</sub>, (CR<sub>1</sub>R<sub>2</sub>)pY(CR<sub>1</sub>R<sub>2</sub>)q, (CR<sub>1</sub>R<sub>2</sub>)mY(CR<sub>1</sub>R<sub>2</sub>)nC(:X); B = N, N+R<sub>3</sub>; D = CR<sub>6</sub>R<sub>7</sub>, CH<sub>2</sub>CR<sub>6</sub>R<sub>7</sub>, CHR<sub>6</sub>R<sub>7</sub>; E = CR<sub>6</sub>R<sub>7</sub>, CHR<sub>6</sub>CHR<sub>7</sub>, CR<sub>6</sub>R<sub>7</sub>CH<sub>2</sub>; G = NR<sub>3</sub>CO, NR<sub>3</sub>CS, NR<sub>3</sub>SO, NR<sub>3</sub>SO<sub>2</sub>; X = O, S, Se, NR<sub>3</sub>, CH<sub>2</sub>, CMe<sub>2</sub>; Y = bond, O, S, NR<sub>4</sub>; each m, n, p, q = independently 0-5; each R<sub>1</sub>, R<sub>2</sub> = independently H, OH, alkoxy, alkylthio, amino, halo, C1-4 alkyl optionally substituted with OH, alkoxy, or alkylthio; each R<sub>3</sub>, R<sub>4</sub> = independently any group R<sub>1</sub> except halo; R<sub>5</sub> = H, C1-4 alkyl optionally substituted with OH, alkoxy, or alkylthio; R<sub>6</sub> = H, R<sub>7</sub> = naturally occurring amino acid side chain; R<sub>6</sub>, R<sub>7</sub> = independently H, C2-7 alkyl, aryl, aralkyl, heteroaryl, OH, C1-6 alkoxy, C1-6 alkylthio, NR<sub>3</sub>R<sub>4</sub>, SR<sub>5</sub>; or R<sub>6</sub>R<sub>7</sub> form alicyclic or heterocyclic ring system] are disclosed. The PNA oligomers and linked PNAs form triple stranded structures with nucleic acids that show an increased specificity for thymidine in nucleic acid targets relative to naturally occurring nucleobases. Thus, dimeric PNA H-TCTATCATTT-(egl)3-TTTXJTXJT-OH (II; egl

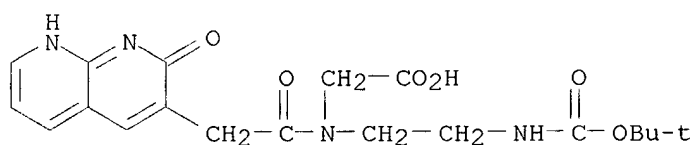
= 8-amino-3,6-dioxooctanoic acid linker; J = pseudoisocytosine; X = 3-oxo-2,3-dihydropyridazine) showed higher binding to oligonucleotide target sequence 5'-dCGCAGATAGTAAACGC-3' (T<sub>m</sub> = 57.0.degree.) as compared to ref. sequence II [X = N-acetyl-N-(2-aminoethyl)glycine] (T<sub>m</sub> = 47.5.degree.).

IT 216678-56-7P 216678-57-8P 216678-59-0P  
 216678-65-8P 216678-67-0P 216678-69-2P  
 216678-70-5P 216678-74-9P 216678-75-0P  
 216678-78-3P 216678-79-4P 216678-84-1P  
 216678-85-2P 216678-87-4P 216678-89-6P  
 216679-03-7P 216679-06-0P 216679-07-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of novel peptide nucleic acid monomers and oligomers with  
 increased thymidine specificity)

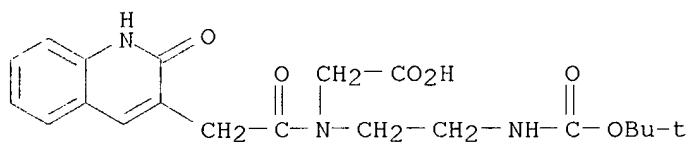
RN 216678-56-7 CAPLUS

CN Glycine, N-[(1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



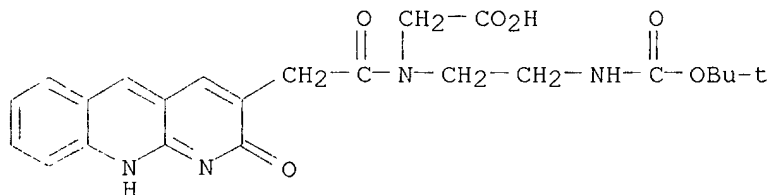
RN 216678-57-8 CAPLUS

CN Glycine, N-[(1,2-dihydro-2-oxo-3-quinolinyl)acetyl]-N-[2-[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



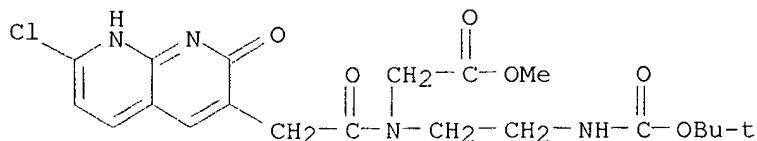
RN 216678-59-0 CAPLUS

CN Glycine, N-[(1,2-dihydro-2-oxobenzo[b][1,8]naphthyridin-3-yl)acetyl]-N-[2-[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

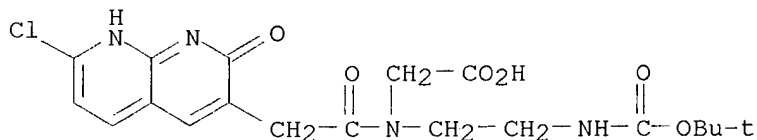


RN 216678-65-8 CAPLUS

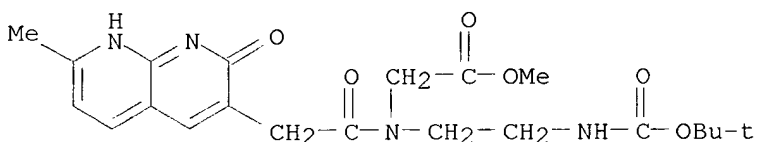
CN Glycine, N-[(7-chloro-1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



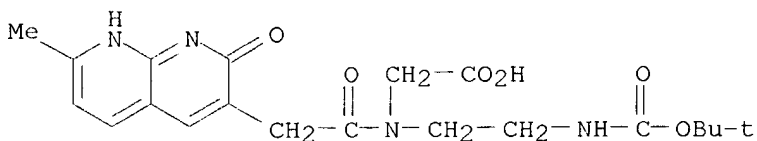
RN 216678-67-0 CAPLUS

CN Glycine, N-[(7-chloro-1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-  
[[ (1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

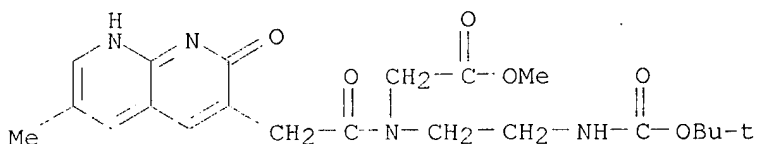
RN 216678-69-2 CAPLUS

CN Glycine, N-[(1,2-dihydro-7-methyl-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-  
[[ (1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA  
INDEX NAME)

RN 216678-70-5 CAPLUS

CN Glycine, N-[(1,2-dihydro-7-methyl-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-  
[[ (1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

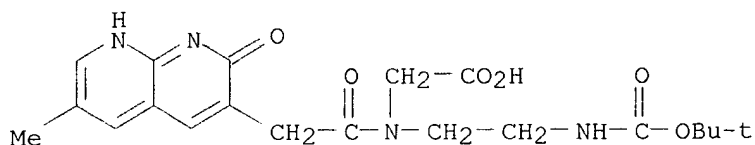
RN 216678-74-9 CAPLUS

CN Glycine, N-[(1,2-dihydro-6-methyl-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-  
[[ (1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA  
INDEX NAME)

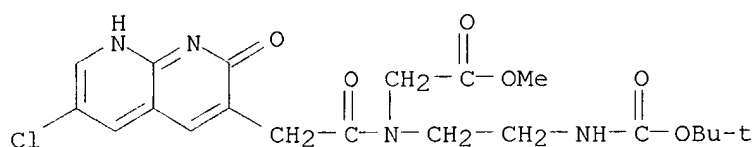
RN 216678-75-0 CAPLUS



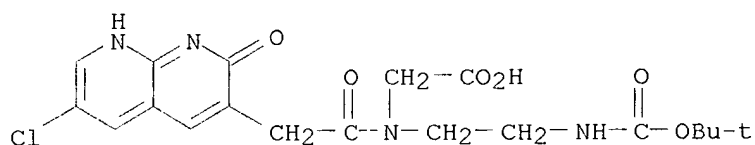
RN 216678-78-3 CAPLUS  
 CN Glycine, N-[(1,2-dihydro-6-methyl-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-  
 [[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



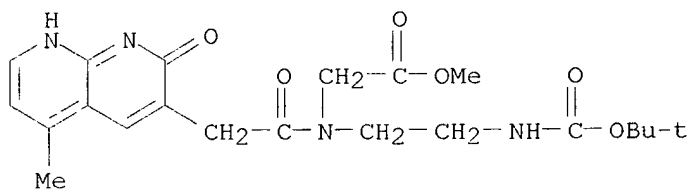
RN 216678-79-4 CAPLUS  
 CN Glycine, N-[(6-chloro-1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-  
 [[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA  
 INDEX NAME)



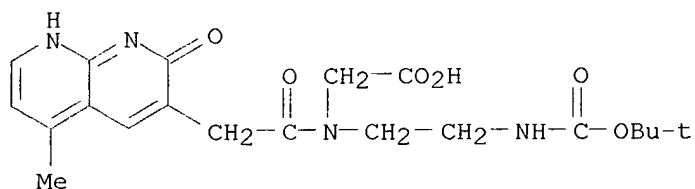
RN 216678-84-1 CAPLUS  
 CN Glycine, N-[(6-chloro-1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-  
 [[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 216678-85-2 CAPLUS  
 CN Glycine, N-[(1,2-dihydro-5-methyl-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-  
 [[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA  
 INDEX NAME)

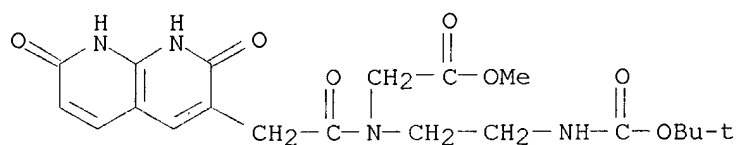


RN 216678-85-2 CAPLUS  
 CN Glycine, N-[(1,2-dihydro-5-methyl-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-  
 [[(1,1-dimethylethoxy)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)



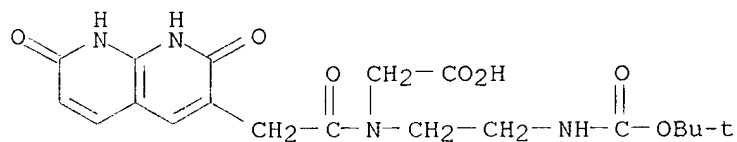
RN 216678-87-4 CAPLUS

CN Glycine, N-[2-[[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(1,2,7,8-tetrahydro-2,7-dioxo-1,8-naphthyridin-3-yl)acetyl]-, methyl ester (9CI)  
(CA INDEX NAME)



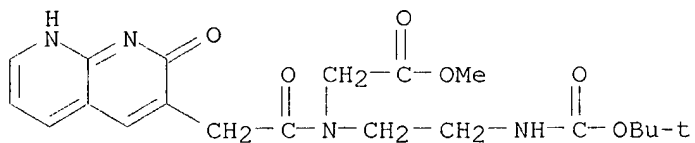
RN 216678-89-6 CAPLUS

CN Glycine, N-[2-[[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-N-[(1,2,7,8-tetrahydro-2,7-dioxo-1,8-naphthyridin-3-yl)acetyl]- (9CI) (CA INDEX NAME)



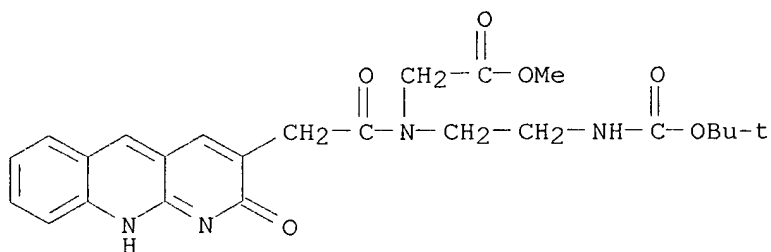
RN 216679-03-7 CAPLUS

CN Glycine, N-[(1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl)acetyl]-N-[2-[[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



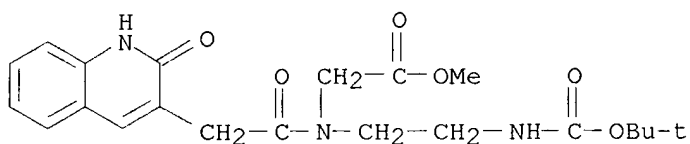
RN 216679-06-0 CAPLUS

CN Glycine, N-[(1,2-dihydro-2-oxobenzo[b][1,8]naphthyridin-3-yl)acetyl]-N-[2-[[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 216679-07-1 CAPLUS

CN Glycine, N-[(1,2-dihydro-2-oxo-3-quinolinyl)acetyl]-N-[2-[[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

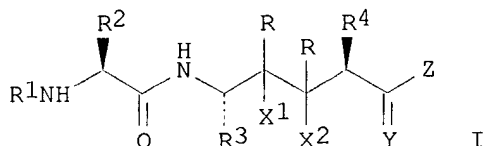


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

EA2 ANSWER 56 OF 193 CAPLUS COPYRIGHT 2002 ACS  
AN 1998:774282 CAPLUS  
DN 130:25347  
TI Preparation of inhibitors of peptide binding to MHC Class II proteins  
IN Adams, Alan D.; Jones, A. Brian  
PA Merck and Co., Inc., USA  
SO U.S., 28 pp.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5840835	A	19981124	US 1996-738520	19961028
OS	MARPAT 130:25347				
GI					



AB Compds. I [R2 = bond, X1 = X2 = H or R2 = H2, X1 = X2 = H or X1X2 = CH2; Z = NH2, OH, or (un)substituted alkylamino or alkoxyamino; Y = O, H2; R1 = PhCHR5CHWC(:R6), where R5 = H, alkyl; R6 = H2, H(alkyl), O; W = H, NH2, NHR5, NHCOR5 or O, NH, NR5, NCOR5 attached to the o-position via (CH2)n (n = 0-2); or analogs in which Ph is replaced by cyclohexyl, cyclohexenyl, or cyclohexadienyl; R2, R3, R4 = (un)substituted alkyl] were prepd. as inhibitors of peptide binding to major histocompatibility complex type II proteins. Thus, trans-(2R)-n-butyl-(5S)-aminooct-3-enoylamide trifluoroacetate, Nva.PSI.[E,CH:CH]Nle-NH2.TFA, was prepd. from (5R)-methyl-(4S)-phenyloxazolidinone, hexanoic anhydride, 2-hexenal, and trichloroacetonitrile.

IT 190274-29-4P 216301-23-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of inhibitors of peptide binding to MHC Class II proteins)

RN 190274-29-4 CAPLUS

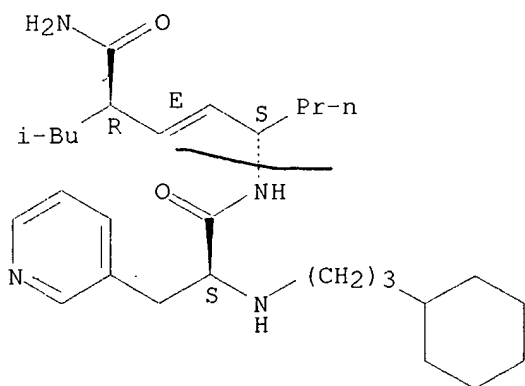
CN 3-Pyridinepropanamide, N-[(1S,2E,4R)-4-(aminocarbonyl)-6-methyl-1-propyl-2-heptenyl]-.alpha.-[(3-cyclohexylpropyl)amino]-, (.alpha.S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 190274-28-3

CMF C29 H48 N4 O2

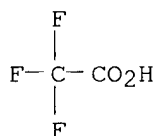
Absolute stereochemistry.  
Double bond geometry as shown.



CM 2

CRN 76-05-1

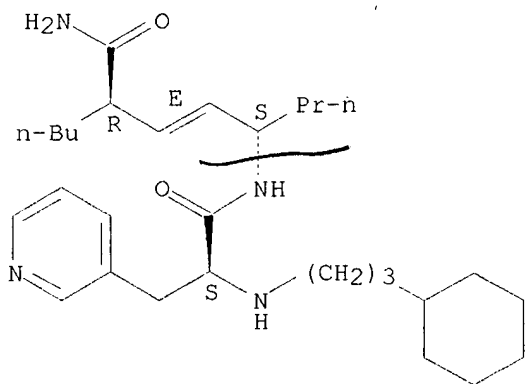
CMF C2 H F3 O2



RN 216301-23-4 CAPLUS

CN 3-Pyridinepropanamide, N-[(1S,2E,4R)-4-(aminocarbonyl)-1-propyl-2-octenyl]-  
.alpha.-[(3-cyclohexylpropyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



IT 190274-70-5P 190274-76-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of inhibitors of peptide binding to MHC Class II proteins)

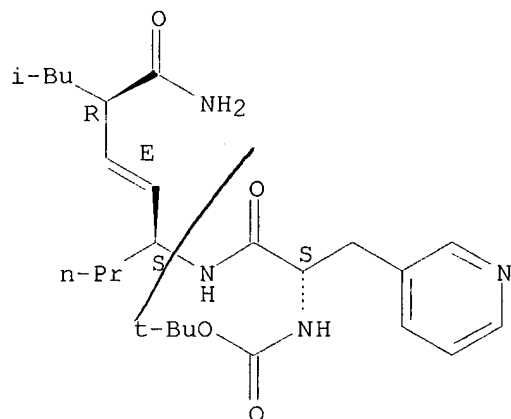
RN 190274-70-5 CAPLUS

CN Carbamic acid, [(1S)-2-[[[(1S,2E,4R)-4-(aminocarbonyl)-6-methyl-1-propyl-2-heptenyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl

09/596,086

ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 190274-76-1 CAPLUS

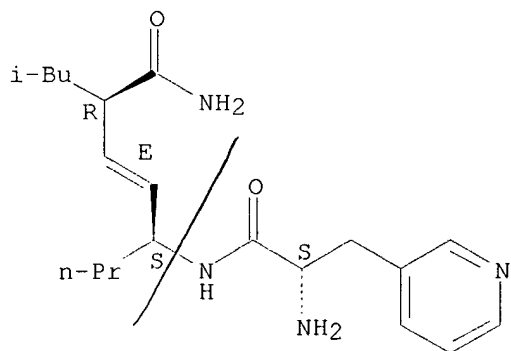
CN 3-Pyridinepropanamide, .alpha.-amino-N-[(1S,2E,4R)-4-(aminocarbonyl)-6-methyl-1-propyl-2-heptenyl]-, (.alpha.S)-, mono(trifluoroacetate) (9CI)  
(CA INDEX NAME)

CM 1

CRN 190274-75-0

CMF C20 H32 N4 O2

Absolute stereochemistry.  
Double bond geometry as shown.

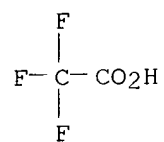


CM 2

CRN 76-05-1

CMF C2 H F3 O2

09/596,086



RE.CNT 25      THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/596,086

~~LN~~ ANSWER 57 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~IN~~ 1998:677821 CAPLUS

~~DN~~ 129:302890

TI Treatment of cancer using a combination of integrin antagonists and farnesyl protein transferase inhibitors.

IN Duggan, Mark E.; Hartman, George D.; Heimbrook, David C.; Oliff, Allen I.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 422 pp.

CODEN: PIXXD2

DT Patent

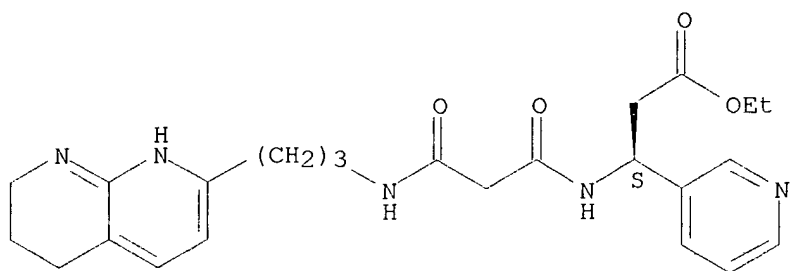
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9844797	A1	19981015	WO 1998-US6823	19980406
	W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9869532	A1	19981030	AU 1998-69532	19980406
	AU 724216	B2	20000914		
	EP 973396	A1	20000126	EP 1998-915318	19980406
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	JP 2001524079	T2	20011127	JP 1998-543013	19980406
PRAI	US 1997-41923	P	19970407		
	GB 1998-976	A	19980116		
	WO 1998-US6823	W	19980406		
OS	MARPAT 129:302890				
AB	A method of achieving a therapeutic effect comprising administration of an integrin antagonist and a farnesyl-protein transferase inhibitor where the amt. of either alone is insufficient to achieve the effect, is claimed (no data). Amino acid and peptide derivs., e.g., N-[(2R)-amino-3-mercaptopropyl]valylisoleucylleucine, were prepd.				
IT	<b>206989-44-8 206989-45-9</b>				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(treatment of cancer using a combination of integrin antagonists and farnesyl protein transferase inhibitors)				
RN	206989-44-8 CAPLUS				
CN	.beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, ethyl ester, (3S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

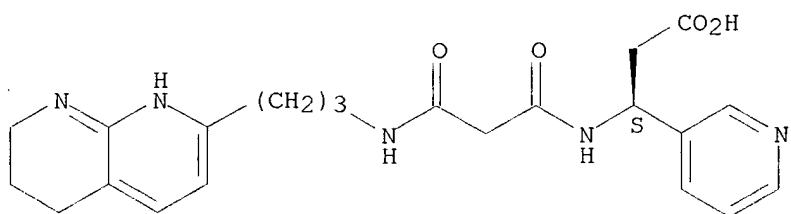




RN 206989-45-9 CAPLUS

CN .beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

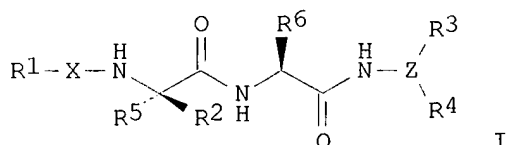
Absolute stereochemistry.



09/596,086

~~122~~ ANSWER 58 OF 193 CAPLUS COPYRIGHT 2002 ACS  
~~AN~~ 1998:650063 CAPLUS  
DN 129:276350  
TI Preparation of inhibitors of peptide binding to MHC class II proteins  
IN Adams, Alan D.; Jones, A. Brian; Lombardo, Victoria K.; Tolman, Richard L.  
PA Merck and Co., Inc., USA  
SO U.S., 37 pp.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5817757	A	19981006	US 1996-736706	19961028
OS	MARPAT 129:276350				
GI					



AB Peptides I [X = CH<sub>2</sub>, 1,1-alkylene, CO, SO<sub>2</sub>, CO<sub>2</sub>; Z = CH, N; R<sub>1</sub> = (un)substituted alkyl or alkenyl, cycloalkyl, heterocyclyl; R<sub>2</sub> = H, (un)substituted alkyl; R<sub>3</sub>, R<sub>4</sub> = H, CONH<sub>2</sub>, dialkylcarboxamido, CO<sub>2</sub>H, carbalkoxy, (un)substituted alkyl or R<sub>3</sub>R<sub>4</sub>Z form a lactam ring; R<sub>5</sub> = (un)substituted alkyl or alkenyl; R<sub>6</sub> = (un)substituted alkyl] were prepd. as inhibitors of peptide binding to major histocompatibility complex type II proteins. Thus, N-.alpha.-[2-methyl-2-[(3-cyclohexylpropyl)amino]propanoyl]-Nva-Leu-NH<sub>2</sub> was prepd. by the solid-phase method and showed IC<sub>50</sub> = 1.59 .mu.M (5h) for inhibition of peptide binding to DR1 compds.

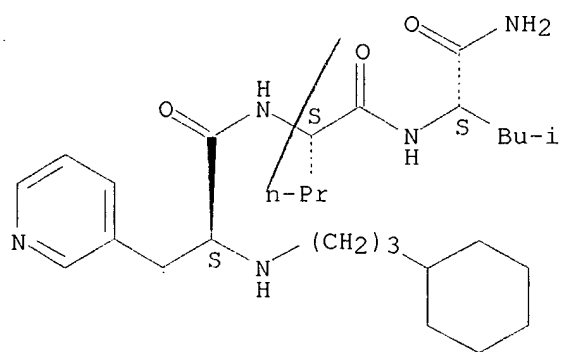
IT **190321-86-9P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of inhibitors of peptide binding to MHC class II proteins)

RN 190321-86-9 CAPLUS

CN L-Leucinamide, N-(3-cyclohexylpropyl)-3-(3-pyridinyl)-L-alanyl-L-norvalyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



ANSWER 59 OF 193 CAPLUS COPYRIGHT 2002 ACS

1998:608608 CAPLUS

DN 129:245485

TI Preparation of heterocyclic compounds and their use for inhibiting .beta.-amyloid peptide release

IN Thorsett, Eugene D.; Porter, Warren J.; Nissen, Jeffrey S.; Latimer, Lee H.; Audia, James E.; Droste, James J.

PA Athena Neurosciences, Inc., USA; Eli Lilly & Co.

SO PCT Int. Appl., 392 pp.

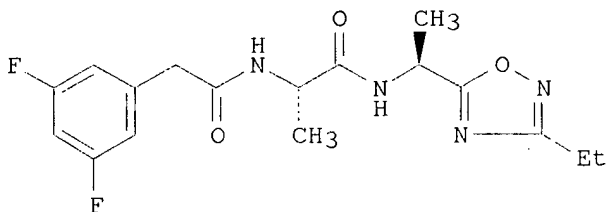
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9838177	A1	19980903	WO 1998-US3373	19980227
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ZA 9801627	A	19991005	ZA 1998-1627	19980226
	AU 9866622	A1	19980918	AU 1998-66622	19980227
	EP 968198	A1	20000105	EP 1998-908637	19980227
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9807876	A	20000229	BR 1998-7876	19980227
	JP 2001513107	T2	20010828	JP 1998-537732	19980227
	NO 9904016	A	19991018	NO 1999-4016	19990819
PRAI	US 1997-808263	A1	19970228		
	WO 1998-US3373	W	19980227		
OS	MARPAT 129:245485				
GI					



AB Disclosed are modified heterocyclic di- and tripeptide analogs which inhibit .beta.-amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Also disclosed are pharmaceutical compns. comprising a compd. which inhibits .beta.-amyloid peptide release and/or its synthesis as well as methods for treating Alzheimer's disease both prophylactically and therapeutically with such pharmaceutical compns. Title compds., e.g. I, were prepd. in a

09/596,086

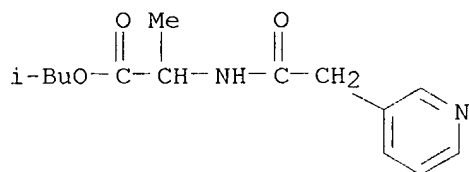
multistep synthesis and inhibited .beta.-amyloid peptide prodn. by at least 30% as compared to control.

IT **208116-34-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of heterocyclic compds. and their use for inhibiting  
.beta.-amyloid peptide release)

RN 208116-34-1 CAPLUS

CN Alanine, N-(3-pyridinylacetyl)-, 2-methylpropyl ester (9CI) (CA INDEX  
NAME)



09/596,086

ANSWER 60 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:608600 CAPLUS

DN 129:230740

TI Heteroaryl-hexanoic acid amide derivatives, their preparation and their use as selective inhibitors of MIP-1.alpha. binding to its CCR1 receptor

IN Brown, Matthew Frank; Kath, John Charles; Poss, Christopher Stanley

PA Pfizer Inc., USA

SO PCT Int. Appl., 106 pp.

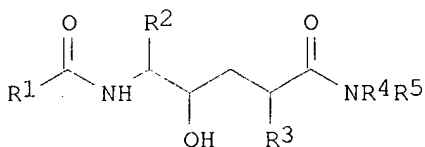
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9838167	A1	19980903	WO 1998-US1568	19980205
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9861354	A1	19980918	AU 1998-61354	19980205
	EP 966443	A1	19991229	EP 1998-906013	19980205
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
	BR 9807858	A	20000222	BR 1998-7858	19980205
	JP 2000513740	T2	20001017	JP 1998-537644	19980205
	ZA 9801602	A	19990921	ZA 1998-1602	19980226
	NO 9904101	A	19990825	NO 1999-4101	19990825
PRAI	US 1997-39169	P	19970226		
	WO 1998-US1568	W	19980205		
OS	MARPAT 129:230740				
GI					



AB I [R1 = optionally substituted (C2-C9)heteroaryl; R2 = optionally substituted phenyl-(CH2)m-, naphthyl-(CH2)m-, (C3-C10)cycloalkyl-(CH2)m-, (C1-C6)alkyl or (C2-C9)heteroaryl-(CH2)m-; m = integer from zero to four; R3 = H, optionally substituted (C1-C10)alkyl, (C3-C10)cycloalkyl-(CH2)n-, (C2-C9)heterocycloalkyl-(CH2)n-, (C2-C9)heteroaryl-(CH2)n-, aryl-(CH2)n-; n = integer from zero to six; R3 and the carbon to which it is attached form an optionally substituted and/or fused five to seven membered carbocyclic ring; R4 = H, (C1-C6)alkyl, hydroxy, (C1-C6)alkoxy, hydroxy-(C1-C6)alkyl, (C1-C6)alkoxyCO, (C3-C10)cycloalkyl-(CH2)p-, optionally substituted (C2-C9)heterocycloalkyl-(CH2)p-, (C2-C9)heteroaryl-(CH2)p-, phenyl-(CH2)p- or naphthyl-(CH2)p-, p = integer from zero to four; R4 and R5 together with the nitrogen atom to which they are attached form an optionally substituted (C2-C9)heterocycloalkyl group;

R5 = H, (C1-C6)alkyl, amino] were prepd. The present compds. are potent and selective inhibitors of MIP-1.alpha. binding to its receptor CCR1, and are thus useful to treat inflammation and other immune disorders. E.g., quinoxaline-2-carboxylic acid [1(S)-benzyl-4(R)-benzylcarbamoyl-7-fluoro-2(S)-hydroxy-7-methyloctyl]amide was prepd.

IT 212787-71-8P 212787-85-4P 212787-93-4P

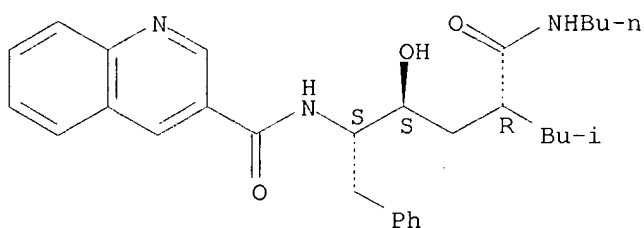
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroaryl-substituted hexanamides and their use as selective inhibitors of MIP-1.alpha. binding to its CCR1 receptor)

RN 212787-71-8 CAPLUS

CN 3-Quinolinecarboxamide, N-[(1S,2S,4R)-4-[(butylamino)carbonyl]-2-hydroxy-6-methyl-1-(phenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

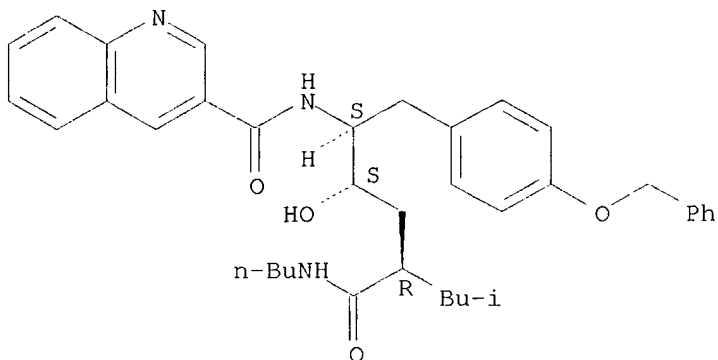
Absolute stereochemistry.



RN 212787-85-4 CAPLUS

CN 3-Quinolinecarboxamide, N-[(1S,2S,4R)-4-[(butylamino)carbonyl]-2-hydroxy-6-methyl-1-[[4-(phenylmethoxy)phenyl]methyl]heptyl]- (9CI) (CA INDEX NAME)

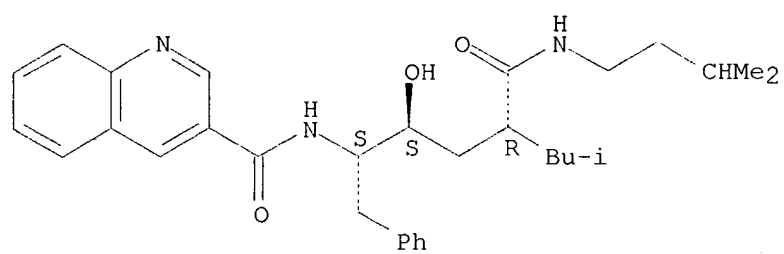
Absolute stereochemistry.



RN 212787-93-4 CAPLUS

CN 3-Quinolinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-6-methyl-4-[[3-methylbutyl]amino]carbonyl]-1-(phenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





~~L2~~ ANSWER 61 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:603680 CAPLUS

DN 129:325738

TI A Novel Class of Orally Active Non-Peptide Bradykinin B2 Receptor Antagonists. 3. Discovering Bioisosteres of the Imidazo[1,2-a]pyridine Moiety

AU Abe, Yoshito; Kayakiri, Hiroshi; Satoh, Shigeki; Inoue, Takayuki; Sawada, Yuki; Inamura, Noriaki; Asano, Masayuki; Aramori, Ichiro; Hatori, Chie; Sawai, Hiroe; Oku, Teruo; Tanaka, Hirokazu

CS Exploratory Research Laboratories, Fujisawa Pharmaceutical Company Ltd., Ibaraki, 300-2698, Japan

SO J. Med. Chem. (1998), 41(21), 4062-4079

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 129:325738

AB Recently the authors reported on overcoming the species difference of the authors first orally active non-peptide bradykinin (BK) B2 receptor antagonists, incorporating an 8-[[3-(N-acylglycyl-N-methylamino)-2,6-dichlorobenzyl]oxy]-3-halo-2-methylimidazo[1,2-a]pyridine skeleton, leading to identification of the first clin. candidate FR167344. With this potent new lead compd. in hand, the authors then investigated further refinement of the basic framework by replacement of the imidazo[1,2-a]pyridine moiety and discovered several bioisosteric heterocycles. Extensive optimization of these new heteroarom. derivs. revealed the detailed structure-activity relationships (SAR) around the imidazo[1,2-a]pyridine ring and the 2,6-dichlorobenzyl moiety, leading to the discovery of the authors second clin. candidate FR173657 which inhibited the specific binding of [3H]BK to recombinant human B2 receptors expressed in Chinese hamster ovary (CHO) cells and guinea pig ileum membrane preps. expressing B2 receptors with IC50's of 1.4 and 0.46 nM, resp. This compd. also displayed excellent in vivo functional antagonistic activity against BK-induced bronchoconstriction in guinea pigs with an ED50 value of 0.075 mg/kg by oral administration. Further modifications of the terminal substituents on the pyridine moiety led to a novel pharmacophore and resulted in the identification of FR184280, whose IC50 value for human B2 receptors (0.51 nM) was comparable to that of the second-generation peptide B2 antagonist Icatibant.

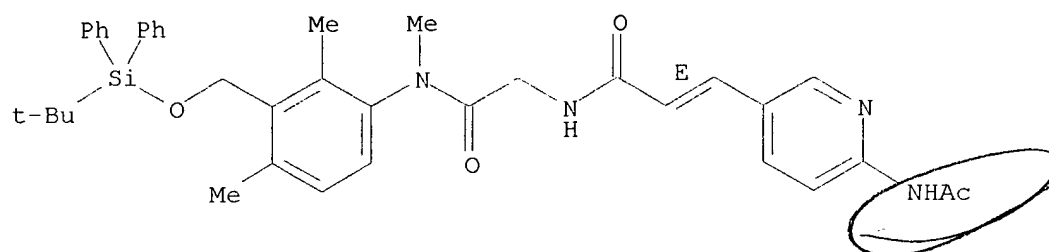
IT **174298-73-8P 174298-74-9P 174298-75-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(intermediate; novel class of orally active non-peptide bradykinin B2 receptor antagonists in relation to discovering bioisosteres of imidazo[a]pyridine moiety)

RN 174298-73-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

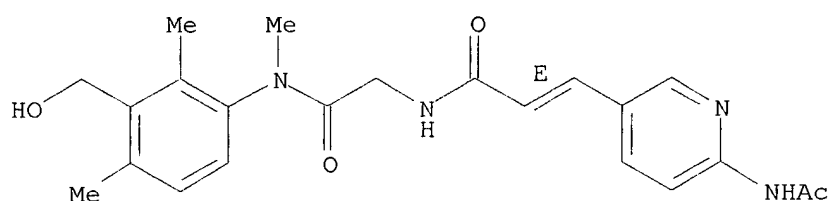
Double bond geometry as shown.



RN 174298-74-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(hydroxymethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

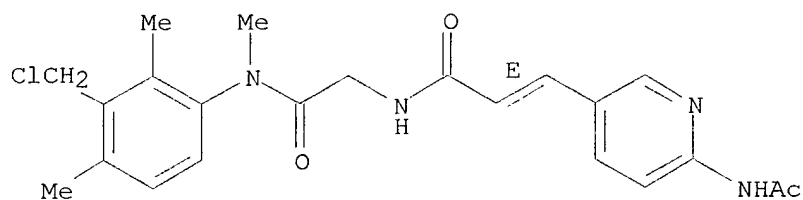
Double bond geometry as shown.



RN 174298-75-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(chloromethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

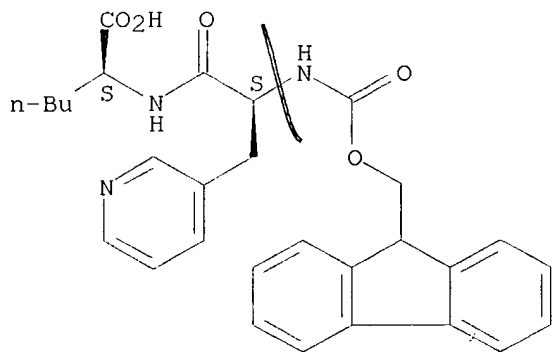
Double bond geometry as shown.



09/596,086

122 ANSWER 62 OF 193 CAPLUS COPYRIGHT 2002 ACS  
AN 1998:597795 CAPLUS  
DN 130:14199  
TI CLEAR supports for solid-phase synthesis  
AU Kempe, Maria; Keifer, Paul A.; Barany, George  
CS Department of Chemistry, University of Minnesota, Minneapolis, MN, 55455,  
USA  
SO Pept. 1996, Proc. Eur. Pept. Symp., 24th (1998), Meeting Date 1996,  
533-534. Editor(s): Ramage, Robert; Epton, Roger. Publisher: Mayflower  
Scientific, Kingswinford, UK.  
CODEN: 66RCA5  
DT Conference  
LA English  
AB This work discusses the uses of CLEAR (cross-linked ethoxylate acrylate  
resin) supports for solid-phase peptide synthesis and HR-MAS (high-resoln.  
magic-angle spinning) NMR for a non-invasive method of monitoring the  
progress of the reaction. For example, a <sup>1</sup>H-NMR spectrum of  
Fmoc-Pal-Nle-CLEAR was compared with that of the underivatized resin, and  
since CLEAR supports themselves do not contain arom. groups, resonances  
from the arom. groups (i.e., Pal) of the peptide bound to resin can be  
easily assigned. Also, CLEAR supports swell in both hydrophilic and  
hydrophobic solvents, thereby making it possible to conduct a wide range  
of chemistries on the support.  
IT **216001-49-9DP**, CLEAR resin-bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(using CLEAR supports for solid-phase peptide synthesis and HR-MAS NMR  
for monitoring the reaction progress)  
RN 216001-49-9 CAPLUS  
CN L-Norleucine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-3-(3-pyridinyl)-L-  
alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

See 64 of 193  
 L22 ANSWER 63 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:543220 CAPLUS

DN 129:175563

TI 4-Substituted quinoline derivatives and 4-substituted quinoline combinatorial libraries

IN Hayes, Thomas K.; Forood, Behrouz; Kiely, John S.

PA Trega Biosciences, Inc., USA

SO PCT Int. Appl., 124 pp.

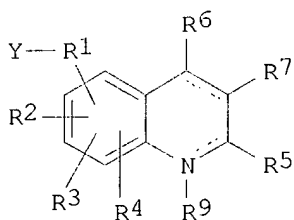
CODEN: PIXXD2

DT Patent

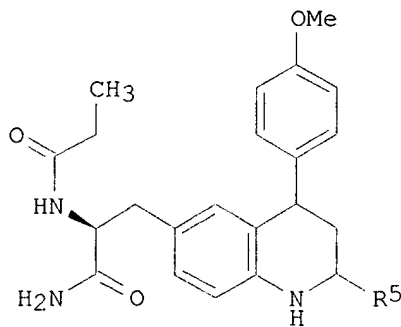
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9834115	A1	19980806	WO 1997-US22391	19971205
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9881919	A1	19980825	AU 1998-81919	19971205
	EP 977989	A1	20000209	EP 1997-949775	19971205
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	US 6262269	B1	20010717	US 1998-17785	19980203
PRAI	US 1997-795392	A	19970204		
	US 1997-126414	P	19970204		
	WO 1997-US22391	W	19971205		
OS	MARPAT 129:175563				
GI					



I



II

AB The invention relates to novel 4-substituted quinoline derivs. I, their salts, and combinatorial libraries contg. mixts. of two or more such compds. [wherein R1 = bond, (un)substituted alk(en/yn)ylene, cycloalk(en)ylene, phenylene, naphthylene, heterocycle, heteroaryl, amino, CH2CONH, (CH2)pAr(CH2)q, etc.; p, q = 0-6 but both cannot be 0; Ar = (un)substituted Ph or heteroaryl; R2, R3, R4 = H, halo, (un)protected OH, cyano, NO2, (un)substituted alk(en/yn)yl, alkoxy, cycloalk(en)yl,

heterocyclyl, phenylalkyl, Ph, naphthyl, etc.; R5 = H, (un)substituted alk(en/yn)yl, cycloalk(en)yl, Ph, naphthyl, phenylalkyl, (un)protected CO2H, acyl, heterocyclyl, etc.; R6 = H, (un)substituted Ph, naphthyl, 2-oxopyrrolidin-1-yl and higher homologs, (un)substituted NHCHO; R7 = H, (un)substituted alkyl; Y = CO2H, OH, SH, NHR8, CONHR8, CH2OH, CH2NH2, CH2NHR8; R8 = H, (un)substituted alkyl, or functionalized resin; R9 = H, (un)substituted alkyl, phenylalkyl, acyl, PhSO2, alkylsulfonyl, alkylaminocarbonyl, or PhNHCO, or is absent; dotted lines = optional pi bonds]. The invention also relates to the generation of such libraries. In 12 examples, libraries of I ranging in size from 2380 to 39,440 compds. were prepd. as mixed sublibraries. Data for control compds. (samples of individually known intermediates and products, cleaved from simultaneously processed control resins) are given for some examples. Both quinoline and tetrahydroquinoline libraries were prepd. For instance, tea-bags of MBHA resin were each coupled with L- or D-N-BOC-p-nitrophenylalanine, the BOC groups were removed from both, and the amino groups were each acylated with 170 carboxylic acids. The acylated, resin-bound products were mixed and reduced at the nitro group, and the amine product mixts. were condensed with 58 different aldehydes and cyclized with 4-methoxystyrene. Cleavage of the resin-bound products with HF gave mixed sublibraries of I. Individual control samples of products, such as II [R5 = 1-naphthyl, 2,3-difluorophenyl, cyclohexyl, etc.], were obtained by reactions of pure, resin-bound L-N-propanoyl-p-aminophenylalanine control samples with individual aldehydes and 4-methoxystyrene. Potential applications of I (no data) may include use as antibacterials, NMDA antagonists, or analgesics.

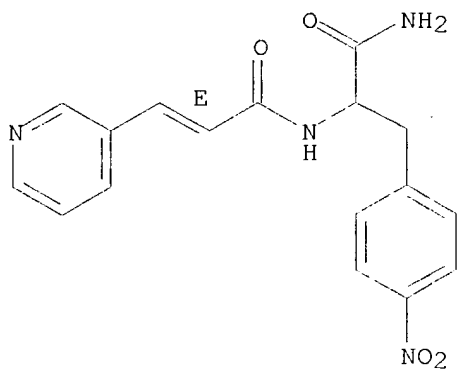
IT **211376-05-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(resin-cleavage control intermediate; prepn. of tricyclic  
tetrahydroquinoline derivs. and combinatorial libraries)

RN 211376-05-5 CAPLUS

CN Benzenepropanamide, 4-nitro-.alpha.-[[ (2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L22 ANSWER 64 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:543216 CAPLUS

DN 129:175562

TI Tricyclic tetrahydroquinoline derivatives and tricyclic tetrahydroquinoline combinatorial libraries

IN Hayes, Thomas K.; Kiely, John S.

PA Trega Biosciences, Inc., USA

SO PCT Int. Appl., 119 pp.

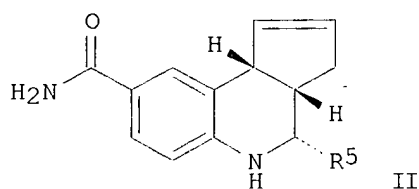
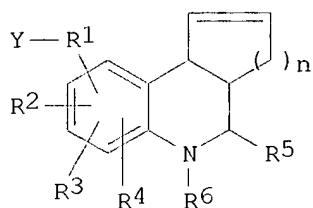
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9834111	A1	19980806	WO 1997-US22206	19971205
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	US 5925527	A	19990720	US 1997-795893	19970204
	AU 9855928	A1	19980825	AU 1998-55928	19971205
	EP 983507	A1	20000308	EP 1997-952280	19971205
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
PRAI	US 1997-795893		19970204		
	WO 1997-US22206		19971205		
OS	MARPAT 129:175562				
GI					



AB The invention relates to novel tricyclic tetrahydroquinoline compds. I, their salts, and combinatorial libraries contg. mixts. of two or more such compds. [wherein R1 = bond, (un)substituted alk(en/yn)ylene, cycloalk(en)ylene, phenylene, naphthylene, heterocycle, heteroaryl, amino, CH<sub>2</sub>CONH, (CH<sub>2</sub>)<sub>p</sub>Ar(CH<sub>2</sub>)<sub>q</sub>; p, q = 0-6 but both cannot be 0; Ar = (un)substituted Ph or heteroaryl; R2, R3, R4 = H, halo, (un)protected OH, cyano, NO<sub>2</sub>, (un)substituted alk(en/yn)yl, alkoxy, cycloalk(en)yl, heterocyclyl, phenylalkyl, Ph, naphthyl, etc.; R5 = H, (un)substituted alk(en/yn)yl, cycloalk(en)yl, Ph, naphthyl, phenylalkyl, (un)protected CO<sub>2</sub>H, acyl, heterocyclyl, etc.; R6 = H, (un)substituted alkyl, phenylalkyl, acyl, PhSO<sub>2</sub>, alkylsulfonyl, alkylaminocarbonyl, PhNHCO; n = 1-3; Y = CO<sub>2</sub>H, OH, SH, NHR<sub>7</sub>, CONHR<sub>7</sub>, CH<sub>2</sub>OH, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>NHR<sub>7</sub>; R<sub>7</sub> = H, (un)substituted alkyl, or functionalized resin; R1 must be present and R5 .noteq. Ph when Y = CO<sub>2</sub>H]. The invention also relates to the generation

of such libraries. In 2 examples, libraries of 2774 and approx. 17,000 compds. I were prepd. as mixed sublibraries. Data for control compds. (samples of individually known intermediates and products, cleaved from simultaneously processed control resins) are given. For instance, tea-bags of MBHA resin were each coupled with one of 19 aminobenzoic acids, such as 4-aminobenzoic acid. Diagnostic cleavage of each of these resins with HF gave 19 aminobenzamide controls in 34-99% yield. The 19 resins were mixed together and placed in new tea-bags, then condensed with 73 different aldehydes, and finally cyclized with cyclopentadiene. Cleavage of the resin-bound products with HF gave approx. 73 mixts. of 38 compds. (counting sep. enantiomers). Individual control samples of products, such as II [R5 = H, CH<sub>2</sub>Cl, cyclohexyl, CO<sub>2</sub>H, (un)substituted Ph, etc.], were typically obtained in 50-100% yield by reactions of pure, resin-bound 4-aminobenzoic acid control samples in sibling tea-bags. Potential applications of I (no data) may include use as antibacterials or analgesics.

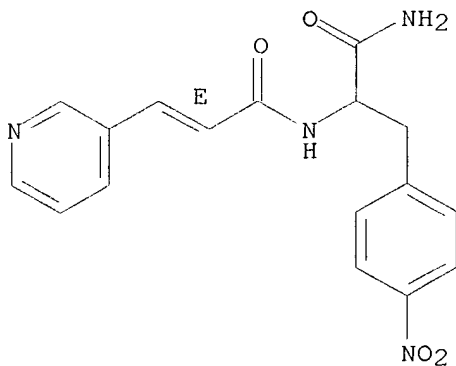
IT **211376-05-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(resin-cleavage control intermediate; prepn. of tricyclic tetrahydroquinoline derivs. and combinatorial libraries)

RN 211376-05-5 CAPLUS

CN Benzenepropanamide, 4-nitro-.alpha.-[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



~~122~~ ANSWER 65 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:479505 CAPLUS

DN 129:122870

TI Preparation of cycloalkyl, lactam, lactone and related compounds for inhibiting .beta.-amyloid peptide release and/or its synthesis

IN Wu, Jing; Tung, Jay S.; Thorsett, Eugene D.; Pleiss, Michael A.; Nissen, Jeffrey S.; Neitz, Jeffrey; Latimer, Lee H.; John, Varghese; Freedman, Stephen; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Droste, James J.; Henry, Steven S.; Mcdaniel, Stacey L.; Scott, William Leonard; Stucky, Russell D.; Porter, Warren J.

PA Athena Neurosciences, Inc., USA; Eli Lilly & Co.

SO PCT Int. Appl., 889 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9828268	A2	19980702	WO 1997-US22986	19971222
	WO 9828268	A3	19981008		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	ZA 9711537	A	19980625	ZA 1997-11537	19971222
	AU 9857007	A1	19980717	AU 1998-57007	19971222
	EP 951466	A2	19991027	EP 1997-953208	19971222
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	CN 1242007	A	20000119	CN 1997-180901	19971222
	BR 9714517	A	20000704	BR 1997-14517	19971222
	JP 2000511932	T2	20000912	JP 1998-528867	19971222
	NO 9903098	A	19990820	NO 1999-3098	19990622
PRAI	US 1996-780025	A1	19961223		
	WO 1997-US22986	W	19971222		

OS MARPAT 129:122870

AB Disclosed are compds. R1ZmNHYNCHpR2C(X)R3 [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl or aryl, heteroaryl, or heterocyclic; R2 and R3 form a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl ring which is optionally fused; X = oxo, thioxo, hydroxyl, thiol, or hydro; Y = CHR4CONH where R4 = (un)substituted alkyl, alkenyl, or alkynyl or cycloalkyl, aryl, heteroaryl, or heterocyclic; Z is TCX'X''CO where T is a bond, O, S, NR5 (R5 = H, acyl, alkyl, aryl, or heteroaryl), X' and X'' are H, OH, or F or X'X'' = oxo; m, p = 0, 1; n = 0, 1, 2] which inhibit .beta.-amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Thus, 3-[[N'-(3,4-methylenedioxyphenylacetyl)-L-alaninyl]amino]-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prep'd. by coupling of 3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one with 3,4-methylenedioxyphenylacetic acid.

IT 208116-34-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

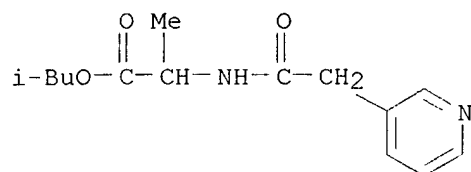


09/596,086

(prepn. of cycloalkyl, lactam, lactone and related compds. for  
inhibiting .beta.-amyloid peptide release and/or its synthesis)

RN 208116-34-1 CAPLUS

CN Alanine, N-(3-pyridinylacetyl)-, 2-methylpropyl ester (9CI) (CA INDEX  
NAME)



09/596,086

~~12~~ ANSWER 66 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1998:479021 CAPLUS

DN 129:122868

TI Preparation of peptidylboronic ester and acid compounds as proteasome inhibitors

IN Adams, Julian; Ma, Yu-Ting; Stein, Ross; Baevsky, Matthew; Grenier, Louis; Plamondon, Louis

PA Proscript, Inc., USA

SO U.S., 37 pp. Cont.-in-part of U.S. Ser. No. 442,581.

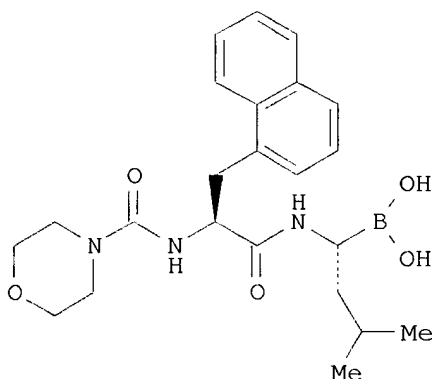
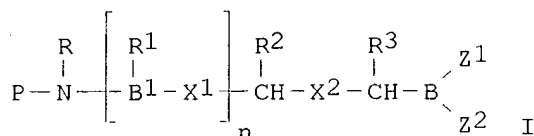
CODEN: USXXAM

DT Patent

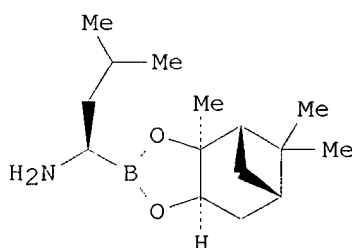
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5780454	A	19980714	US 1995-549318	19951027
	US 6083903	A	20000704	US 1995-442581	19950516
	US 6066730	A	20000523	US 1998-85404	19980526
	US 6297217	B1	20011002	US 2000-490511	20000125
PRAI	US 1994-330525	B2	19941028		
	US 1995-442581	A2	19950516		
	US 1995-549318	A3	19951027		
	US 1998-85404	A3	19980526		
OS	MARPAT 129:122868				
GI					



II



III

AB Disclosed herein is a method for reducing the rate of degradn. of proteins in an animal comprising contacting cells of the animal with certain boronic ester and acid compds I [P = aryl-, aralkyl-, heteroaryl-, or heteroarylalkylcarbonyl or -sulfonyl; B1 = N, CH; X1, X2 = CONH, CH(OH)CH2, COCH2; n = 0, 1, 2; R = H, alkyl; R1 or R2 (for n = 0) may form a ring; R1, R2, R3 = H, alkyl, cycloalkyl, aryl, etc.; Z1, Z2 = alkyl, hydroxy, alkoxy, aryloxy; Z1Z2 may form a moiety derived from a dihydroxy compd.]. Also disclosed herein are novel boronic ester and acid

comps., their synthesis and uses. Thus, peptidylboronic acid II was prepd. by coupling pinanediol leucine boronate ester III with N-Boc-.beta.-(1-naphthyl)-L-alanine, followed by deprotection, acylation with 4-morpholinecarbonyl chloride, and cleavage of the pinanediol moiety. II inhibited proteasome 20S wth  $K_i = 0.18$  nM.

IT **179324-34-6P 179324-35-7P 179324-37-9P**

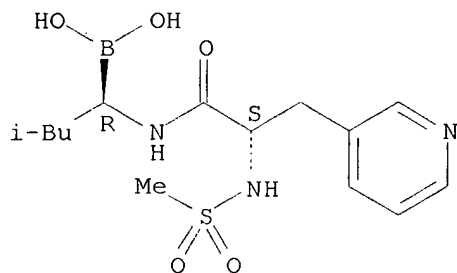
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptidylboronic ester and acid comps. as proteasome inhibitors)

RN 179324-34-6 CAPLUS

CN Boronic acid, [(1R)-3-methyl-1-[[[(2S)-2-[(methylsulfonyl)amino]-1-oxo-3-(3-pyridinyl)propyl]amino]butyl]- (9CI) (CA INDEX NAME)

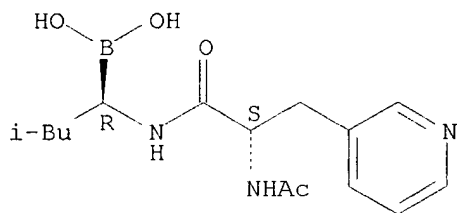
Absolute stereochemistry.



RN 179324-35-7 CAPLUS

CN Boronic acid, [(1R)-1-[[[(2S)-2-(acetylamino)-1-oxo-3-(3-pyridinyl)propyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

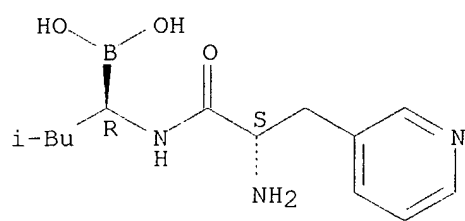


RN 179324-37-9 CAPLUS

CN Boronic acid, [(1R)-1-[[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/596,086



ANSWER 67 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:424263 CAPLUS

DN 129:95714

TI Preparation of new heterocyclic amides as nitric oxide production inhibitors

IN Yatabe, Takumi; Inoue, Takayuki; Hamashima, Hitoshi; Shima, Ichiro; Ohne, Kazuhiko; Yoshihara, Kousei; Oku, Teruo

PA Fujisawa Pharmaceutical Co., Ltd., Japan; Yatabe, Yoshiko; Itoh, Yoshikuni; Inoue, Takayuki; Hamashima, Hitoshi; Shima, Ichiro; Ohne, Kazuhiko; Yoshihara, Kousei; Oku, Teruo

SO PCT Int. Appl., 533 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9827108	A2	19980625	WO 1997-JP4243	19971120
	W: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9749680	A1	19980715	AU 1997-49680	19971120
	EP 946587	A2	19991006	EP 1997-912529	19971120
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001505585	T2	20010424	JP 1998-527528	19971120
	ZA 9710603	A	19980625	ZA 1997-10603	19971125
PRAI	AU 1996-4219	A	19961216		
	AU 1997-5929	A	19970401		
	AU 1997-9030	A	19970909		
	WO 1997-JP4243	W	19971120		
OS	MARPAT 129:95714				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [X = S, NR9; Y = CHR3, (un)substituted phenylene; R1 = (un)substituted indolyl, (un)substituted benzofuranyl; R2 = H, phenyl-lower alkyl; R3 = H, (CH2)nR6; R4 = H, (un)substituted Ph, (un)substituted pyridyl; R5 = H, imidazolyl, Ph, nitrophenyl, phenyl-lower alkyl, optionally esterified carboxy, CONR7R8; R4R5 = CH:CHCH:CH; R6 = optionally protected OH, acyl, carboxy, acylamino, lower alkoxy, phenyl-lower alkoxy, lower alkylthio, (un)substituted Ph; R7, R8 = independently H, Ph, phenyl-lower alkyl, lower alkyl, lower alkoxy; R9 = H, lower alkyl, lower cycloalkyl, (un)substituted benzyl; m = 0, 1; n = 0-3] and pharmaceutically acceptable salts thereof are described as strong inhibitors of the prodn. of nitric oxide. Compds. I are useful for prevention and treatment of nitric oxide-mediated diseases such as adult respiratory distress syndrome, cardiovascular ischemia, myocarditis, heart failure, synovitis, shock, diabetes, diabetic nephropathy, diabetic retinopathy, diabetic neuropathy, glomerulonephritis, peptic ulcer, inflammatory bowel disease, cerebral infarction, cerebral ischemia, cerebral hemorrhage, migraine, rheumatoid arthritis, gout, neuritis, post-herpetic neuralgia, osteoarthritis, osteoporosis, systemic lupus erythematosus, rejection by organ transplantation, asthma, metastasis,

Alzheimer's disease, arthritis, CNS disorders, dermatitis, hepatitis, liver cirrhosis, multiple sclerosis, pancreatitis, atherosclerosis, and the like in humans and animals. Thus, 2-step cyclocondensation of amino ketone II (prepn. given) with protected 3-(2-pyridyl)-L-alanine and methylamine gave protected imidazole III (Boc = Me<sub>3</sub>CO<sub>2</sub>C). Deprotection of III followed by acylation with indole-2-carboxylic acid gave desired compd. IV. IV inhibited nitric oxide prodn. 100% in murine macrophage cell line RAW264.7 at 10<sup>-5</sup> M.

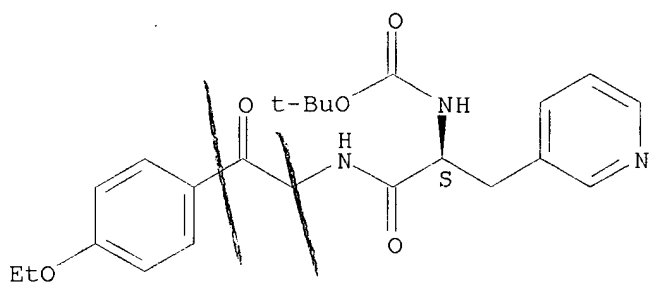
IT **209526-16-9P 209527-61-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of new heterocyclic amides as nitric oxide prodn. inhibitors)

RN 209526-16-9 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-(4-ethoxyphenyl)-2-oxoethyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

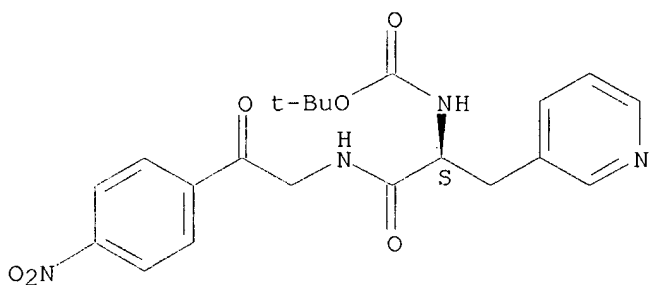
Absolute stereochemistry.



RN 209527-61-7 CAPLUS

CN Carbamic acid, [(1S)-2-[[2-(4-nitrophenyl)-2-oxoethyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L22 ANSWER 68 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:372650 CAPLUS

DN 129:41415

TI Preparation of thiol-containing peptide derivatives with metallopeptidase inhibitory activity

IN Santangelo, Francesco; Fantucci, Mario; Semeraro, Claudio; Pellacini, Franco; Romagnano, Stefano; Norcini, Gabriele

PA Zambon Group S.p.A., Italy

SO U.S., 12 pp.

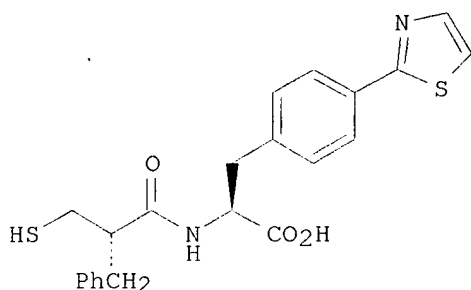
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5760241	A	19980602	US 1996-774298	19961224
	US 5994539	A	19991130	US 1997-993567	19971218
PRAI	WO 1996-EP251	A	19960123		
	US 1996-774298	A3	19961224		
OS	MARPAT 129:41415				
GI					



I

AB Title compds. RS(CH<sub>2</sub>)<sub>n</sub>CHR<sub>1</sub>CO(NHCHR<sub>2</sub>CO)mNHCH(CH<sub>2</sub>R<sub>3</sub>)CO<sub>2</sub>R<sub>4</sub> [I; R = R = H, R<sub>5</sub>CO; R<sub>1</sub>, R<sub>2</sub> = independently H, (un)branched C<sub>1</sub>-6 alkyl, aryl, C<sub>1</sub>-6 alkyl-aryl; aryl = (un)substituted Ph, PhC<sub>6</sub>H<sub>4</sub>, naphthyl, 5-6 membered arom. heterocycle; R<sub>3</sub> = Ph substituted with (un)substituted Ph or 5-6 membered arom. heterocycle; R<sub>4</sub> = H, C<sub>1</sub>-4 alkyl, CH<sub>2</sub>Ph; R<sub>5</sub> = (un)branched C<sub>1</sub>-4 alkyl, Ph; m = 0-1; n = 0-1; with the proviso that when R<sub>3</sub> = PhC<sub>6</sub>H<sub>4</sub> and R<sub>1</sub> = alkylaryl, the alkyl portion of the alkylaryl group is a straight alkyl moiety] and stereoisomers and pharmaceutically acceptable salts thereof, processes for their prepn. and pharmaceutical compns. which contain them as active ingredients are described. The compds. I are endowed with a mixed angiotensin-converting enzyme (ACE)-inhibitory and neutral endopeptidase (NEP)-inhibitory activity and are useful in the treatment of cardiovascular diseases. Thus, peptide coupling of (S)-PhCOSCH<sub>2</sub>CH(CH<sub>2</sub>Ph)CO<sub>2</sub>H with 4-(2-thiazolyl)-L-phenylalanine Me ester dihydrochloride, followed by sapon. with NaOH in aq. EtOH gave title compd. II. II inhibited ACE with IC<sub>50</sub> = 3.2 nM and NEP with IC<sub>50</sub> = 1.8 nM in an in vitro assay.

IT **181282-21-3P 181282-23-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thiol-contg. peptide derivs. with metallopeptidase

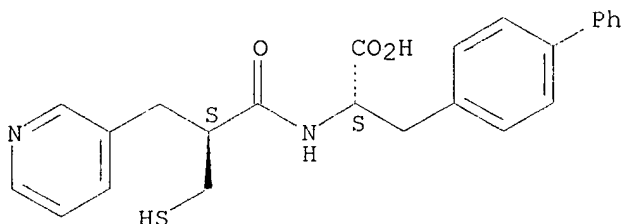
09/596,086

inhibitory activity)

RN 181282-21-3 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[ (2S)-2-(mercaptomethyl)-1-oxo-3-(3-pyridinyl)propyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

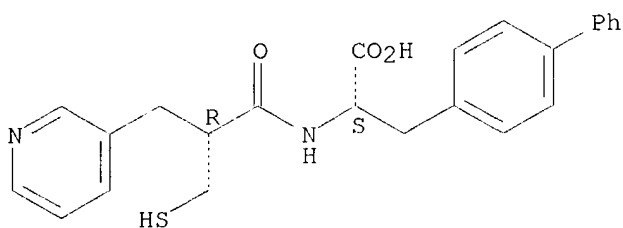


● HCl

RN 181282-23-5 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[ (2R)-2-(mercaptomethyl)-1-oxo-3-(3-pyridinyl)propyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 181281-92-5P 181281-94-7P

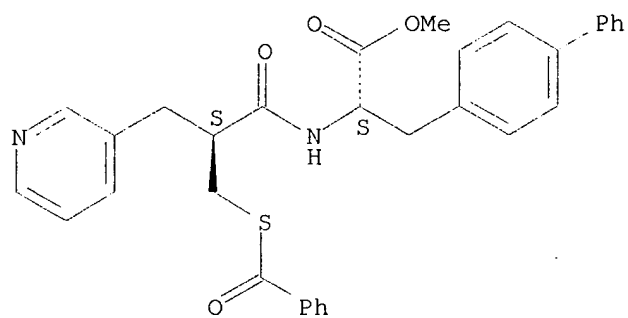
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of thiol-contg. peptide derivs. with metallopeptidase  
inhibitory activity)

RN 181281-92-5 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[ (2S)-2-[(benzoylthio)methyl]-1-oxo-3-(3-pyridinyl)propyl]amino]-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

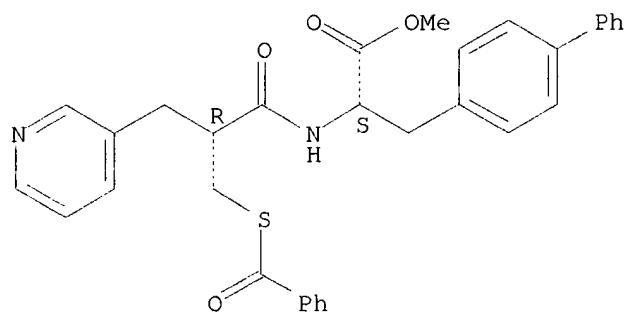




RN 181281-94-7 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[ (2R)-2-[(benzoylthio)methyl]-1-oxo-3-(3-pyridinyl)propyl]amino]-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~122~~ ANSWER 69 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1998:366902 CAPLUS

DN 129:95402

TI Preparation of benzamide derivatives as anticancer agents

IN Suzuki, Tsuneji; Ando, Tomoyuki; Tsuchiya, Katsutoshi; Nakanishi, Tadashi; Saito, Akashi; Yamashita, Satoshi; Shiraishi, Gengo; Tanaka, Eiichi

PA Mitsui Toatsu Chemicals, Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 79 pp.

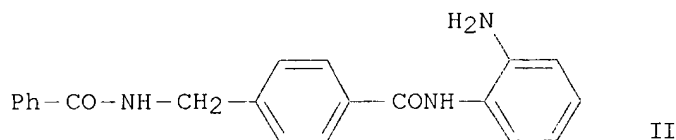
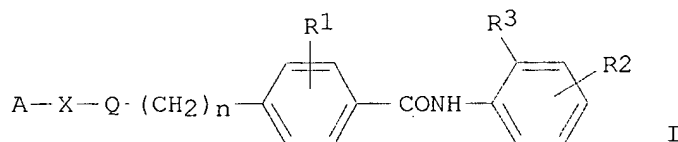
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10152462	A2	19980609	JP 1997-260277	19970925
	US 6174905	B1	20010116	US 1997-935087	19970926
	EP 847992	A1	19980617	EP 1997-307679	19970930
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	JP 1996-258863	A	19960930		
OS	MARPAT 129:95402				
GI					



AB The title compds. [I; A = (un)substituted Ph or heterocyclyl, etc.; X = alkylene, R4WR5, etc.; W = O, S, CO, etc.; R1, R2 = H, halo, OH, NH2, alkyl, etc.; R3 = OH, NH2; R4, R5 = alkylene; n = 0-4; Q = CONR7, NR7CO, OCONR7, etc.; R7 = H, (un)substituted alkylene, etc.] are prepd. I are useful as anticancer agents. Thus, 4-aminomethyl-N-[2-(N-tert-butoxycarbonyl)aminophenyl]benzamide (prepn. given) was reacted with C6H5COCl in the presence of pyridine and followed by treatment with 4N HCl to give the title compd. (II), which showed differentiation induction ALPmin (alk. phosphatase) of 1 .mu.M when tested with human A2780 cell.

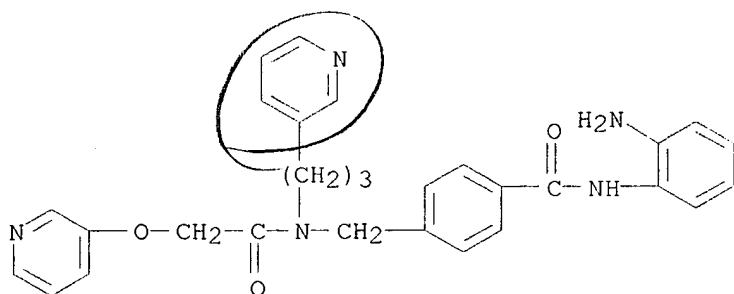
IT **209783-75-5P 209784-28-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzamide derivs. as anticancer agents)

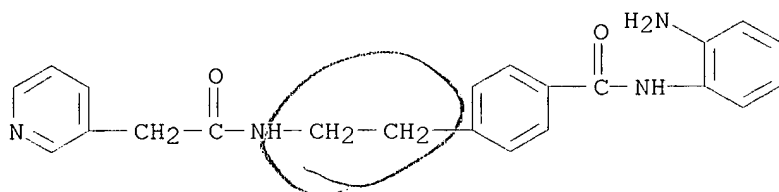
RN 209783-75-5 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[[[(3-pyridinyloxy)acetyl][3-(3-pyridinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 209784-28-1 CAPLUS

CN 3-Pyridineacetamide, N-[2-[4-[[2-(aminophenyl)amino]carbonyl]phenyl]ethyl]-  
(9CI) (CA INDEX NAME)

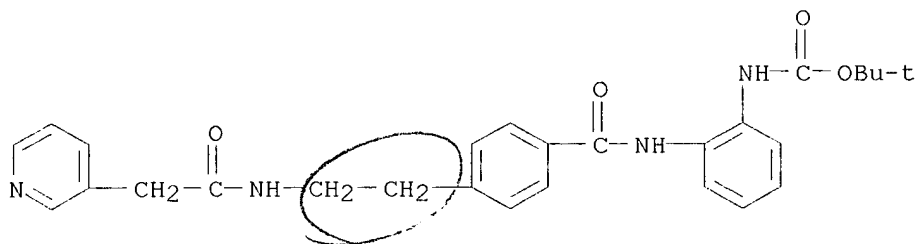


IT 209785-02-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of benzamide derivs. as anticancer agents)

RN 209785-02-4 CAPLUS

CN Carbamic acid, [2-[[4-[2-[(3-pyridinylacetyl)amino]ethyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L22 ANSWER 70 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:352863 CAPLUS

DN 129:41414

TI Preparation of N-(phenylacetyl)di- and tripeptide derivatives for inhibiting .beta.-amyloid peptide release

IN Audia, James E.; Britton, Thomas C.; Droste, James J.; Folmer, Beverly K.; Huffman, George W.; John, Varghese; Latimer, Lee H.; Mabry, Thomas E.; Nissen, Jeffrey S.; et al.

PA Athena Neurosciences, Inc., USA; Eli Lilly &amp; Co.; Audia, James E.; Britton, Thomas C.; Droste, James J.; Folmer, Beverly K.; Huffman, George W.; John, Varghese

SO PCT Int. Appl., 487 pp.

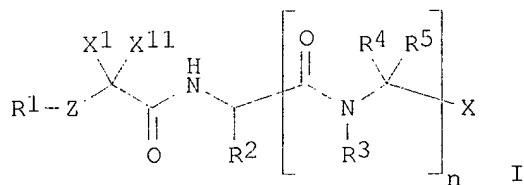
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9822494	A2	19980528	WO 1997-US20804	19971121
	WO 9822494	A3	19981126		
	W:		AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG		
	ZA 9710470	A	19980625	ZA 1997-10470	19971120
	AU 9853561	A1	19980610	AU 1998-53561	19971121
	EP 942924	A2	19990922	EP 1997-950601	19971121
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO		
	CN 1238779	A	19991215	CN 1997-199803	19971121
	BR 9713400	A	20000125	BR 1997-13400	19971121
	JP 2001503782	T2	20010321	JP 1998-523756	19971121
	NO 9902368	A	19990621	NO 1999-2368	19990514
PRAI	US 1996-755442	A	19961122		
	US 1997-807427	A	19970228		
	US 1997-807528	A	19970228		
	US 1997-808528	A	19970228		
	WO 1997-US20804	W	19971121		
OS	MARPAT 129:41414				
GI					



AB Disclosed are compds. I [R1 = aryl, heteroaryl, heterocyclyl, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl; R2 = H, any group R1; each R3 = H, Me; R3-R4 may form optionally fused cyclic structure of 3-8 atoms; each R4 = any group R2; each R5 = H, Me; R4-R5 may form a C3-6 cycloalkyl group; X = CO-Y, CS-Y; Y = OH, aryl, heteroaryl, heterocyclyl, optionally substituted alkyl, cycloalkyl, alkoxy, thioalkoxy, amino, etc.; X1 = H, OH, F; X11 = H, OH, F; or X1X11 = O; Z = bond, O, S; n = 1, 2] and pharmaceutically acceptable salts thereof, which inhibit .beta.-amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Also disclosed pharmaceutical compns. comprising a compd. which inhibits .beta.-amyloid peptide release and/or its synthesis as well as methods for treating Alzheimer's disease both prophylactically and therapeutically with such pharmaceutical compns. Over 400 title compds., e.g. 3,5-F2C6H3CH2CO-L-Ala-L-Nle-OMe, were prepd. and screened for inhibition of .beta.-amyloid prodn. Formulations for pharmaceutical compns. are also given.

IT **208255-71-4P**

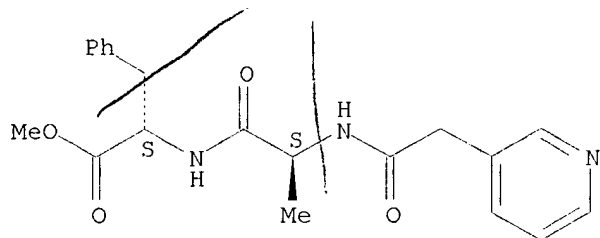
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(phenylacetyl)di- and tripeptide derivs. for inhibiting .beta.-amyloid peptide release)

RN 208255-71-4 CAPLUS

CN L-Phenylalanine, N-(3-pyridinylacetyl)-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

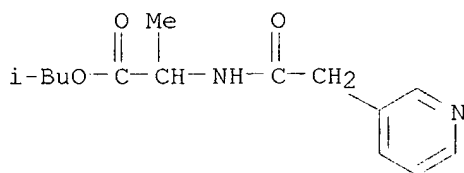


IT **208116-34-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of N-(phenylacetyl)di- and tripeptide derivs. for inhibiting .beta.-amyloid peptide release)

RN 208116-34-1 CAPLUS

CN Alanine, N-(3-pyridinylacetyl)-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



~~L2~~ ANSWER 71 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:352812 CAPLUS

DN 129:28209

TI Preparation of N-(aryl/heteroaryl/alkylacetyl) amino acid amides for inhibiting .beta.-amyloid peptide release and/or its synthesis

IN Wu, Jing; Tung, Jay S.; Nissen, Jeffrey S.; Mabry, Thomas E.; Latimer, Lee H.; Eid, Clark Norman; Audia, James E.

PA Athena Neurosciences, Inc., USA; Eli Lilly & Co.; Wu, Jing; Tung, Jay S.; Nissen, Jeffrey S.; Mabry, Thomas E.; Latimer, Lee H.; Eid, Clark Norman; Audia, James E.

SO PCT Int. Appl., 146 pp.

CODEN: PIXXD2

DT Patent

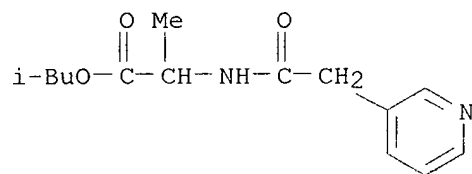
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9822433	A1	19980528	WO 1997-US22231	19971121
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9852677	A1	19980610	AU 1998-52677	19971121
	AU 729133	B2	20010125		
	EP 946499	A1	19991006	EP 1997-947643	19971121
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	CN 1238760	A	19991215	CN 1997-199988	19971121
	BR 9713351	A	20000125	BR 1997-13351	19971121
	JP 2001504498	T2	20010403	JP 1998-524018	19971121
	NO 9902381	A	19990721	NO 1999-2381	19990518
	US 6262302	B1	20010717	US 1999-398211	19990917
PRAI	US 1996-754895	A	19961122		
	US 1997-807538	A	19970228		
	US 1996-98551	P	19961122		
	US 1997-113671	P	19970228		
	US 1997-976295	A1	19971121		
	WO 1997-US22231	W	19971121		
OS	MARPAT 129:28209				
AB	Amino acid amides R1ZCX'X''CONHCHR2CONR3R3' [R1 = alkyl, alkenyl, alkaryl, alkylcycloalkyl, aryl, cycloalkyl, cycloalkenyl, (un)substituted heteroaryl, heterocyclyl, Ph, benzyl, 1- or 2-naphthyl; R2 = H, alkyl, alkylalkoxy, alkylthioalkoxy; R3, R3' = H, (un)substituted alkyl, X', X'' = H, OH, F or X'X'' = oxo; Z = bond, O, S] were prep'd. as inhibitors of .beta.-amyloid peptide release and/or its synthesis. Thus, N-(3-hydroxyphenyl)-N'-(phenylacetyl)-L-alaninamide was prep'd. by coupling of N-(phenylacetyl)-L-alanine with 3-hydroxyaniline using EDC and 1-hydroxybenzotriazole.				
IT	<b>208116-34-1P</b>				
	RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of N-(aryl/heteroaryl/alkylacetyl) amino acid amides for inhibiting .beta.-amyloid peptide release and/or its synthesis)				
RN	208116-34-1 CAPLUS				

09/596,086

CN Alanine, N-(3-pyridinylacetyl)-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



~~42~~ ANSWER 72 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~Q~~N 1998:352810 CAPLUS

DN 129:28208

TI Preparation of N-(aryl/heteroarylacetyl) amino acid esters for inhibiting .beta.-amyloid peptide release and/or its synthesis

IN Wu, Jing; Thorsett, Eugene D.; Nissen, Jeffrey S.; Mabry, Thomas E.; Latimer, Lee H.; John, Varghese; Fang, Lawrence Y.; Audia, James E.; et al.

PA Athena Neurosciences, Inc., USA; Eli Lilly & Co.; Wu, Jing; Thorsett, Eugene D.; Nissen, Jeffrey S.; Mabry, Thomas E.; Latimer, Lee H.

SO PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DT Patent

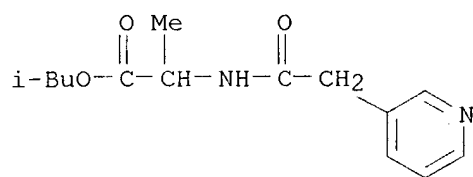
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9822430	A1	19980528	WO 1997-US20355	19971120
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9852498	A1	19980610	AU 1998-52498	19971120
	AU 739035	B2	20011004		
	EP 951464	A1	19991027	EP 1997-947410	19971120
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	CN 1237960	A	19991208	CN 1997-199775	19971120
	BR 9713404	A	20000125	BR 1997-13404	19971120
	JP 2001505204	T2	20010417	JP 1998-523699	19971120
	NO 9902463	A	19990719	NO 1999-2463	19990521
	US 6262302	B1	20010717	US 1999-398211	19990917
PRAI	US 1996-754895	A	19961122		
	US 1996-98551	P	19961122		
	US 1997-113671	P	19970228		
	US 1997-807538	A	19970228		
	WO 1997-US20355	W	19971120		
	US 1997-976295	A1	19971121		
OS	MARPAT 129:28208				
AB	Amino acid esters R1CX'X''CONHCHR2COXR3 [R1 = alkyl, alkenyl, alkylcycloalkyl, (un)substituted Ph, phenylalkyl, naphthyl, naphthylalkyl; R2 = H, alkyl, Ph, alkylalkoxy, alkylthioalkoxy; R3 = (un)substituted alkyl; X = O, S; X', X'' = H, OH, F or X'X'' = oxo] were prepd. as inhibitors of .beta.-amyloid peptide release and/or its synthesis. Thus, N-(phenylacetyl)-DL-alanine iso-Bu ester was prepd. by acylation of DL-alanine iso-Bu ester hydrochloride with phenylacetyl chloride.				
IT	<b>208116-34-1P</b>				
	RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of N-(aryl/heteroarylacetyl) amino acid esters for inhibiting .beta.-amyloid peptide release)				
RN	208116-34-1 CAPLUS				
CN	Alanine, N-(3-pyridinylacetyl)-, 2-methylpropyl ester (9CI) (CA INDEX NAME)				



09/596,086



09/596,086

~~PI~~ ANSWER 73 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:352596 CAPLUS

DN 129:28216

TI Antiretroviral hydrazine derivatives

IN Fassler, Alexander; Bold, Guido; Lang, Marc; Bhagwat, Shripad; Schneider, Peter

PA Novartis Corp., USA

SO U.S., 122 pp. Cont.-in-part of U.S. Ser. No. 173,550.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5753652	A	19980519	US 1995-416420	19950404
PRAI	CH 1991-1962	A	19910703		
	US 1992-907497	B1	19920701		
	CH 1992-3942	A	19921223		
	US 1993-173550	A2	19931223		

OS MARPAT 129:28216

AB Peptides R1R2NCR3R4CR5R6CH2NR7NR8R9 [R1, R9 = H, (un)substituted alkoxy carbonyl, aryloxy carbonyl, or an amino acid residue; R2, R8 = H or R1 or R9; R3, R4 = H, alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl or R3 and R4 together form (un)substituted alkylene, alkylidene, or benzo-fused alkylene; R5 = OH, R6 = H or R5R6 = oxo; R7 = alkyl, cycloalkylalkyl, bicycloalkylalkyl, arylalkyl, etc.] were prepd. as antiviral agents. Thus, 1-[2(S)-acetoxy-3(S)-[[N-(2-methoxyethoxycarbonyl)-L-valyl]amino]-4-phenylbutyl]-1-(cyclohexylmethyl)-2-[N-(2-methoxyethoxycarbonyl)-L-valyl]hydrazine was prepd. via intermediate H-[PheNNCha]-H HCl salt, where [PheNNCha] is the divalent residue of 3(S)-amino-4-phenyl-1-(N-cyclohexylmethylhydrazino)butan-2(S)-ol.

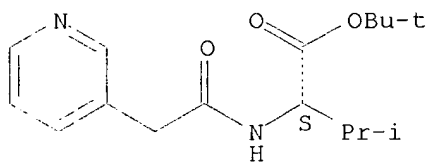
IT **149267-59-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of peptidyl antiretroviral hydrazine derivs.)

RN 149267-59-4 CAPLUS

CN L-Valine, N-(3-pyridinylacetyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

~~12~~ ANSWER 74 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1998:330787 CAPLUS

~~DN~~ 129:54594

TI Hydrolysis of polypeptide esters with tetrabutylammonium hydroxide

AU Abdel-Magid, Ahmed F.; Cohen, Judith H.; Maryanoff, Cynthia A.; Shah, Rekha D.; Villani, Frank J.; Zhang, Fan

CS Department of Chemical Development, The R. W. Johnson Pharmaceutical Research Institute, New Product Research, Spring House, PA, 19477, USA

SO Tetrahedron Lett. (1998), 39(21), 3391-3394

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB Tetrabutylammonium hydroxide is effective in hydrolysis of polypeptide esters to the corresponding acids with min. racemization of the stereogenic centers at the .alpha.-positions. It is esp. effective in hydrolysis of non-polar polypeptide esters that are insol. in most common solvents.

IT **208599-56-8 208599-60-4**

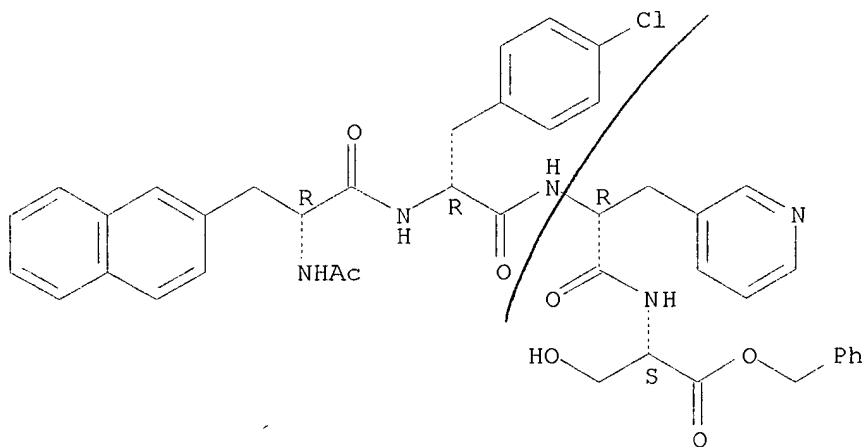
RL: RCT (Reactant)

(hydrolysis of polypeptide esters with tetrabutylammonium hydroxide)

RN 208599-56-8 CAPLUS

CN L-Serine, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

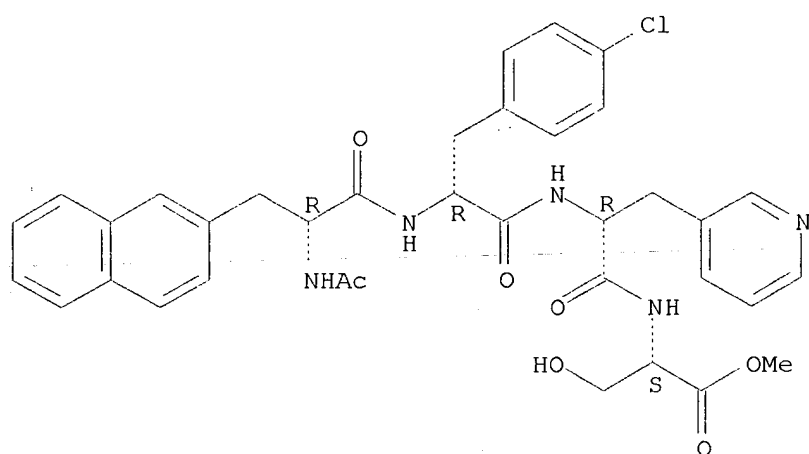
Absolute stereochemistry.



RN 208599-60-4 CAPLUS

CN L-Serine, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

~~122~~ ANSWER 75 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:293368 CAPLUS

DN 128:321933

TI Preparation of amino acid derivatives as integrin antagonists

IN Duggan, Mark E.; Hartman, George D.

PA Merck & Co., Inc., USA; Duggan, Mark E.; Hartman, George D.

SO PCT Int. Appl., 94 pp.

CODEN: PIXXD2

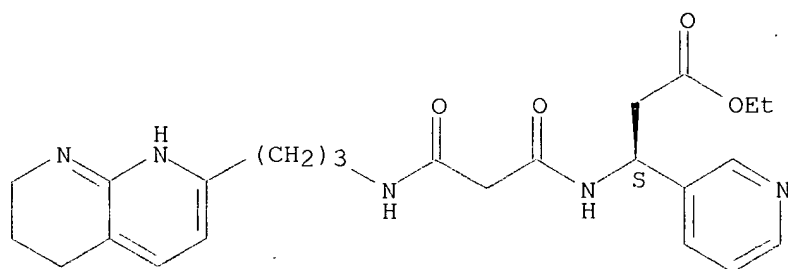
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9818460	A1	19980507	WO 1997-US19348	19971027
	W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9852399	A1	19980522	AU 1998-52399	19971027
	AU 722360	B2	20000803		
	EP 946165	A1	19991006	EP 1997-947280	19971027
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
	JP 2001503060	T2	20010306	JP 1998-520638	19971027
	US 5952341	A	19990914	US 1997-960032	19971028
PRAI	US 1996-27867	P	19961030		
	GB 1996-25805	A	19961212		
	WO 1997-US19348	W	19971027		
OS	MARPAT 128:321933				
AB	Amino acids derivs. X-Y-Z-COCH <sub>2</sub> NHCR <sub>6</sub> R <sub>7</sub> CR <sub>8</sub> R <sub>9</sub> CO <sub>2</sub> R <sub>10</sub> [X = C(:NR <sub>1</sub> )NR <sub>2</sub> R <sub>3</sub> , NR <sub>1</sub> C(:NR <sub>2</sub> )NR <sub>3</sub> R <sub>4</sub> (R <sub>1</sub> -R <sub>4</sub> = H, halo, alkyl, cycloalkyl, aryl, arylalkyl, amino, etc.), a mono- or polycyclic ring system; Y = alkylene, imino-, carbonyl-, oxydialkylene, etc.; Z is absent or a mono- or polycyclic ring system; R <sub>6</sub> -R <sub>10</sub> = H, aryl, arylalkyl, arylalkoxy, etc.] were prep'd. as vitronectin receptor antagonists. Thus, 4-[2-(2-aminopyridin-6-yl)ethyl]benzoyl-2(S)-[[4-(125iodophenyl)sulfonyl]amino]-.beta.-alanine was prep'd. and used in a formulation for inhibition of bone resorption.				
IT	<b>206989-44-8P</b> RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of amino acid derivs. as integrin antagonists)				
RN	206989-44-8 CAPLUS				
CN	.beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, ethyl ester, (3S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



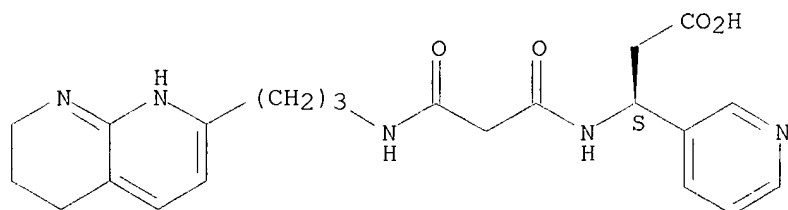
IT 206989-45-9P 206989-46-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of amino acid derivs. as integrin antagonists)

RN 206989-45-9 CAPLUS

CN .beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 206989-46-0 CAPLUS

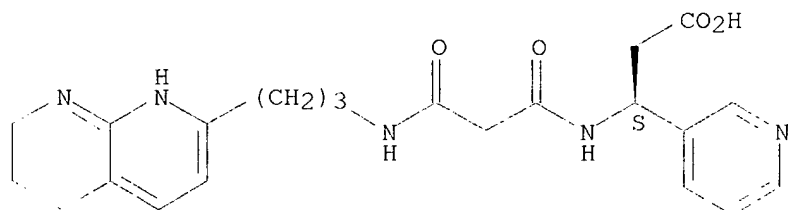
CN .beta.-Alanine, 3-oxo-N-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-.beta.-alanyl-3-(3-pyridinyl)-, (3S)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206989-45-9

CMF C22 H27 N5 O4

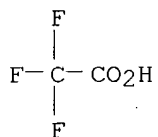
Absolute stereochemistry.



CM 2

09/596,086

CRN 76-05-1  
CMF C2 H F3 O2



~~122~~ ANSWER 76 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1998:269383 CAPLUS

~~DN~~ 128:252537

TI Potent Dipeptide Inhibitors of the pp60c-src SH2 Domain

AU Pacofsky, Gregory J.; Lackey, Karen; Alligood, Krystal J.; Berman, Judd; Charifson, Paul S.; Crosby, Renae M.; Dorsey, George F., Jr.; Feldman, Paul L.; Gilmer, Tona M.; Hummel, Conrad W.; Jordan, Steven R.; Mohr, Christopher; Rodriguez, Marc; Shewchuk, Lisa M.; Sternbach, Daniel D.

CS Departments of Medicinal Chemistry Cancer Biology and Structural Chemistry, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA

SO J. Med. Chem. (1998), 41(11), 1894-1908

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB The design, synthesis, and evaluation of dipeptide analogs as ligands for the pp60c-src SH2 domain are described. The crit. binding interactions between Ac-Tyr(PO<sub>3</sub>H<sub>2</sub>)-Glu-N(n-C<sub>5</sub>H<sub>11</sub>)<sub>2</sub> and the protein are established and form the basis for our structure-based drug design efforts. The effects of changes in both the C-terminal and N-terminal portions of the dipeptide are explored. Analogs with reduced overall charge are also investigated. We demonstrate the feasibility of pairing structurally diverse subunits in a modest dipeptide framework with the goal of increasing the druglike attributes without sacrificing binding affinity.

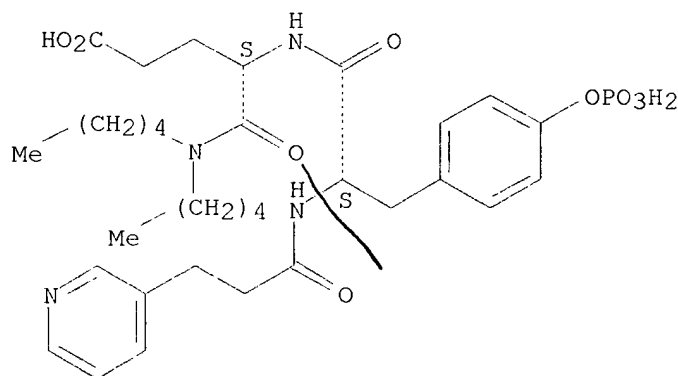
IT **205236-75-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of potent dipeptide inhibitors of pp60c-src SH2 domain)

RN 205236-75-5 CAPLUS

CN L-.alpha.-Glutamine, N-[1-oxo-3-(3-pyridinyl)propyl]-O-phosphono-L-tyrosyl-N,N-dipentyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **205236-52-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of potent dipeptide inhibitors of pp60c-src SH2 domain)

RN 205236-52-8 CAPLUS

CN L-.alpha.-Glutamine, O-[bis(1,1-dimethylethoxy)phosphinyl]-N-[1-oxo-3-(3-pyridinyl)propyl]-L-tyrosyl-N,N-dipentyl-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.





09/596,086

~~DI~~ 2 ANSWER 77 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1998:268513 CAPLUS

DN 128:321945

TI Preparation of peptide analogs as inhibitors of serine proteases,  
particularly hepatitis C virus NS3 protease

IN Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.;  
Bhisetti, Govinda Rao; Farmer, Luc J.

PA Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.;  
Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc  
J.

SO PCT Int. Appl., 128 pp.

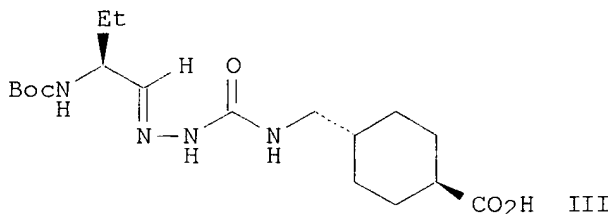
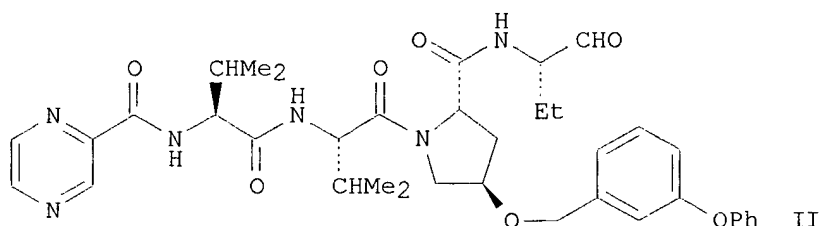
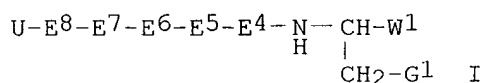
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9817679	A1	19980430	WO 1997-US18968	19971017
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	ZA 9709327	A	19980511	ZA 1997-9327	19971017
	AU 9851477	A1	19980515	AU 1998-51477	19971017
	AU 719984	B2	20000518		
	EP 932617	A1	19990804	EP 1997-946273	19971017
	EP 932617	B1	20020116		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 9712544	A	19991019	BR 1997-12544	19971017
	CN 1238780	A	19991215	CN 1997-180151	19971017
	JP 2001502694	T2	20010227	JP 1998-519568	19971017
	EP 1136498	A1	20010926	EP 2001-109433	19971017
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	NO 9901832	A	19990617	NO 1999-1832	19990416
	US 6265380	B1	20010724	US 1999-293247	19990416
PRAI	US 1996-28290P	P	19961018		
	EP 1997-946273	A3	19971017		
	WO 1997-US18968	W	19971017		
OS	MARPAT 128:321945				
GI					



AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF<sub>3</sub>, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF<sub>2</sub>CH<sub>2</sub>N(G<sub>4</sub>)U, CHO, COG<sub>2</sub>, COCF<sub>2</sub>CF<sub>3</sub>, COCOG<sub>2</sub>, COCO<sub>2</sub>G<sub>2</sub>, B(Q<sub>1</sub>)<sub>2</sub>; G<sub>2</sub> = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G<sub>4</sub> = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q<sub>1</sub> = OH, alkoxy, aryloxy, or Q<sub>1</sub>-Q<sub>1</sub> form a 5-7 membered ring; U = H, G<sub>9</sub>CO, G<sub>9</sub>SO<sub>2</sub>, G<sub>9</sub>COCO, (G<sub>9</sub>)<sub>2</sub>NCOCO, (G<sub>9</sub>)<sub>2</sub>NSO<sub>2</sub>, (G<sub>9</sub>)<sub>2</sub>NCO, G<sub>9</sub>O<sub>2</sub>C; G<sub>9</sub> = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G<sub>9</sub>-G<sub>9</sub> form a ring; E<sub>4</sub> = bond, .alpha.-amino acid residue, heterocyclic amino acid; E<sub>5</sub>-E<sub>8</sub> = independently bond, amino acid residue; 1-2 peptide bonds between E<sub>5</sub>-E<sub>8</sub> may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepd. using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepd. and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki <1 .mu.M in an in vitro assay.

IT **207001-76-1P**

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of peptide analogs as hepatitis C virus NS3 protease inhibitors)

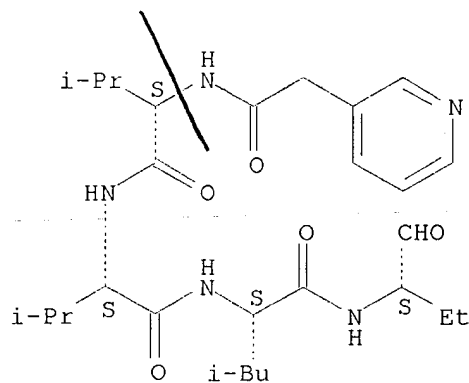
RN 207001-76-1 CAPLUS

CN L-Leucinamide, N-(3-pyridinylacetyl)-L-valyl-L-valyl-N-[(1S)-1-

09/596,086

formylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

~~2~~ ANSWER 78 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:102863 CAPLUS

DN 128:167361

TI Preparation of crystalline carbamoylpyridinium derivatives and solvates as platelet activating factor antagonists.

IN Mizuno, Yukio; Konishi, Takahiro

PA Takeda Chemical Industries, Ltd., Japan; Mizuno, Yukio; Konishi, Takahiro

SO PCT Int. Appl., 69 pp.

CODEN: PIXXD2

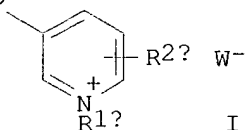
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9804547	A1	19980205	WO 1997-JP2522	19970722
	W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9734633	A1	19980220	AU 1997-34633	19970722
	JP 10087654	A2	19980407	JP 1997-195531	19970722
PRAI	JP 1996-196686		19960725		
	WO 1997-JP2522		19970722		
OS	MARPAT 128:167361				
GI					

R4?R5?NCO<sub>2</sub>CH<sub>2</sub>(CH<sub>2</sub>)<sub>m</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>CH<sub>2</sub>NR<sup>3?</sup>CO



AB Cryst. title compds. [I; R1b = alkyl, aralkyl; R2b = H, halo, alkyl, alkoxy, OH, amino, NO<sub>2</sub>, carbamoyl, SH, cyano; R3b = H, alkyl, (substituted) aryl, aralkyl; m = 0-3; R4b, R5b = H, alkyl, aralkyl; R4bR5bN = heterocyclyl; W = anion], and solvates thereof, were prepd. Thus, 3-bromo-5-[N-phenyl-N-[2-[[2-(1,2,3,4-tetrahydro-2-isoquinolinylcarbonyloxy)ethyl]carbamoyl]ethyl]carbamoyl]pyridine (prepn. given) was refluxed with 1-iodopropane and the residue was converted to the nitrate salt using Amberlite IRA-410 (NO<sub>3</sub>-) followed by crystn. from EtOH to give 3-bromo-5-[N-phenyl-N-[2-[[2-(1,2,3,4-tetrahydro-2-isoquinolinylcarbonyloxy)ethyl]carbamoyl]ethyl]carbamoyl]-1-propylpyridinium nitrate ethanol solvate.

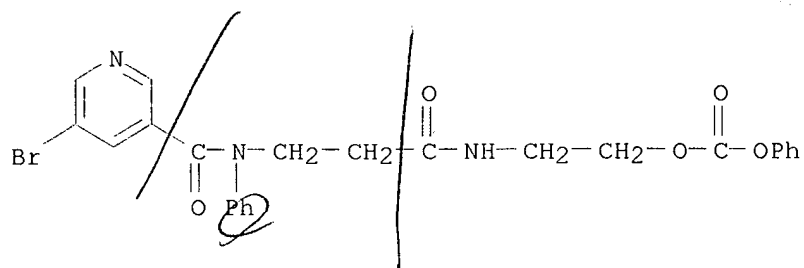
IT **121495-25-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of cryst. carbamoylpyridinium derivs. and solvates as platelet activating factor antagonists)

RN 121495-25-8 CAPLUS

CN Carbonic acid, 2-[[3-[[5-bromo-3-pyridinyl]carbonyl]phenylamino]-1-oxopropyl]amino]ethyl phenyl ester (9CI) (CA INDEX NAME)

09/596,086



09/596,086

D22 ANSWER 79 OF 193 CAPLUS COPYRIGHT 2002 ACS

AM 1998:71158 CAPLUS

DN 128:141031

TI Liquid phase peptide syntheses of KL-4 pulmonary surfactant

IN Abdel-Magid, Ahmed F.; Eggmann, Urs; Maryanoff, Cynthia Anne; Thaler, Adrian; Villani, Frank J.

PA Ortho Pharmaceutical Corporation, USA

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

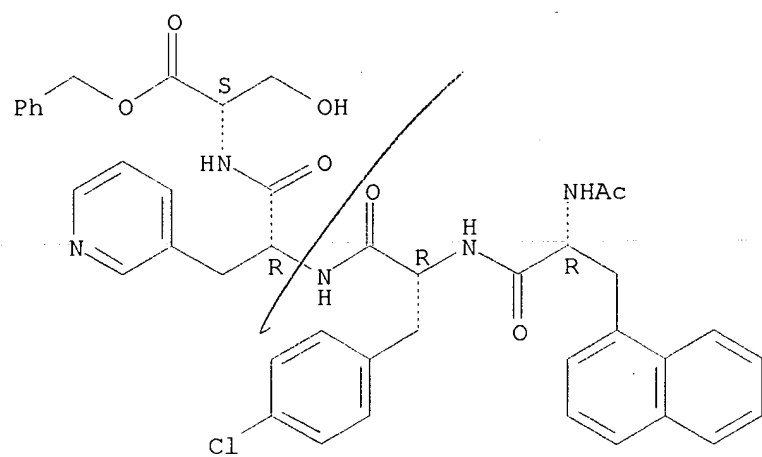
DT Patent

LA English

FAN.CNT 1

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PI	WO 9802461	A2	19980122	WO 1997-US12163	19970711
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	US 6013764	A	20000111	US 1997-881971	19970625
	AU 9737260	A1	19980209	AU 1997-37260	19970711
	ZA 9706305	A	19990119	ZA 1997-6305	19970716
PRAI	US 1996-21455	P	19960717		
	WO 1997-US12163	W	19970711		
OS	MARPAT 128:141031				
AB	The invention relates to improved liq. phase processes for the prepn. of the 21 residue protein component (Lys-Leu4)4-Lys of the pulmonary surfactant KL-4. These processes are amenable to large scale synthesis and one process employs a method of sapon. an ester which reduces the inherent racemization of the .alpha.-carbon.				
IT	<b>202404-88-4</b>				
	RL: RCT (Reactant)				
	(liq. phase peptide syntheses of KL-4 pulmonary surfactant)				
RN	202404-88-4 CAPLUS				
CN	L-Serine, N-acetyl-3-(1-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-, phenylmethyl ester (9CI) (CA INDEX NAME)				

Absolute stereochemistry.





~~122~~ ANSWER 80 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1998:31304 CAPLUS

DN 128:88789

TI Preparation of pyridyl alkene- and pyridyl alkyne- acid amides as  
cytostatics and immunosuppressives

IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter,  
Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

PA Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser,  
Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus;  
Vogt, Klaus

SO PCT Int. Appl., 220 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9748696	A1	19971224	WO 1997-EP3245	19970620
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	DE 19624659	A1	19980108	DE 1996-19624659	19960620
	ZA 9705437	A	19980210	ZA 1997-5437	19970619
	CA 2257448	AA	19971224	CA 1997-2257448	19970620
	AU 9732625	A1	19980107	AU 1997-32625	19970620
	AU 736206	B2	20010726		
	EP 923570	A1	19990623	EP 1997-928261	19970620
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 9709823	A	19990810	BR 1997-9823	19970620
	CN 1228777	A	19990915	CN 1997-197424	19970620
	JP 2000516913	T2	20001219	JP 1998-502318	19970620
	KR 2000022333	A	20000425	KR 1998-710756	19981221
PRAI	DE 1996-19624659	A	19960620		
	WO 1997-EP3245	W	19970620		
OS	MARPAT 128:88789				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R3 = H, halo, C1-6 alkyl, etc.; R4 = H, OH, PhCH2O, etc.; k = 0-1; A = (un)substituted C2-6 alkylene, C4-6 alkadienylene, etc.; D = (un)substituted C1-10 alkylene, C2-10 alkenylene, etc.; E = II, III (wherein n, p = 0-3 with the proviso that n + p  $\leq$  4; q = 2-3; R10 = H, C1-6 alkyl, OH, etc.; R11 = H, C1-6 alkyl, O; R10R11 = alkylene bridge with 1-5 carbon atoms, esp. a C1-3 alkylene bridge); G = H, SO2(CH2)rR12 (wherein R12 = H, C1-6 alkyl, C3-6 alkenyl, etc.; r = 0-3), COR15 (R15 = CF3, C1-6 alkoxy, PhCH2O, etc.), etc.], useful in the treatment of tumors or for immunosuppression, were prepd. and formulated. Thus, reaction of

N-[4-(piperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide with N,N-diphenylcarbamic acid chloride in the presence of Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> afforded 60% IV which showed IC<sub>50</sub> of 0.001 .μM against HepG2 cells growth.

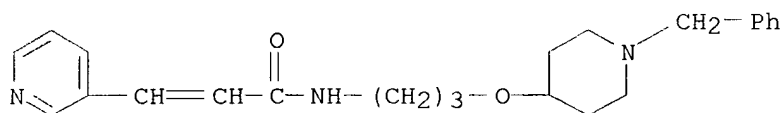
IT **201034-51-7P 201034-59-5P 201034-93-7P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridyl alkene- and pyridyl alkyne- acid amides as cytostatics and immunosuppressives)

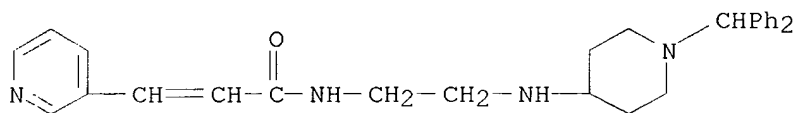
RN 201034-51-7 CAPLUS

CN 2-Propenamide, N-[3-[[1-(phenylmethyl)-4-piperidinyl]oxy]propyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



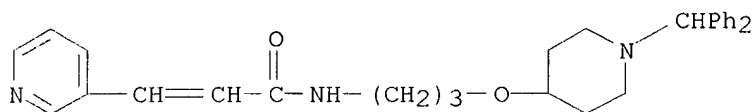
RN 201034-59-5 CAPLUS

CN 2-Propenamide, N-[2-[[1-(diphenylmethyl)-4-piperidinyl]amino]ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 201034-93-7 CAPLUS

CN 2-Propenamide, N-[3-[[1-(diphenylmethyl)-4-piperidinyl]oxy]propyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



ANSWER 81 OF 193 CAPLUS COPYRIGHT 2002 ACS

1998:31303 CAPLUS

DN 128:88788

TI Preparation of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants

IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

PA Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

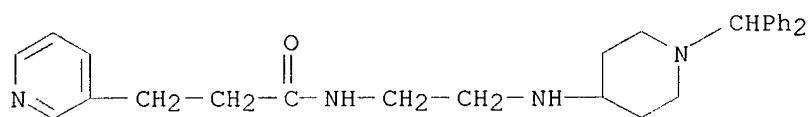
SO PCT Int. Appl., 220 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

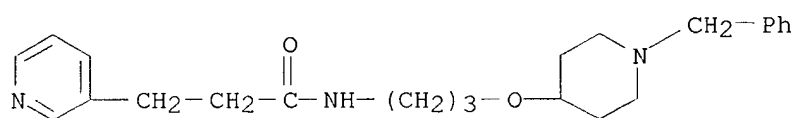
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9748695	A1	19971224	WO 1997-EP3243	19970620
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	DE 19624704	A1	19980108	DE 1996-19624704	19960620
	ZA 9705439	A	19980223	ZA 1997-5439	19970619
	AU 9733420	A1	19980107	AU 1997-33420	19970620
	EP 934309	A1	19990811	EP 1997-929240	19970620
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000512651	T2	20000926	JP 1998-502316	19970620
PRAI	DE 1996-19624704	A	19960620		
	WO 1997-EP3243	W	19970620		
OS	MARPAT 128:88788				
AB	R1ZCONR4Z1Z2R2 [I; R1 = (1-oxido)(un)substituted 3-pyridyl; R2 = H, Z3(CH2)r(CR14R15)sR13, COR16, etc.; R4 = H, alkyl, alkoxy, etc.; R13,R14 = H, alkyl, (hetero)aryl, etc.; R15 = H, OH, Me, Ph, CH2Ph; R16 = CF3, alkoxy, OCH2Ph; Z = cyclopropylene, alkylene which may be interrupted by O, CO, NH, etc.; Z1 = (un)substituted alk(en)ylene, etc.; Z2 = N-attached (un)substituted (ox)azacycloalkylene; Z3 = bond or CO; r = 0-3; s = 0 or 1] were prepd. Thus, 4-piperidinebutanol was N-alkylated by Ph2CHBr and the product converted in 2 steps to H2N(CH2)4Z2CHPh2 (Z2 = piperidine-4,1-diyl) which was amidated by 3-pyridinepropionic acid to give R1CH2CH2CONH(CH2)4Z2CHPh2 (R1 = 3-pyridyl, Z2 = piperidine-4,1-diyl). Data for biol. activity of I were given.				
IT	<b>200867-92-1P 200867-93-2P 200868-03-7P 200868-04-8P</b>				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants)				
RN	200867-92-1 CAPLUS				
CN	3-Pyridinepropanamide, N-[2-[[1-(diphenylmethyl)-4-piperidinyl]amino]ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)				



● 3 HCl

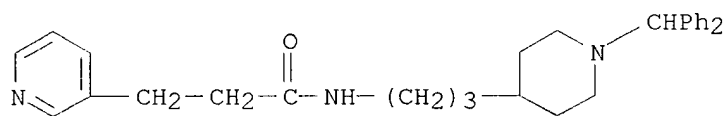
RN 200867-93-2 CAPLUS

CN 3-Pyridinepropanamide, N-[3-[[1-(phenylmethyl)-4-piperidinyl]oxy]propyl]-  
(9CI) (CA INDEX NAME)



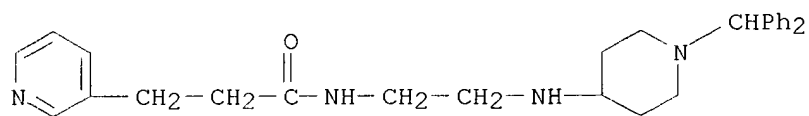
RN 200868-03-7 CAPLUS

CN 3-Pyridinepropanamide, N-[3-[[1-(diphenylmethyl)-4-piperidinyl]propyl]-  
(9CI) (CA INDEX NAME)



RN 200868-04-8 CAPLUS

CN 3-Pyridinepropanamide, N-[2-[[1-(diphenylmethyl)-4-piperidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)



09/596,086

~~DO~~ ANSWER 82 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1998:28656 CAPLUS

~~DN~~ 128:102008

TI Preparation and formulation of pyridine derivatives as antitumor agents  
and immunosuppressants

IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter,  
Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

PA Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser,  
Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus;  
Vogt, Klaus

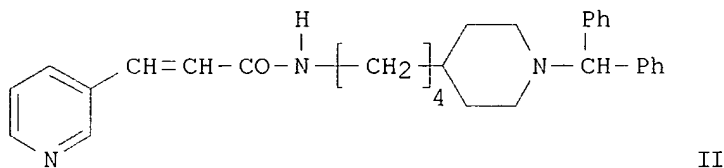
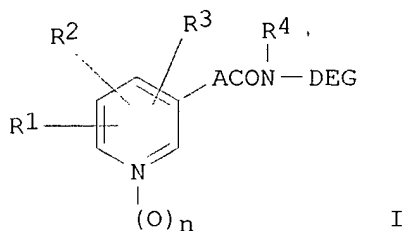
SO PCT Int. Appl., 267 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9748397	A1	19971224	WO 1997-EP3244	19970620
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
	DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,				
	LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,				
	PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,				
	UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,				
	GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,				
	GN, ML, MR, NE, SN, TD, TG				
	DE 19624668	A1	19980219	DE 1996-19624668	19960620
	ZA 9705443	A	19980210	ZA 1997-5443	19970619
	AU 9732624	A1	19980107	AU 1997-32624	19970620
	EP 912176	A1	19990506	EP 1997-928260	19970620
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, FI				
	JP 2000512652	T2	20000926	JP 1998-502317	19970620
PRAI	DE 1996-19624668	A	19960620		
	WO 1997-EP3244	W	19970620		
OS	MARPAT 128:102008				
GI					



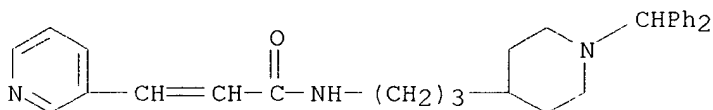
AB The title compd. I [R1 = H, halo, cyano, etc.; R2 = H, halo, hydroxy, alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = H, hydroxy, benzyloxy, etc.; n = 0 or 1; A = alkylene, etc.; D = alkylene, etc.; E = piperidine ring (generic structure given), etc.; G = H, etc.] are prepd. The title compd. II in vitro showed IC50 of 0.008 .mu.M against the WERI-Rb-1 retinoblastoma cells.

IT **201159-50-4P**

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridine derivs. as antitumor agents and immunosuppressants)

RN 201159-50-4 CAPLUS

CN 2-Propenamide, N-[3-[1-(diphenylmethyl)-4-piperidinyl]propyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



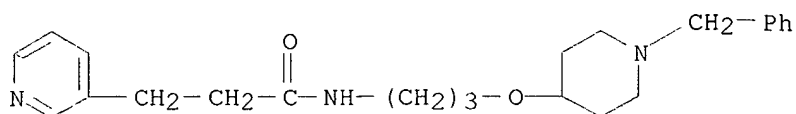
IT **200867-93-2P 200868-03-7P 200868-04-8P**

**201034-51-7P 201034-59-5P**

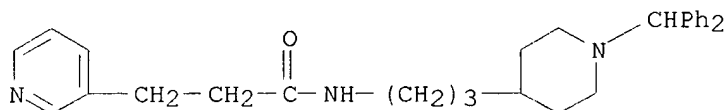
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridine derivs. as antitumor agents and immunosuppressants)

RN 200867-93-2 CAPLUS

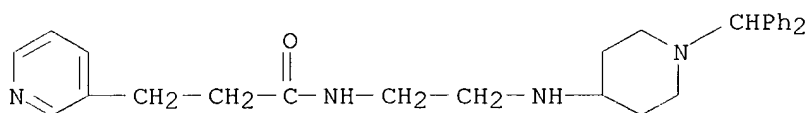
CN 3-Pyridinepropanamide, N-[3-[[1-(phenylmethyl)-4-piperidinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



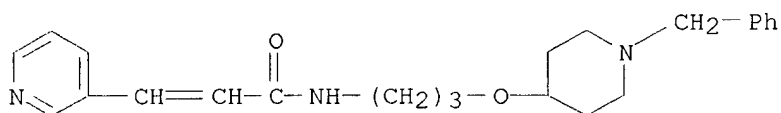
RN 200868-03-7 CAPLUS

CN 3-Pyridinepropanamide, N-[3-[1-(diphenylmethyl)-4-piperidinyl]propyl]-  
(9CI) (CA INDEX NAME)

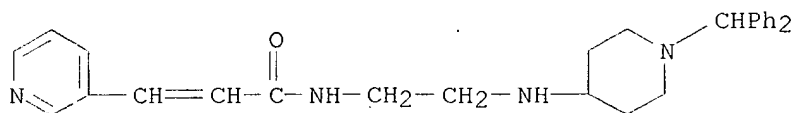
RN 200868-04-8 CAPLUS

CN 3-Pyridinepropanamide, N-[2-[[1-(diphenylmethyl)-4-piperidinyl]amino]ethyl]-  
(9CI) (CA INDEX NAME)

RN 201034-51-7 CAPLUS

CN 2-Propenamide, N-[3-[[1-(phenylmethyl)-4-piperidinyl]oxy]propyl]-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 201034-59-5 CAPLUS

CN 2-Propenamide, N-[2-[[1-(diphenylmethyl)-4-piperidinyl]amino]ethyl]-3-(3-pyridinyl)-  
(9CI) (CA INDEX NAME)

09/596,086

~~122~~ ANSWER 83 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~IN~~ 1997:805563 CAPLUS

~~DN~~ 128:48498

TI Preparation of peptide aldehyde derivatives as inhibitors of the 26S proteolytic complex and the 20S proteasome

IN Stein, Ross L.; Ma, Yu-Ting; Brand, Stephen

PA ProScript, Inc., USA

SO U.S., 92 pp. Cont.-in-part of U.S. Ser. No. 212,909, abandoned.

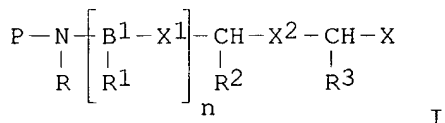
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5693617	A	19971202	US 1995-404866	19950115
	CA 2185326	AA	19950921	CA 1995-2185326	19950315
PRAI	US 1994-212909		19940315		
OS	MARPAT 128:48498				
GI					



AB Disclosed herein is a method for reducing the rate of degrdn. of proteins in an animal comprising contacting cells of the animal with proteasome inhibitors I [P = amino protecting group; B1 at each occurrence = independently N, CH; X = CHO, CH(OH)CHO, CH(OH)CH2CHO; X1 at each occurrence and X2 = independently CONH, CH2NH, CH(OH)CH2, CH(OH)CH(OH), CH(OH)CH2NH, COCH2, SO2NH, SO2CH2, CH(OH)CH2CONH, CH:CH, with the proviso that if B1 = N, then X1 = CONH; R = H, or together with the adjacent R1, or R2 if n = 0, forms a nitrogen-contg. heterocyclic ring; R1 at each occurrence, R2, and R3 = independently H, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, CH2R4; R4 = aryl, aralkyl, alkaryl, cycloalkyl, YR5; Y = chalcogen; R5 = alkyl; n = 0-2; wherein the stereochem. at B1-R1 is D, L, or a mixt. thereof, and the stereochem. at CHR2 and CHR3 is independently L or a mixt. of D and L]. The structure of the inhibitors are also disclosed. Thus, condensation of Boc-Leu-Leu-OH (Boc = Me3CO2C) with N,O-dimethylhydroxylamine, followed by acidic deprotection, coupling with Z-Leu-OH (Z = PhCH2O2C), and redn. with LiAlH4 gave protected peptide aldehyde inhibitor Z-Leu-Leu-Leu-H (MG 132). Mg 132 inhibited the 20S proteasome with Ki = 4 nM.

IT **170589-95-4P**

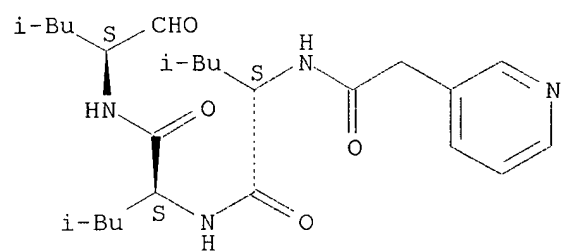
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of peptide aldehyde derivs. as protein and enzyme degrdn. inhibitors)

RN 170589-95-4 CAPLUS

CN L-Leucinamide, N-(3-pyridinylacetyl)-L-leucyl-N-[(1S)-1-formyl-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





09/596,086

~~122~~ ANSWER 84 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1997:752923 CAPLUS

DN 127:359123

TI Inhibitors of the production of s-CD23 and the secretion of TNF

IN Bailey, Stuart; Buckle, Derek Richard; Faller, Andrew; Smith, David Glynn

PA Smithkline Beecham Plc, UK; Bailey, Stuart; Buckle, Derek Richard; Faller, Andrew; Smith, David Glynn

SO PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9743249	A1	19971120	WO 1997-EP2433	19970506
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9728973	A1	19971205	AU 1997-28973	19970506
	EP 918747	A1	19990602	EP 1997-923064	19970506
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI			
	CN 1224415	A	19990728	CN 1997-196130	19970506
	BR 9709450	A	19990810	BR 1997-9450	19970506
	JP 2000510473	T2	20000815	JP 1997-540514	19970506
	ZA 9703964	A	19981109	ZA 1997-3964	19970508
	NO 9805214	A	19981201	NO 1998-5214	19981109
	KR 2000010892	A	20000225	KR 1998-709039	19981109
	US 6235753	B1	20010522	US 1999-180547	19990121
PRAI	GB 1996-9794	A	19960510		
	WO 1997-EP2433	W	19970506		
OS	MARPAT 127:359123				
AB	Compds. HONHCOCHRCHR1CONHCHR2CONHR3 (R = H, OH, alkyl, alkenyl, alkynyl, aryl; R1 = arylmethyl, heterocyclylmethyl; R2 = alkyl, alkenyl, aryl, cycloalkyl, cycloalkenyl; R3 = H, alkyl, alkenyl, alkynyl, aryl) were prepd. as inhibitors of the prodn. of s-CD23 and the secretion of TNF. Thus, N'-[3S-hydroxy-4-(N-hydroxyamino)-2R-(2-naphthylmethyl)succinyl]-S-tert-leucine-N-methylamide was prepd. from (S)-di-Me malate, 2-naphthoylemethyl bromide, and tert-leucine methylamide and inhibited CD23 proteinase (88% at 1 .mu.M) and collagenase (IC50 >10 .mu.M).				
IT	<b>198567-96-3P 198567-97-4P</b>				
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of peptides as inhibitors of s-CD23 prodn. and TNF secretion)				
RN	198567-96-3 CAPLUS				
CN	Butanediamide, N4-[2-amino-2-oxo-1-(phenylmethyl)ethyl]-N1,2-dihydroxy-3-(3-quinolinylmethyl)-, [2S-[2R*,3S*,4(R*)]]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



122 ANSWER 85 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1997:744622 CAPLUS

DN 128:22816

TI Preparation of 3-pyridylpyrrolidine-2,4-dione enols and analogs as herbicides and pesticides

IN Lieb, Folker; Hagemann, Hermann; Widdig, Arno; Ruther, Michael; Fischer, Reiner; Bretschneider, Thomas; Erdelen, Christoph; Wachendorff-Neumann, Ulrike; Graff, Alan; Dahmen, Peter; Dollinger, Markus; Gallenkamp, Bernd

PA Bayer A.-G., Germany

SO Ger. Offen., 78 pp.

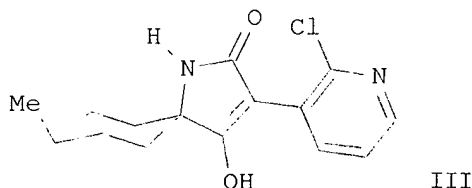
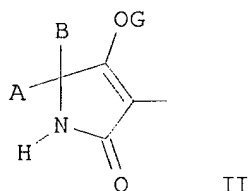
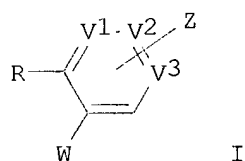
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19651841	A1	19971113	DE 1996-19651841	19961213
	WO 9743275	A2	19971120	WO 1997-EP2183	19970428
	WO 9743275	A3	19980108		
	W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, IL, JP, KR, KZ, LK, MX, NO, NZ, PL, RO, RU, SK, TR, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9727733	A1	19971205	AU 1997-27733	19970428
	EP 912547	A2	19990506	EP 1997-921808	19970428
	R: CH, DE, ES, FR, GB, IT, LI				
	BR 9708989	A	19990803	BR 1997-8989	19970428
	CN 1225092	A	19990804	CN 1997-196293	19970428
	JP 2000513715	T2	20001017	JP 1997-540442	19970428
	KR 2000010554	A	20000215	KR 1998-708405	19981020
	US 6133296	A	20001017	US 1998-180118	19981030
PRAI	DE 1996-19618831	A1	19960510		
	DE 1996-19651841	A	19961213		
	WO 1997-EP2183	W	19970428		
OS	MARPAT 128:22816				
GI					



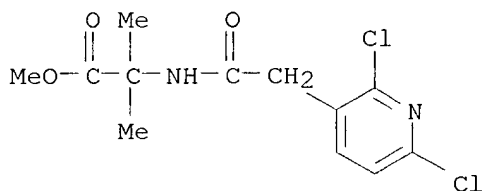
AB Title compds. [I; R = e.g., oxopyrrolinyl group II; A = H, (halo)alkyl, (hetero)aryl, etc.; B = H or (alkoxy)alkyl; AB = atoms to form a ring; G = H or acyl; V1 = N, V2 = CH or CZ, and V3 = CY; V1 = CX, V2 = N, and V3 = CY; V1 = CX, V2 = CH or CZ, and V3 = N; W,X,Y,Z = H, halo, alkyl, alkoxy, etc.; WZ,YZ = atoms to form a ring] were prepd. Thus, 3-amino-2-chloropyridine was converted in 3 steps to 2-chloropyridine-3-acetic acid which was amidated by Me 1-amino-4-methylcyclohexanecarboxylate and the product cyclized to give title compd. III. Data for biol. activity of I were given.

IT **199283-45-9P 199283-48-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of 3-pyridylpyrrolidine-2,4-dione enols and analogs as herbicides and pesticides)

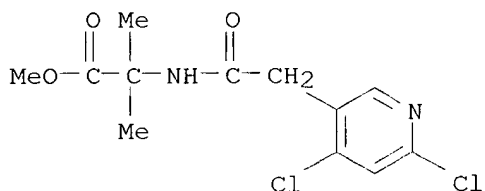
RN 199283-45-9 CAPLUS

CN Alanine, N-[(2,6-dichloro-3-pyridinyl)acetyl]-2-methyl-, methyl ester  
(9CI) (CA INDEX NAME)



RN 199283-48-2 CAPLUS

CN Alanine, N-[(4,6-dichloro-3-pyridinyl)acetyl]-2-methyl-, methyl ester  
(9CI) (CA INDEX NAME)



L22 ANSWER 86 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1997:740212 CAPLUS

DN 128:13212

TI Bradykinin antagonist quinoline derivatives

IN Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu

PA Fujisawa Pharmaceutical Co., Ltd., Japan; Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu

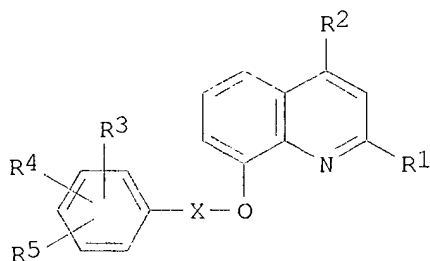
SO PCT Int. Appl., 65 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9741104	A1	19971106	WO 1997-JP1415	19970424
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9724054	A1	19971119	AU 1997-24054	19970424
	EP 900203	A1	19990310	EP 1997-919665	19970424
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2000509066	T2	20000718	JP 1997-538734	19970424
	US 6083959	A	20000704	US 1998-147193	19981026
PRAI	AU 1996-9526	A	19960429		
	WO 1997-JP1415	W	19970424		
OS	MARPAT 128:13212				
GI					



I

AB Title compds. I (R1 = lower alkyl, R2 = a heterocyclic group, R3 = H, lower alkyl, halo, R4 = lower alkyl, halo, R5 = alkylamino, amido, X = lower alkylene) were prepd. by std. derivatizations of 8-hydroxyquinolines. The IC<sub>50</sub> (M) was 3.3 X 10<sup>-9</sup> for inhibition of bradykinin binding for 8-[2,6-dichloro-3-[N-methyl-N-[4-(dimethylcarbamoyl) cinnamoylglycyl] amino] benzyloxy]-2-methyl-4-(1-pyrazolyl)quinoline dihydrochloride.

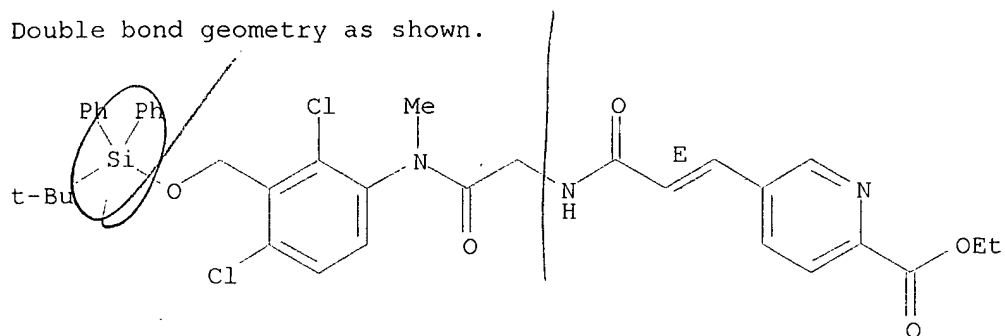
IT **199106-67-7P**RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 199106-67-7 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

09/596,086

Double bond geometry as shown.



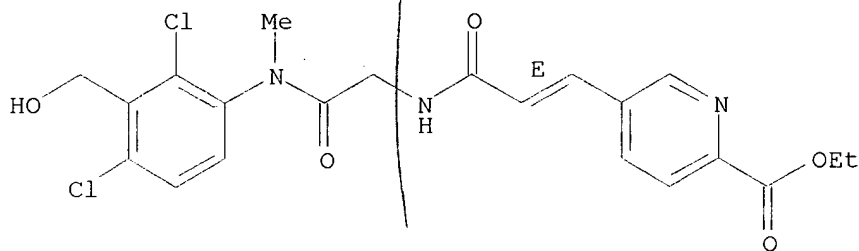
IT **199106-68-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of bradykinin antagonist quinoline derivs.)

RN 199106-68-8 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[3-[[2-[[2,4-dichloro-3-(hydroxymethyl)phenyl]methylamino]-2-oxoethyl]amino]-3-oxo-1-propenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



~~122~~ ANSWER 87 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1997:534780 CAPLUS

~~DN~~ 127:220551

TI Synthesis and cerebrovascular activity of (3-pyridyloxy)alkanoic acid derivatives

AU Glozman, O. M.; Orlova, E. K.; Smirnov, L. D.; Gan'shina, T. S.;

Romanycheva, N. A.; Volobueva, T. I.; Mirzoyan, R. S.

CS NII Farmakol., RAMN, Moscow, Russia

SO Khim.-Farm. Zh. (1996), 30(12), 27-29

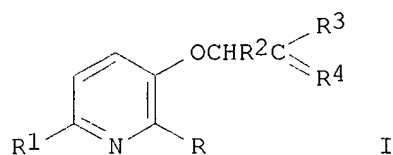
CODEN: KHFZAN; ISSN: 0023-1134

PB Izdatel'stvo Folium

DT Journal

LA Russian

GI



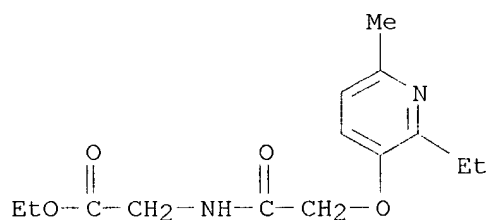
AB Title compds. I (R = Et, CMe<sub>3</sub>; R<sub>1</sub> = H, Me; R<sub>2</sub> = H, Me; R<sub>3</sub> = NHCH<sub>2</sub>COOEt, NHCH<sub>2</sub>CONH<sub>2</sub>, NHCH<sub>2</sub>CH<sub>2</sub>OH; R<sub>3</sub>R<sub>4</sub> = NHCH<sub>2</sub>CH<sub>2</sub>N; R<sub>4</sub> = O) were prepd. from 3-pyridinols and from (3-pyridyloxy)alkanoic acids. I were tested for cerebrovascular activity.

IT **194714-26-6P 194714-27-7P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and cerebrovascular activity of)

RN 194714-26-6 CAPLUS

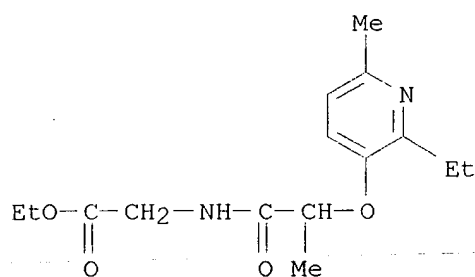
CN Glycine, N-[(2-ethyl-6-methyl-3-pyridinyl)oxy]acetyl]-, ethyl ester (9CI)  
(CA INDEX NAME)



RN 194714-27-7 CAPLUS

CN Glycine, N-[2-[(2-ethyl-6-methyl-3-pyridinyl)oxy]-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)





~~IN 2~~ ANSWER 88 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~IN~~ 1997:533640 CAPLUS

DN 127:220659

TI Quinoline and benzimidazole derivatives as bradykinin agonists

IN Oku, Teruo; Kayakiri, Hiroshi; Abe, Yoshito; Sawada, Yuki; Mizutani, Tsuyoshi

PA Fujisawa Pharmaceutical Co., Ltd., Japan; Oku, Teruo; Kayakiri, Hiroshi; Abe, Yoshito; Sawada, Yuki; Mizutani, Tsuyoshi

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9728153	A1	19970807	WO 1997-JP233	19970131
	W: AU, CA, CN, JP, KR, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9715569	A1	19970822	AU 1997-15569	19970131
	EP 879233	A1	19981125	EP 1997-901799	19970131
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001513749	T2	20010904	JP 1997-527493	19970131
	US 6015818	A	20000118	US 1998-117453	19980803
	US 6127389	A	20001003	US 1999-422075	19991021
PRAI	GB 1996-2029	A	19960201		
	WO 1997-JP233	W	19970131		
OS	MARPAT 127:220659				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to compds. I [Q = ring fusions Q1 or Q2; R1 = H, alkyl, halo; R2 = alkyl, halo; R3 = amino substituted with alkyl, acyl, or -ZA2R11; R4 = heterocycloalkyl; R5 = alkyl; R6 = acylalkyl, aralkyl, heterocycloalkyl; R7 = alkyl, alkoxy; R11 = amino, acylamino; A1 = alkylene; A2 = alkylene, bond; Z = alkenylene, 1,2-pyrrolediyl, C6H4, or 2,3-thiophenediyl, latter 3 with optional halo substitution] and their pharmaceutically acceptable salts. Also disclosed are processes for prepn. of the compds., pharmaceutical compns. comprising them, and methods of therapeutic use in the prevention and/or treatment of hypertension and the like. For instance, etherification of 2-(hydroxymethyl)pyridine with 4-chloro-8-hydroxy-2-methylquinoline gave 8-hydroxy-2-methyl-4-(2-pyridylmethoxy)quinoline, which was further etherified with 2,6-dichloro-3-[N-[[4-(methylcarbamoyl)cinnamoyl]glycyl]-N-methylamino]benzyl bromide to give title compd. II. In an assay for inhibition of [3H]-bradykinin binding to guinea pig ileum receptors in vitro, II had an IC50 of 9.9 .times. 10-10 M.

IT **177478-44-3P 177478-45-4P 189269-29-2P**

**189269-30-5P 189269-31-6P 194928-59-1P**

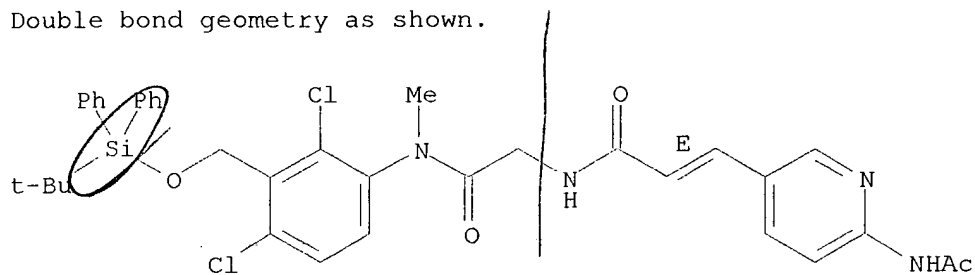
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (intermediate; prepn. of quinoline and benzimidazole derivs. as bradykinin agonists)

RN 177478-44-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy)methyl]phenyl]methylanino]-2-

oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

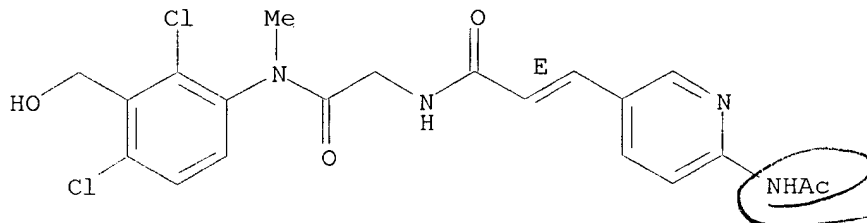
Double bond geometry as shown.



RN 177478-45-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-(hydroxymethyl)phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

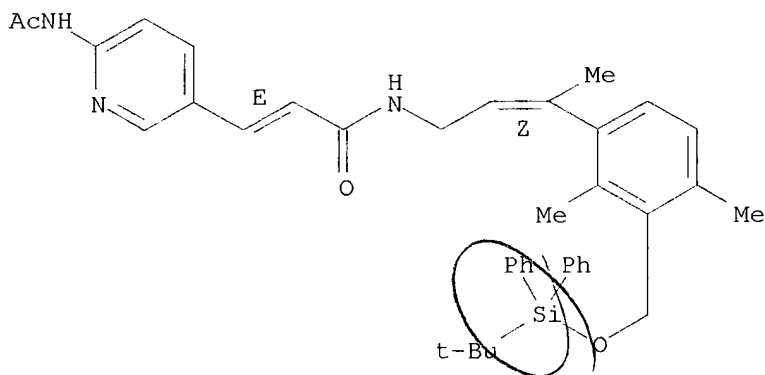
Double bond geometry as shown.



RN 189269-29-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

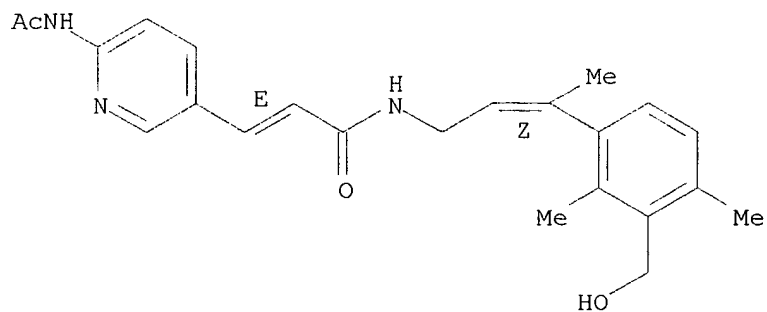


RN 189269-30-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-(hydroxymethyl)-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

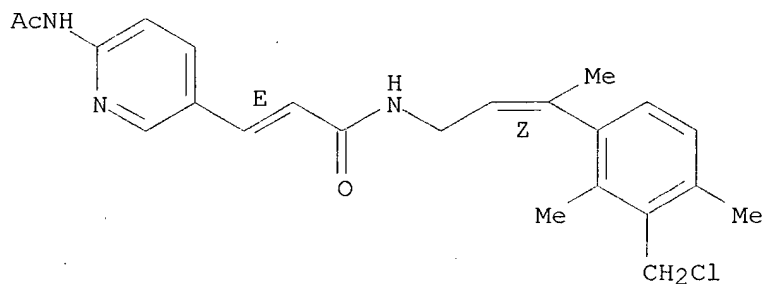
09/596,086



RN 189269-31-6 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-(chloromethyl)-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

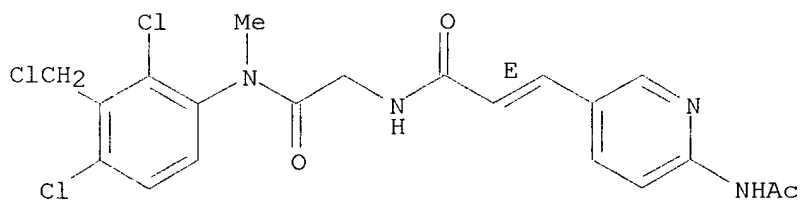
Double bond geometry as shown.



RN 194928-59-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-(chloromethyl)phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

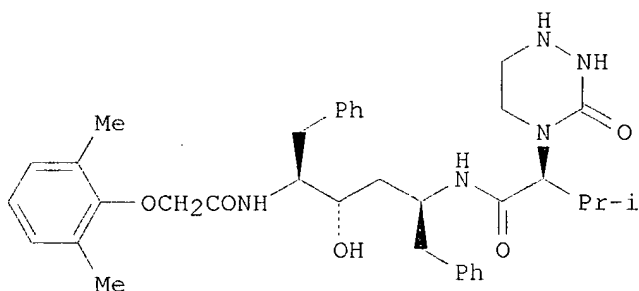


see 909193

09/596,086

~~DS2~~ ANSWER 89 OF 193 CAPLUS COPYRIGHT 2002 ACS  
~~AN~~ 1997:515728 CAPLUS  
 DN 127:122001  
 TI Preparation of peptide analogs as retroviral protease inhibitors  
 IN Sham, Hing Leung; Norbeck, Daniel W.; Chen, Xiaoqi; Betebenner, David A.; Kempf, Dale J.; Herrin, Thomas R.; Kumar, Gondi N.; Condon, Stephen L.; Cooper, Arthur J.; Dickman, Daniel A.; Hannick, Steven M.; Kolaczowski, Lawrence; Oliver, Patricia A.; Plata, Daniel J.; Stengel, Peter J.; Stoner, Eric J.; Tien, Jieh-Heh J.; Liu, Jih-Hua; Patel, Ketan M.  
 PA Abbott Laboratories, USA  
 SO PCT Int. Appl., 180 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9721685	A1	19970619	WO 1996-US20440	19961206
	W: AU, CA, CN, CZ, HU, IL, JP, KR, MX, NZ				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5914332	A	19990622	US 1996-753201	19961121
	AU 9713422	A1	19970703	AU 1997-13422	19961206
	AU 725369	B2	20001012		
	EP 882024	A1	19981209	EP 1996-944941	19961206
	EP 882024	B1	20020206		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2000502085	T2	20000222	JP 1997-522278	19961206
	JP 3170292	B2	20010528		
PRAI	US 1995-572226	A	19951213		
	US 1996-753201	A	19961121		
	WO 1996-US20440	W	19961206		
OS	MARPAT 127:122001				
GI					



AB R4 -L1-CONHCHR1CH(OH)CH2CHR2NHCOCHR3R5 [R1, R2 = lower alkyl, cycloalkylalkyl, arylalkyl; R3 = lower alkyl, hydroxyalkyl, cycloalkylalkyl; R4 = aryl, heterocyclyl; R5 = heterocyclyl e.g. Q - Q4; wherein m, n = 1-3; p = 1,2; X = O, S, NH; Y = CH2, O, S, (un)substituted NH; Z = O, S, NH; L1 = O, S, (un)substituted NH, O-alkylenyl, S(O)m-alkylenyl (wherein m = 0, 1,2), N-(un)substituted NH-alkylenyl, alkylenyl, alkenylenyl, etc.] are prep'd. Methods and compns. for inhibiting an HIV infection are also disclosed. Thus, (2S)-(4-benzyloxycarbonylaza-1-tetrahydropyrimid-2-onyl)-3-methylbutanoic acid (prepn. given) was condensed with (2S,3S,5S)-2-(2,6-

dimethylphenoxyacetyl)amino-3-hydroxy-5-amino-1,6-diphenylhexane using std. coupling procedure [1-ethyl-3-(3-dimethylaminopropyl)carbodiimide/DMF] followed by hydrogenolysis over 10% Pd-C to give the title compd. (I). I in vitro at 0.5 nmol inhibited HIV protease by 94.6%.

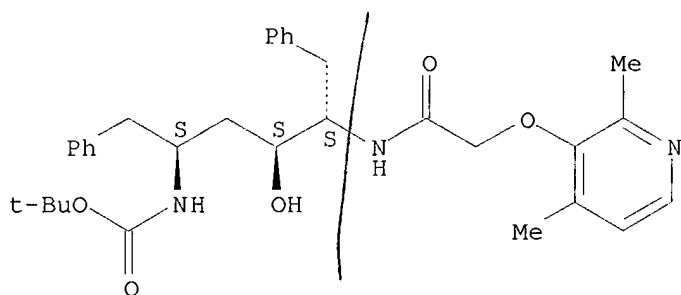
IT 192725-66-9P 192725-67-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of peptide analogs as retroviral protease inhibitors for inhibiting HIV infection)

RN 192725-66-9 CAPLUS

CN Carbamic acid, [(1S,3S,4S)-4-[[[(2,4-dimethyl-3-pyridinyl)oxy]acetyl]amino]-3-hydroxy-5-phenyl-1-(phenylmethyl)pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

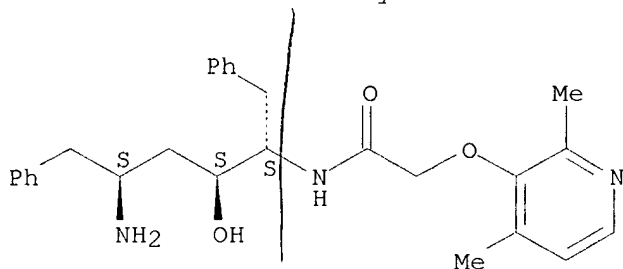
Absolute stereochemistry.



RN 192725-67-0 CAPLUS

CN Acetamide, N-[(1S,2S,4S)-4-amino-2-hydroxy-5-phenyl-1-(phenylmethyl)pentyl]-2-[(2,4-dimethyl-3-pyridinyl)oxy]- (9CI) (CA INDEX NAME)

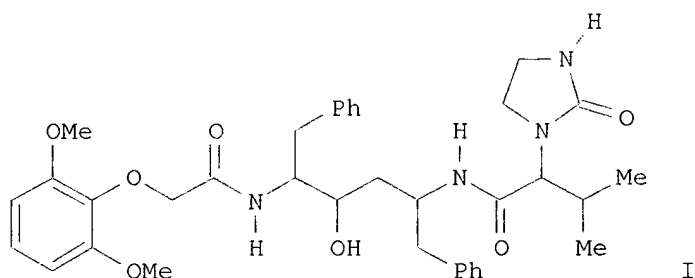
Absolute stereochemistry.



see 46 of 193

L22 ANSWER 90 OF 193 CAPLUS COPYRIGHT 2002 ACS  
 AN 1997:515727 CAPLUS  
 DN 127:121994  
 TI Preparation and formulation of N-(.alpha.-aminoacyl)diaminohydroxyalkanes as HIV protease inhibitors  
 IN Sham, Hing Leung; Stewart, Kent D.; Kempf, Dale J.  
 PA Abbott Laboratories, USA  
 SO PCT Int. Appl., 163 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9721683	A1	19970619	WO 1996-US19394	19961206
	W: CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2238977	AA	19970619	CA 1996-2238977	19961206
	EP 876353	A1	19981111	EP 1996-943605	19961206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2000502997	T2	20000314	JP 1997-522112	19961206
PRAI	US 1995-572226	A	19951213		
	US 1996-754687	A	19961121		
	WO 1996-US19394	W	19961206		
OS	MARPAT 127:121994				
GI					



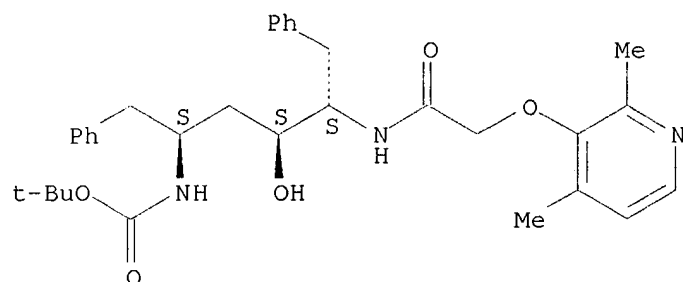
AB R4ZCONHCHR1CH(OH)CH2CHR2NHCOCHR3R5 [I; R1,R2 = (cyclo)alkyl, aralkyl; R3 = (cyclo)alkyl, hydroxyalkyl; R4 = heterocyclyl or aryl; R5 = N-attached oxoheterocyclyl, etc.] were prepd. Thus, (S)-(PhCH2)2NCH(CH2Ph)COCH2CN (prepn. given) was condensed with PhCH2MgCl and the product reduced by NaBH4 to give (S,S,S)-(PhCH2)2NCH(CH2Ph)CH(OH)CH2CH(NH2)CH2Ph. The latter was N-protected and the N-debenzylated product amidated by 2,6-(MeO)C6H3OCH2CO2H (prepn. given) to give, after deprotection and amidation by (S)-Me2CHCHR5CO2H (R5 = 2-oxo-1H-imidazol-3-yl) (prepn. given), title compd. (S,S,S,S)-II. Data for biol. activity of I were given.

IT **192725-66-9P 192725-67-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and formulation of N-(.alpha.-aminoacyl)diaminohydroxyalkanes as HIV protease inhibitors)  
 RN 192725-66-9 CAPLUS  
 CN Carbamic acid, [(1S,3S,4S)-4-[[[(2,4-dimethyl-3-pyridinyl)oxy]acetyl]amino]-3-hydroxy-5-phenyl-1-(phenylmethyl)pentyl]-,

09/596,086

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

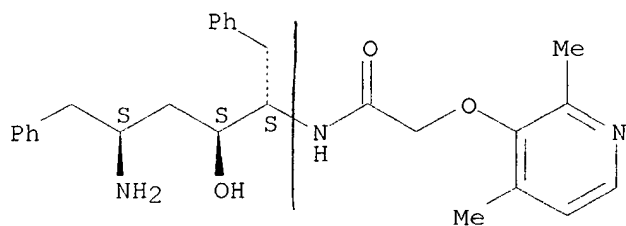
Absolute stereochemistry.



RN 192725-67-0 CAPLUS

CN Acetamide, N-[(1S,2S,4S)-4-amino-2-hydroxy-5-phenyl-1-(phenylmethyl)pentyl]-2-[(2,4-dimethyl-3-pyridinyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





09/596,086

~~L32~~ ANSWER 91 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AM~~ 1997:511986 CAPLUS

~~DN~~ 127:121650

TI Preparation of quinoline-4-carboxamides as NK-2/NK-3 antagonists

IN Giardina, Giuseppe Arnaldo Maria; Grugni, Mario; Raveglia, Luca Francesco; Farino, Carlo

PA Smithkline Beecham S.P.A., Italy; Giardina, Giuseppe Arnaldo Maria; Grugni, Mario; Raveglia, Luca Francesco; Farino, Carlo

SO PCT Int. Appl., 61 pp.

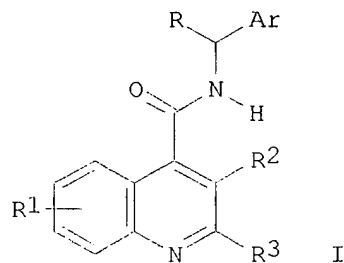
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9721680	A1	19970619	WO 1996-EP5203	19961122
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	IT 1307331	B1	20011030	IT 1996-MI1689	19960802
	CA 2238298	AA	19970619	CA 1996-2238298	19961122
	AU 9710317	A1	19970703	AU 1997-10317	19961122
	ZA 9609810	A	19980522	ZA 1996-9810	19961122
	EP 876347	A1	19981111	EP 1996-941023	19961122
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
	CN 1207730	A	19990210	CN 1996-199753	19961122
	BR 9611820	A	19990713	BR 1996-11820	19961122
	JP 2000501104	T2	20000202	JP 1997-521658	19961122
	NO 9802331	A	19980722	NO 1998-2331	19980522
	US 6277862	B1	20010821	US 1998-77151	19980522
PRAI	IT 1995-MI2461	A	19951124		
	IT 1996-MI1689	A	19960802		
	WO 1996-EP5203	W	19961122		
OS	MARPAT 127:121650				
GI					



AB The title compds. [I; Ar = (un)substituted aryl, C5-7 cycloalkadienyl

(un)substituted heteroaryl; R = C1-6 alkyl, C3-7 cycloalkyl, (un)substituted Ph; R1 = H, C1-6 alkyl, aryl, etc.; R2 = O(CH<sub>2</sub>)<sub>n</sub>X (wherein X = (un)substituted alkyl, NH<sub>2</sub>, etc.), etc.; R3 = C1-6 alkyl, C3-7 cycloalkyl, (un)substituted aryl], useful for the treatment and/or prophylaxis of respiratory diseases in mammals, were prepd. Thus, reaction of (S)-N-(.alpha.-ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide with Et bromoacetate in the presence of K<sub>2</sub>CO<sub>3</sub> and KI in THF followed by hydrolysis with 37% HCl afforded (S)-I.HCl [Ar = Ph; R = Et; R1 = H; R2 = OCH<sub>2</sub>COOH; R3 = Ph] which showed IC<sub>50</sub> of 1.9 nM against human neurokinin-3 receptors binding in CHO cell lines.

IT **192704-87-3P**

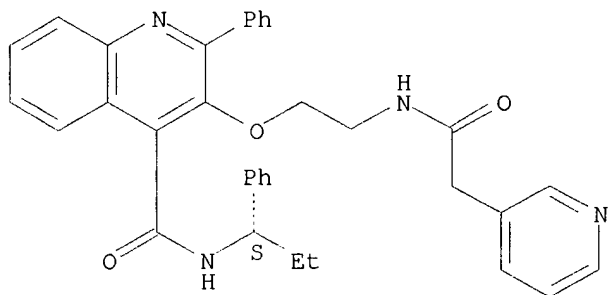
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinoline-4-carboxamides as NK-2/NK-3 antagonists)

RN 192704-87-3 CAPLUS

CN 4-Quinolinecarboxamide, 2-phenyl-N-(1-phenylpropyl)-3-[2-[(3-pyridinylacetyl)amino]ethoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L22 ANSWER 92 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1997:436050 CAPLUS

DN 127:94840

TI Pseudoephedrine as a Practical Chiral Auxiliary for the Synthesis of Highly Enantiomerically Enriched Carboxylic Acids, Alcohols, Aldehydes, and Ketones

AU Myers, Andrew G.; Yang, Bryant H.; Chen, Hou; McKinstry, Lydia; Kopecky, David J.; Gleason, James L.

CS Division of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, CA, 91125, USA

SO J. Am. Chem. Soc. (1997), 119(28), 6496-6511

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

AB The use of pseudoephedrine as a practical chiral auxiliary for asym. synthesis is described in full. Both enantiomers of pseudoephedrine are inexpensive commodity chems. and can be N-acylated in high yields to form tertiary amides. In the presence of lithium chloride, the enolates of the corresponding pseudoephedrine amides undergo highly diastereoselective alkylations with a wide range of alkyl halides to afford .alpha.-substituted products in high yields. These products can then be transformed in a single operation into highly enantiomerically enriched carboxylic acids, alcs., aldehydes, and ketones.

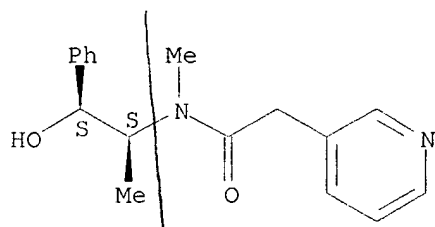
IT 192060-37-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(use of pseudoephedrine as a chiral auxiliary for the prepn. of enantiomerically enriched carboxylic acids, alcs., aldehydes, and ketones)

RN 192060-37-0 CAPLUS

CN 3-Pyridineacetamide, N-(2-hydroxy-1-methyl-2-phenylethyl)-N-methyl-,  
[S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

~~FIG 2~~ ANSWER 93 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1997:390706 CAPLUS

DN 127:17970

TI Preparation of novel peptide inhibitors of peptide binding to MHC class II proteins

IN Adams, Alan D.; Jones, A. Brian; Lombardo, Victoria K.; Tolman, Richard L.

PA Merck and Co., Inc., USA; Adams, Alan D.; Jones, A. Brian; Lombardo, Victoria K.; Tolman, Richard L.

SO PCT Int. Appl., 125 pp.

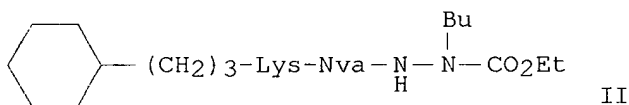
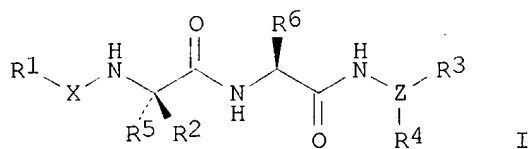
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9716410	A1	19970509	WO 1996-US17333	19961025
	W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9675257	A1	19970522	AU 1996-75257	19961025
PRAI	US 1995-8059		19951030		
	GB 1996-2976		19960213		
	WO 1996-US17333		19961025		
OS	MARPAT 127:17970				
GI					



AB Peptide derivs. I [X = CHR8, CO, SO2, CO2; Z = CH, N; R1 = C1-10 alkyl or C2-10 alkenyl contg. 0-3 aryl, cycloalkyl, halo, NHR7, or heterocyclyl substituents; cycloalkyl, heterocyclyl; R2 = H, C1-3 alkyl contg. 0-3 halo atoms; R3, R4 = independently H, CONR82, CO2R8, C1-10 alkyl contg. 0-3 substituents CONHR8, CO2R8, OH, NH2; ZR3R4 = 6-8 membered lactam ring; R5 = C1-5 alkyl or C2-5 alkenyl contg. 0-3 cycloalkyl, aryl, OH, NH2, NHCH(NH2):NH, NHCO-aryl, or halo substituents; R6 = C1-5 alkyl contg. 0-3 cycloalkyl, aryl, OH, NH2, or halo substituents; R7 = C1-4 alkyl, C1-4 alkoxy carbonyl, C1-4 alkyl carbonyl, C1-4 alkylsulfonyl; each R8 = independently H, C1-4 alkyl] are inhibitors of peptide binding to major histocompatibility complex type II proteins and are useful in the treatment and prevention of autoimmune diseases including: rheumatoid

arthritis, Type I diabetes, multiple sclerosis, lupus erythematosus, Graves disease and pemphigus. The present invention also provides novel compns., methods of treatment employing the compds. of the present invention and methods of manuf. of peptides I. Thus, azanorleucine peptide II was prepd. via acylation of BuNHNH<sub>2</sub> with Et chloroformate, followed by peptide couplings with Boc-Nva-OH and Boc-Lys(Z)-OSu (Boc = Me<sub>3</sub>CO<sub>2</sub>C; Z = PhCH<sub>2</sub>O<sub>2</sub>C; Su = succinimido) and reductive alkylation with cyclohexanepropionaldehyde. II inhibited peptide binding to DR1 alleles with IC<sub>50</sub> = 0.030 .mu.M at 20 min and IC<sub>50</sub> = 0.14 .mu.M at 5 h.

IT **190321-86-9P**

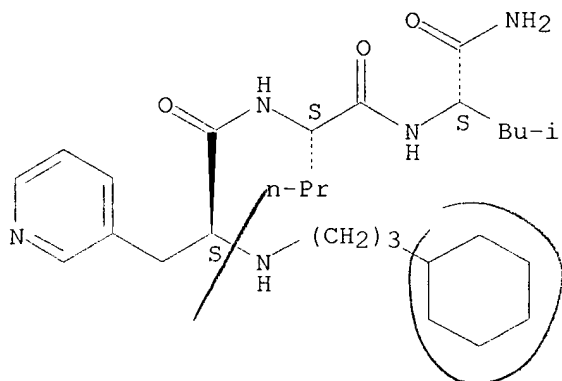
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel peptide inhibitors of peptide binding to MHC class II proteins)

RN 190321-86-9 CAPLUS

CN L-Leucinamide, N-(3-cyclohexylpropyl)-3-(3-pyridinyl)-L-alanyl-L-norvalyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/096,086

~~122~~ ANSWER 94 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1997:389231 CAPLUS

DN 127:5358

TI Preparation of ethylene pseudopeptides as novel inhibitors of peptide binding to MHC class II proteins

IN Adams, Alan D.; Jones, A. Brian

PA Merck and Co., Inc., USA; Adams, Alan D.; Jones, A. Brian

SO PCT Int. Appl., 88 pp.

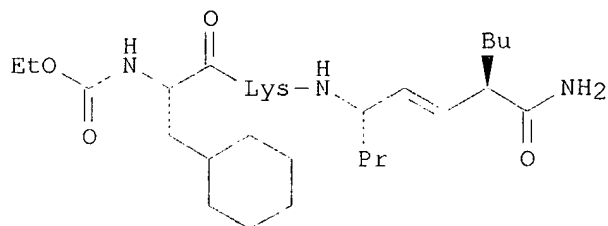
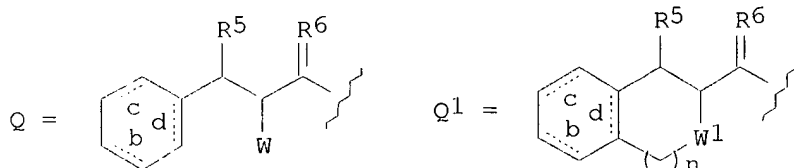
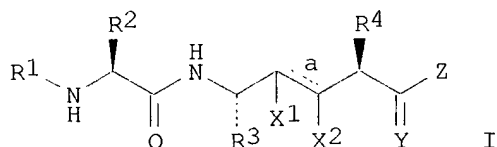
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9716188	A1	19970509	WO 1996-US17134	19961025
	W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9674753	A1	19970522	AU 1996-74753	19961025
PRAI	US 1995-5994		19951030		
	GB 1996-3240		19960216		
	WO 1996-US17134		19961025		
OS	MARPAT 127:5358				
GI					



II

AB Ethylene pseudopeptides I [a = double bond, X1 = X2 = H; a = single bond, X1 = X2 = H or X1X2 = CH2; Z = NH2, NHR7, OH, OR7; Y = O, H2; R1 = Q, Q1; R2 = (un)substituted C1-8 alkyl; R3 = (un)substituted C2-6 alkyl; R4 = (un)substituted C2-6 alkyl; R5 = H, (un)substituted C1-5 alkyl; R6 = H2, or H and (un)substituted C1-5 alkyl; R7 = H, (un)substituted C1-5 alkyl; R8 = C1-3 alkyl, aryl; b, c, d = independently single or double bond; n = 0-2; W = H, NH2, NHR5, NHCOR5; W1 = O, NH, NR5, NCOR5] are inhibitors of peptide binding to major histocompatibility complex (MHC) type II proteins and may be used in the treatment and prevention of autoimmune diseases including: rheumatoid arthritis, Type I diabetes, multiple sclerosis, lupus erythematosus, Graves disease and pemphigus. The present invention also provides novel compns., methods of treatment employing compds. of the present invention and methods of manuf. of I. Thus, EtO2C-L-Cha-Lys-Nva.psi.[(E)-CH:CH]Nle-NH2 (II; Cha = 3-cyclohexylalanine) was prepd in several steps from hexanoic anhydride, (E)-2-hexenal, Cl3CCN, and EtO2C-L-Cha-Lys(Boc)-OH. II inhibited peptide binding to protein DR-1 with IC50 = 37 at 20 min and 194 at 5 h, in an antibody inhibition test assay.

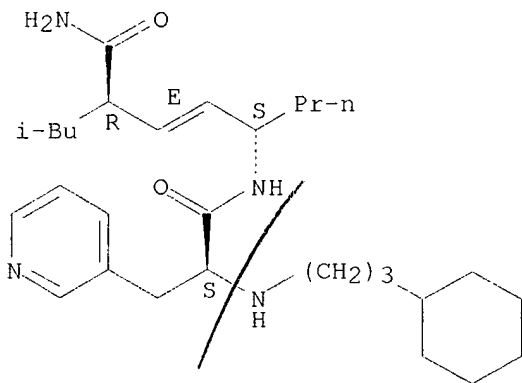
IT 190274-28-3P 190274-29-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of ethylene pseudopeptides as novel inhibitors of peptide binding to MHC class II proteins)

RN 190274-28-3 CAPLUS

CN 3-Pyridinepropanamide, N-[4-(aminocarbonyl)-6-methyl-1-propyl-2-heptenyl]-.alpha.-[(3-cyclohexylpropyl)amino]-, [1S-[1R\*(R\*),2E,4S\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 190274-29-4 CAPLUS

CN 3-Pyridinepropanamide, N-[(1S,2E,4R)-4-(aminocarbonyl)-6-methyl-1-propyl-2-heptenyl]-.alpha.-[(3-cyclohexylpropyl)amino]-, (.alpha.S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

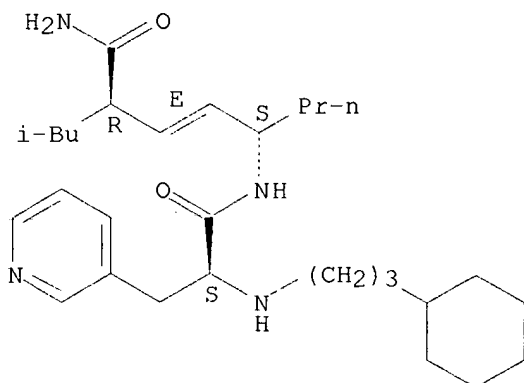
CM 1

CRN 190274-28-3

CMF C29 H48 N4 O2

09/596,086

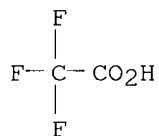
Absolute stereochemistry.  
Double bond geometry as shown.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 190274-70-5P 190274-76-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of ethylene pseudopeptides as novel inhibitors of peptide  
binding to MHC class II proteins)

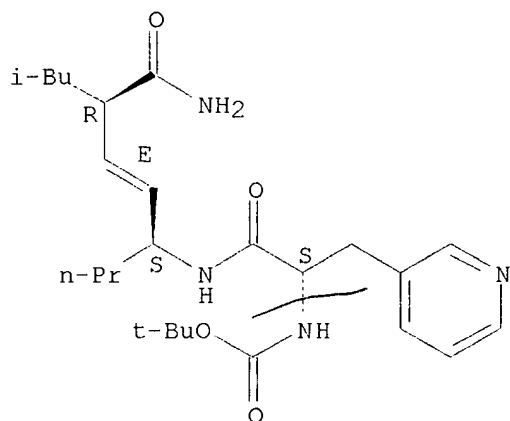
RN 190274-70-5 CAPLUS

CN Carbamic acid, [(1S)-2-[[[(1S,2E,4R)-4-(aminocarbonyl)-6-methyl-1-propyl-2-heptenyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



09/596,086



RN 190274-76-1 CAPLUS

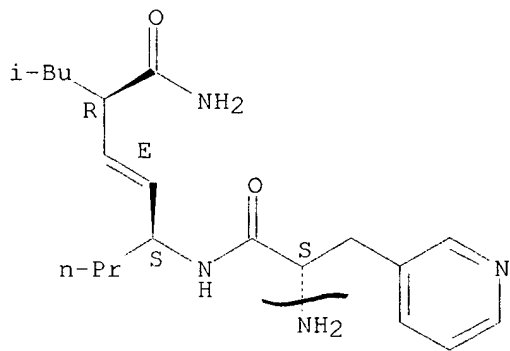
CN 3-Pyridinepropanamide, .alpha.-amino-N-[(1S,2E,4R)-4-(aminocarbonyl)-6-methyl-1-propyl-2-heptenyl]-, (.alpha.S)-, mono(trifluoroacetate) (9CI)  
(CA INDEX NAME)

CM 1

CRN 190274-75-0

CMF C20 H32 N4 O2

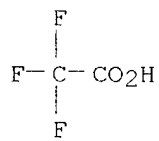
Absolute stereochemistry.  
Double bond geometry as shown.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



122 ANSWER 95 OF 193 CAPLUS COPYRIGHT 2002 ACS

1997:332365 CAPLUS

126:305539

TI Preparation of N-[(heteroaryloxy)alkylphenyl]-2-(acylaminoalkyl)pyrroles and analogs as bradykinin antagonists

IN Oku, Teruo; Kayakiri, Hiroshi; Abe, Yoshito; Sawada, Yuki; Mizutani, Tsuyoshi

PA Fujisawa Pharmaceutical Co., Ltd., Japan; Oku, Teruo; Kayakiri, Hiroshi; Abe, Yoshito; Sawada, Yuki; Mizutani, Tsuyoshi

SO PCT Int. Appl., 146 pp.

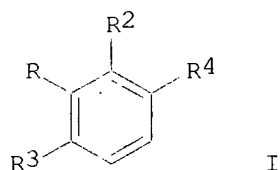
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9711069	A1	19970327	WO 1996-JP2669	19960918
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9669997	A1	19970409	AU 1996-69997	19960918
	EP 861243	A1	19980902	EP 1996-931226	19960918
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2000515848	T2	20001128	JP 1997-512588	19960918
	US 6008229	A	19991228	US 1998-29852	19980313
	US 6100284	A	20000808	US 1999-419684	19991015
	US 6344462	B1	20020205	US 2000-604526	20000627
PRAI	GB 1995-19077	A	19950918		
	WO 1996-JP2669	W	19960918		
	US 1998-29852	A3	19980313		
	US 1999-419684	A3	19991015		
OS	MARPAT 126:305539				
GI					



AB Title compds. [I; R = ZZOR1; R1 = quinolyl, benzimidazolyl, imidazopyridyl, etc.; R2 = H, halo, alkyl; R3 = halo or alkyl; R4 = (acyl)amino(alkyl)(hetero)aryl, piperazinylcarbonyl, etc.; Z = alkylene] were prepd. Thus, 3-(tert-butyl-diphenylsilyloxymethyl)-2,4-dichloroaniline was cyclocondensed with 2,5-dimethoxytetrahydrofuran to give, in 6 addnl. steps, I [R = 2-methyl-8-quinolyloxymethyl, R2 = R3 = Cl, R4 = 2-[[4-(methylcarbamoyl)cinnamoyl]aminomethyl]-1-pyrrolyl]. Data for biol. activity of I were given.

IT **189269-29-2P 189269-30-5P 189269-31-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of N-[(heteroaryloxy)alkylphenyl]-2-(acylaminoalkyl)pyrroles and analogs as bradykinin antagonists)

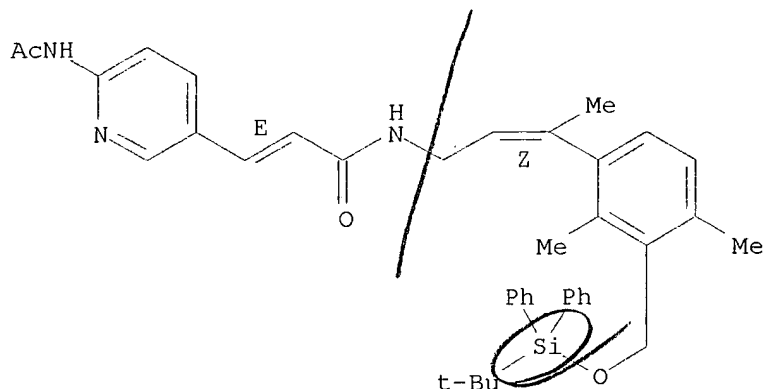
RN 189269-29-2 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]-2-butenyl]-,

09/596,086

(E,Z)- (9CI) (CA INDEX NAME)

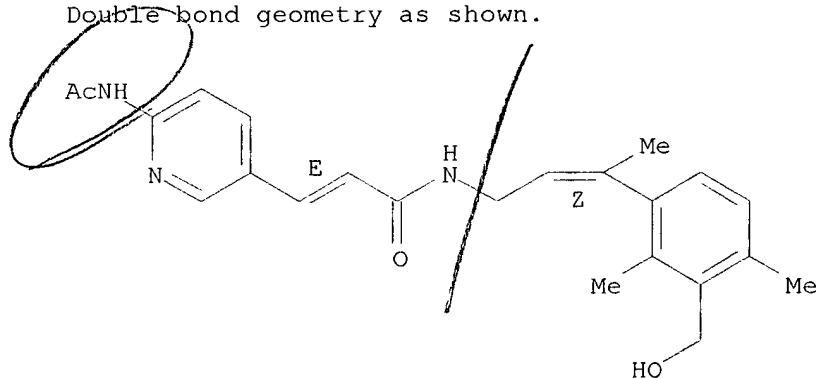
Double bond geometry as shown.



RN 189269-30-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-(hydroxymethyl)-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

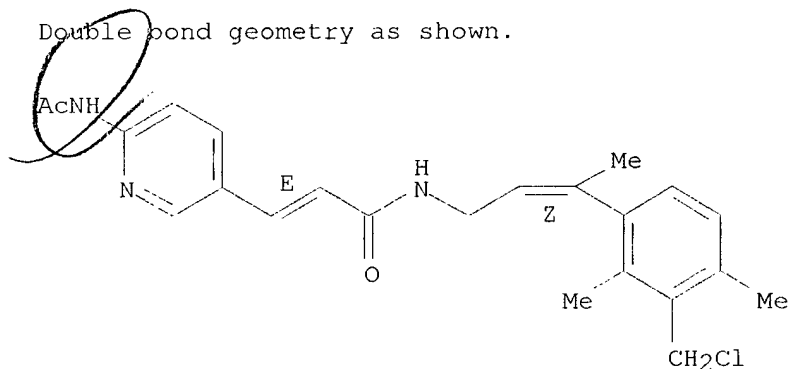
Double bond geometry as shown.



RN 189269-31-6 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[3-[3-(chloromethyl)-2,4-dimethylphenyl]-2-butenyl]-, (E,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



09/596,086

~~12~~ ANSWER 96 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~IN~~ 1997:328690 CAPLUS

~~DN~~ 127:65563

TI Design, synthesis, and in vitro activities of benzamide-core glycoprotein IIb/IIIa antagonists: 2,3-diaminopropanoic acid derivatives as surrogates of aspartic acid

AU Xue, Chu-Biao; Roderick, John; Jackson, Sharon; Rafalski, Maria; Rockwell, Arlene; Mousa, Shaker; Olson, Richard E.; Degrado, William F.

CS Chemical and Physical Sciences and Cardiovascular Diseases, The DuPont Merck Pharmaceutical Company, Experimental Station, Wilmington, DE, 19880, USA

SO Bioorg. Med. Chem. (1997), 5(4), 693-705

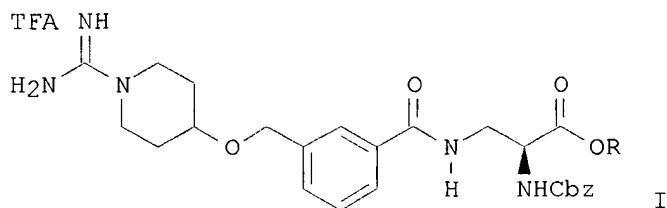
CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier

DT Journal

LA English

GI



AB In an effort to discover novel nonpeptide glycoprotein IIb/IIIa (GPIIb/IIIa, .alpha.IIb/.beta.3) inhibitors, RGD mimetics featuring a 3-substituted benzoic acid as the core, benzamidine as the basic moiety, and a series of .beta.- and .alpha.-substituted .beta.-alanine derivs. as aspartic acid surrogates were investigated. It was found that the use of .beta.-Me .beta.-alanine slightly improved the anti-aggregant potency in human platelet-rich plasma over the unsubstituted .beta.-alanine compd., while .beta.-substitution with a trifluoromethyl group resulted in considerable loss in activity. Significant enhancement (up to 100-fold) in potency was obtained when the .beta.-alanine was replaced with N2-substituted L-2,3-diaminopropanoic acid derivs. Among the three types of .alpha.-substituents (carbamate, amide, and sulfonamide) investigated, no apparent preference was obsd. with respect to in vitro potency. However, alkyl groups were more favorable than arylalkyl groups (Cbz) in the carbamate analogs. Piperidine, piperazine, and N-formamidinopiperidine as replacements for the benzamidine moiety were also investigated. The former two replacements led to a drop in potency while the latter replacement resulted in maintenance of activity as compared with the corresponding benzamidine analog. An example compd. was I.

IT 191483-34-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and glycoprotein IIb/IIIa antagonistic structure-activity relationship of diaminopropanoate derivs. as surrogates of aspartic acid)

RN 191483-34-8 CAPLUS

CN L-Alanine, 3-[[3-[[4-(aminoiminomethyl)phenoxy]methyl]benzoyl]amino]-N-(3-pyridinylacetyl)-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

09/596,086

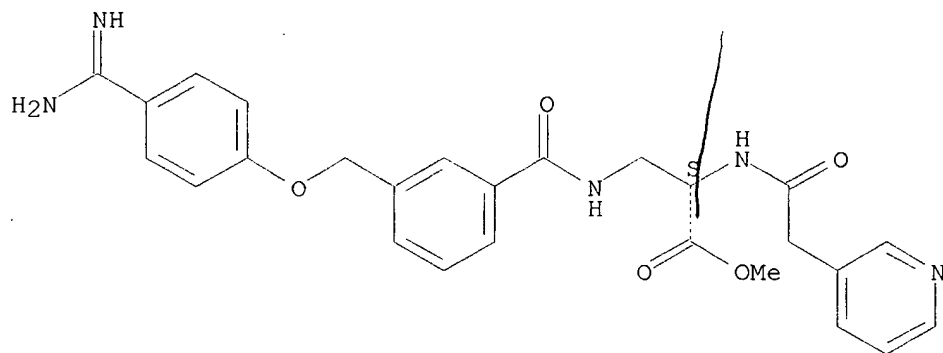
CM 1

CRN 169605-54-3

CMF C26 H27 N5 O5

CDES 5:L

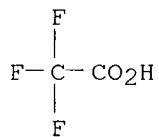
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



~~LN~~ ANSWER 97 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1997:207658 CAPLUS

DN 126:199840

TI Preparation of peptide derivatives as cell adhesion inhibitors

IN Lin, Ko-Chung; Adams, Steven P.; Castro, Alfredo C.; Zimmerman, Craig N.; Cuervo, Julio Hernan; Lee, Wen-Cherng; Hammond, Charles E.; Carter, Mary Beth; Almquist, Ronald G.; Ensinger, Carol Lee

PA Biogen, Inc., USA; Lin, Ko-Chung; Adams, Steven, P.; Castro, Alfredo, C.; Zimmerman, Craig, N.; Cuervo, Julio, Hernan; Lee, Wen-Cherng; Hammond, Charles, E.; Carter, Mary, Beth; et al.

SO PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9703094	A1	19970130	WO 1996-US11570	19960711
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
	US 6248713	B1	20010619	US 1995-498237	19950711
	CA 2226868	AA	19970130	CA 1996-2226868	19960711
	AU 9664894	A1	19970210	AU 1996-64894	19960711
	AU 716276	B2	20000224		
	EP 842196	A1	19980520	EP 1996-924444	19960711
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	CN 1193325	A	19980916	CN 1996-196380	19960711
	BR 9609782	A	19990309	BR 1996-9782	19960711
	JP 11511124	T2	19990928	JP 1996-505989	19960711
	FI 9800033	A	19980305	FI 1998-33	19980109
	NO 9800097	A	19980311	NO 1998-97	19980109
	US 6239108	B1	20010529	US 1998-983391	19980810
PRAI	US 1995-498237	A	19950711		
	WO 1996-US11570	W	19960711		

OS MARPAT 126:199840

AB The present invention relates to novel peptide derivs. that are useful for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. This invention also relates to pharmaceutical formulations comprising these compds. and methods of using them for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. The compds. and pharmaceutical compn. of this invention can be used as therapeutic or prophylactic agents. They are particularly well-suited for treatment of many inflammatory and autoimmune diseases. Thus, coupling of 4-(2-MeC6H4NHCONH)C6H4CH2CO2H (prepn. given) with protected peptide H-Leu-Asp(OCH2Ph)-Val-OCH2Ph (prepn. given), followed by catalytic hydrogenolysis, gave cell adhesion inhibitor peptide 4-(2-MeC6H4NHCONH)C6H4CH2CO-Leu-Asp-Val-OH (I). All 408 prepd. peptide derivs., including I, inhibited VLA4-dependent adhesion to a bovine serum albumin conjugate with H-Cys-Tyr-Asp-Glu-Leu-Pro-Gln-Leu-Val-Thr-Leu-Pro-His-Pro-Asn-Leu-His-Gly-Pro-Glu-Ile-Leu-Asp-Val-Pro-Ser-Thr-OH, with IC50 values of <1 mM.

IT 187737-93-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

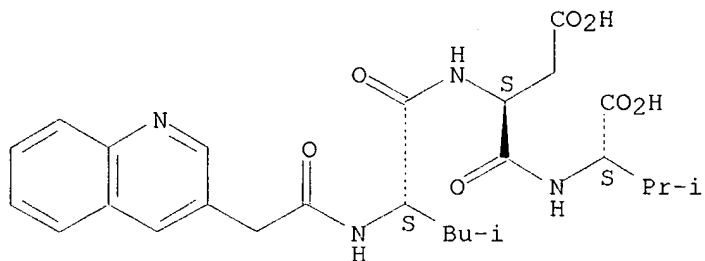
09/596,086

preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(prepn. of peptide derivs. as cell adhesion inhibitors)

RN 187737-93-5 CAPLUS

CN L-Valine, N-(3-quinolinylacetyl)-L-leucyl-L-.alpha.-aspartyl- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



09/596,086

~~122~~ ANSWER 98 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1996:653632 CAPLUS

DN 125:329475

TI Aromatic compounds containing basic and acidic termini useful as fibrinogen receptor antagonists

IN Degrado, William F.; Xue, Chu-biao

PA The Dupont Merck Pharmaceutical Company, USA

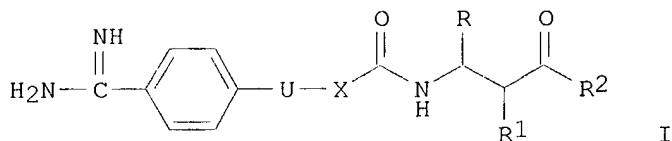
SO U.S., 83 pp. Cont.-in-part of U.S. Ser. No. 174,552, abandoned.  
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5563158	A	19961008	US 1994-343159	19941122
	WO 9518111	A1	19950706	WO 1994-US14244	19941221
	W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, SK				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9514000	A1	19950717	AU 1995-14000	19941221
	US 5691329	A	19971125	US 1996-694043	19960808
PRAI	US 1993-174552		19931228		
	US 1994-343159		19941122		
	WO 1994-US14244		19941221		
OS	MARPAT 125:329475				
GI					



AB Title compds., such as I [U = OCH<sub>2</sub>, CH<sub>2</sub>O; X = m-C<sub>6</sub>H<sub>4</sub>, 3,5-isoxazolediyl; R = H, Me; R<sub>1</sub> = (un)substituted amino; R<sub>2</sub> = H, Me, Et] were prepd. for use as platelet aggregation inhibitors. Thus, L-H<sub>2</sub>NCH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>Me was N-butanefulfonylated, treated with 3-ClC<sub>6</sub>H<sub>4</sub>COCl and 4-NCC<sub>6</sub>H<sub>4</sub>OH to give L-3-(4-NCC<sub>6</sub>H<sub>4</sub>OCH<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>CONHCH<sub>2</sub>CH(NHSO<sub>2</sub>Bu)CO<sub>2</sub>Me which was subjected to aminolysis and ester hydrolysis to give L-3-[4-H<sub>2</sub>NC(:NH)C<sub>6</sub>H<sub>4</sub>OCH<sub>2</sub>]C<sub>6</sub>H<sub>4</sub>CONHCH<sub>2</sub>CH(NHSO<sub>2</sub>Bu)CO<sub>2</sub>H.CF<sub>3</sub>CO<sub>2</sub>H (II). II had an IC<sub>50</sub> of <10 .mu.M in the fibrinogen binding assay for platelet aggregation.

IT **169605-54-3P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aroyldiaminopropionic acids as platelet aggregation inhibitors)

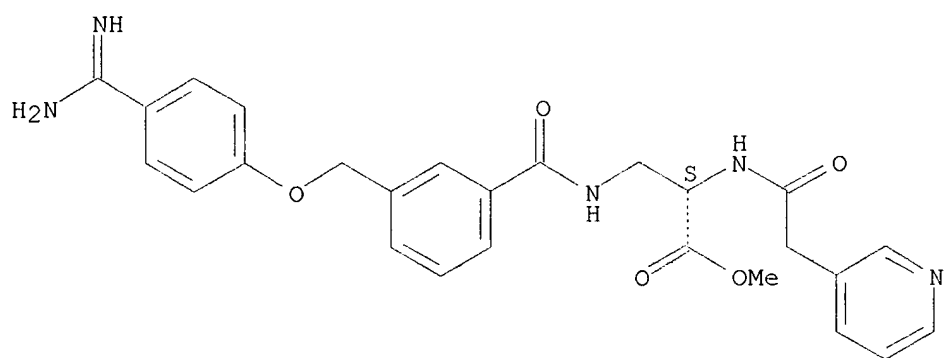
RN 169605-54-3 CAPLUS

CN L-Alanine, 3-[[[3-[[4-(aminoiminomethyl)phenoxy]methyl]benzoyl]amino]-N-(3-pyridinylacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086



L22 ANSWER 99 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1996:593835 CAPLUS

DN 125:248489

TI Preparation of dipeptide derivatives as cell adhesion inhibitors

IN Adams, Steven P.; Lin, Ko-Chung; Lee, Wen-Cherng; Castro, Alfredo C.;  
Zimmerman, Craig N.; Hammond, Charles E.; Liao, Yu-Sheng; Cuervo, Julio  
Hernan; Singh, Juswinder

PA Biogen, Inc., USA

SO PCT Int. Appl., 169 pp.

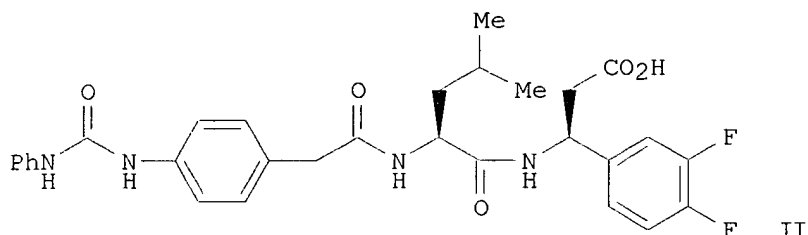
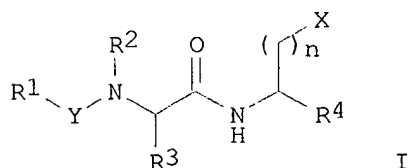
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9622966	A1	19960801	WO 1996-US1349	19960118
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
	US 6306840	B1	20011023	US 1995-376372	19950123
	CA 2211181	AA	19960801	CA 1996-2211181	19960118
	AU 9649115	A1	19960814	AU 1996-49115	19960118
	AU 718926	B2	20000504		
	EP 805796	A1	19971112	EP 1996-905316	19960118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
	BR 9606778	A	19980106	BR 1996-6778	19960118
	CN 1177343	A	19980325	CN 1996-192270	19960118
	JP 10513160	T2	19981215	JP 1996-523071	19960118
	EP 1142867	A2	20011010	EP 2001-107877	19960118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
	NO 9703384	A	19970919	NO 1997-3384	19970722
	FI 9703087	A	19970922	FI 1997-3087	19970722
PRAI	US 1995-376372	A2	19950123		
	EP 1996-905316	A3	19960118		
	WO 1996-US1349	W	19960118		
OS	MARPAT 125:248489				
GI					



AB Novel dipeptide analogs I [X = CO<sub>2</sub>H, PO<sub>3</sub>H<sup>-</sup>, SO<sub>2</sub>R<sub>5</sub>, SO<sub>3</sub>H, OPO<sub>3</sub>H<sup>-</sup>, CO<sub>2</sub>R<sub>4</sub>, CONR<sub>4</sub>2; Y = CO, SO<sub>2</sub>, PO<sub>2</sub>; n = 0-2; R<sub>1</sub> = optionally substituted alkyl, alkenyl, alkynyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aralkenyl, aralkynyl, alkoxy, alkenyloxy, aralkoxy, alkylamino, alkenylamino, alkynylamino, aryloxy, arylamino, N-alkylurea-substituted alkyl, heterocyclyl; R<sub>2</sub> = H, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl-substituted alkyl; R<sub>2</sub>NCR<sub>3</sub> = heterocyclic ring; R<sub>3</sub> = natural, unnatural, modified, or substituted amino acid side chain; R<sub>4</sub> = optionally substituted aryl, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl-substituted alkyl, H, heterocyclyl, heterocyclylcarbonyl, aminocarbonyl, amido, alkylaminocarbonyl, arylaminocarbonyl, acylaminocarbonyl, acyl; R<sub>5</sub> = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, aralkenyl, aralkynyl] are prepd. as compds. useful for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. This invention also relates to pharmaceutical formulations comprising these compds. and methods of using them for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. The compds. and pharmaceutical compds. of this invention can be used as therapeutic or prophylactic agents. They are particularly well-suited for treatment of many inflammatory and autoimmune diseases. Thus, .beta.-amino acid-contg. dipeptide II, prepd. by std. methods, displayed an IC<sub>50</sub> of <50 nM in a cell adhesion inhibition assay.

IT **181519-80-2P**

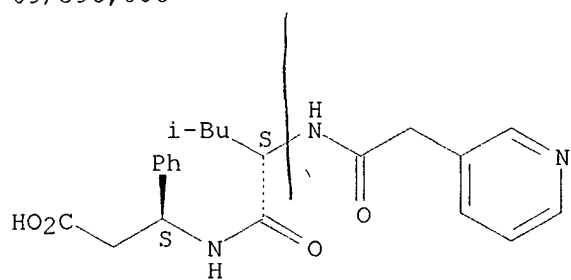
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of .beta.-amino acid dipeptide derivs. as cell adhesion inhibitors)

RN 181519-80-2 CAPLUS

CN Benzenepropanoic acid, .beta.-[[4-methyl-1-oxo-2-[(3-pyridinylacetyl)amino]pentyl]amino]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/596,086



see 689193

09/596,086

L22 ANSWER 100 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1996:577729 CAPLUS

DN 125:222442

TI Preparation of N-(mercaptoalkanoyl)diptptides and -amino acid derivatives with metalloptidase inhibitory activity

IN Pellacini, Franco; Romagnano, Stefano; Norcini, Gabriele; Santangelo, Francesco

PA Zambon Group S.P.A., Italy

SO PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9622998	A1	19960801	WO 1996-EP251	19960123
	W: AU, BY, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SI, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2210151	AA	19960801	CA 1996-2210151	19960123
	AU 9646207	A1	19960814	AU 1996-46207	19960123
	EP 805817	A1	19971112	EP 1996-901752	19960123
	EP 805817	B1	20010816		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI				
	JP 10512870	T2	19981208	JP 1996-522617	19960123
	AT 204294	E	20010915	AT 1996-901752	19960123
	ES 2162022	T3	20011216	ES 1996-901752	19960123
	US 5866604	A	19990202	US 1996-750995	19961224
	US 5994539	A	19991130	US 1997-993567	19971218
PRAI	IT 1995-MI132	A	19950127		
	WO 1996-EP251	W	19960123		
	US 1996-774298	A3	19961224		
OS	MARPAT 125:222442				
AB	Compds. of formula R(CH <sub>2</sub> ) <sub>n</sub> CHR <sub>1</sub> CONH(CHR <sub>2</sub> CONH) <sub>m</sub> CH*(CH <sub>2</sub> R <sub>3</sub> )CO <sub>2</sub> R <sub>4</sub> {R = HS, R <sub>5</sub> C(O)S convertible in the organism to SH group; wherein R <sub>5</sub> = Cl-4 alkyl, Ph; R <sub>1</sub> = H, straight or branched Cl-6 alkyl, aryl, aryl-Cl-6 alkyl; R <sub>2</sub> = H, straight or branched Cl-6 alkyl, aryl-Cl-6 alkyl; wherein aryl = (un)substituted Ph, biphenyl, naphthyl, or 5- or 6-membered arom. heterocyclyl; R <sub>3</sub> = (un)substituted biphenyl; R <sub>4</sub> = H, Cl-4 alkyl, CH <sub>2</sub> Ph; m, n = 0,1}, which are endowed with both angiotensin converting enzyme-inhibitory and neutral endopeptidase enzyme-inhibitory activity and are useful in the treatment of cardiovascular diseases such as hypertension, renal failure, congestive heart failure, and ischemic cardiopathologies, are prepd. Thus, a mixt. of 2.5 g 3-benzoylthio-2-benzylpropionic acid, (1,1'-biphenyl-4-yl)-L-phenylalanine Me ester hydrochloride, and 1.14 mL Et <sub>3</sub> N in THF and CH <sub>2</sub> Cl <sub>2</sub> was successively treated with a soln. of 1.1 g N-hydroxybenzotriazole in THF and a soln. of 2.02 g DCC in CH <sub>2</sub> Cl <sub>2</sub> at 0.degree. with stirring and stirred for 20 h to give N-(3-benzoylthio-2-benzylpropionyl)-(1,1'-biphenyl-4-yl)-L-phenylalanine Me ester. The latter compd. (1.84 g) was suspended in ethanol, degassed by bubbling N to eliminate O, treated dropwise an aq. degassed soln. of 9 mL 1 N NaOH at 5.degree. and at the end of addn. with degassed ethanol, stirred at room temp. for 4 h, cooled at 0.degree., and acidified with 5% aq. HCl, to give N-(3-mercapto-2-benzylpropionyl)-(1,1'-biphenyl-4-yl)-L-phenylalanine. The latter compd. and N-[(2S)-3-mercapto-2-benzylpropionyl]-(1,1'-biphenyl-4-yl)-L-phenylalanine showed IC <sub>50</sub> of 5 and 2.6 nM, resp., against angiotensin converting enzyme (vs. 5, 99, and 3 nM for RB105, thiorphan, and captopril, resp.) and IC <sub>50</sub> of 5 and 1.8 nM,				

resp., against neutral endopeptidase enzyme (vs. 24, 11 nM, and not active for RB105, thiorphan, and captopril, resp.).

IT **181282-21-3P 181282-23-5P**

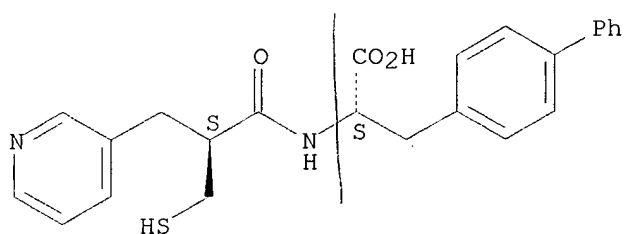
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(mercaptoalkanoyl)diptides and -amino acid derivs. as angiotensin converting enzyme and neutral endopeptidase enzyme inhibitors)

RN 181282-21-3 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[ (2S)-2-(mercaptomethyl)-1-oxo-3-(3-pyridinyl)propyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

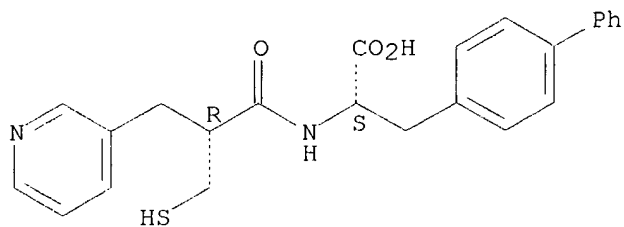


● HCl

RN 181282-23-5 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[ (2R)-2-(mercaptomethyl)-1-oxo-3-(3-pyridinyl)propyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT **181281-92-5P 181281-94-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of N-(mercaptoalkanoyl)diptides and -amino acid derivs. as angiotensin converting enzyme and neutral endopeptidase enzyme inhibitors)

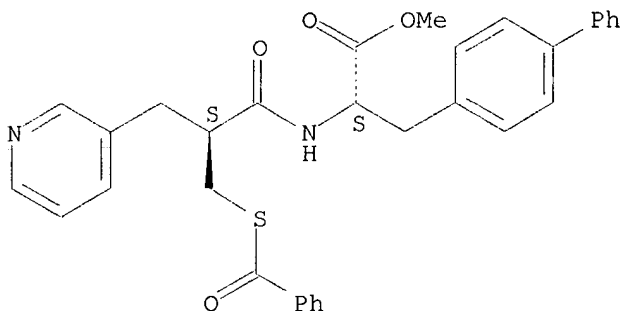
RN 181281-92-5 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[ (2S)-2-[(benzoylthio)methyl]-1-

09/596,086

oxo-3-(3-pyridinyl)propyl]amino]-, methyl ester, (.alpha.S)- (9CI) (CA  
INDEX NAME)

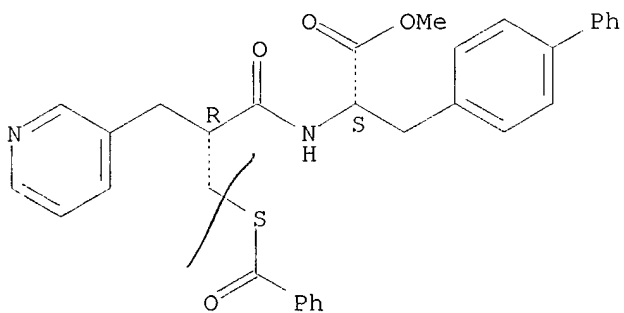
Absolute stereochemistry.



RN 181281-94-7 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[ (2R)-2-[(benzoylthio)methyl]-1-oxo-3-(3-pyridinyl)propyl]amino]-, methyl ester, (.alpha.S)- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



09/596,086

122 ANSWER 101 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1996:560338 CAPLUS

DN 125:216349

TI Nitrogen monooxide-releasing substances for determination of NO

IN Kato, Masayuki; Kita, Yasuhiro; Nishino, Shigetaka; Hamano, Mitsuko;  
Takasugi, Hisashi; Hirasawa, Yoshimi

PA Fujisawa Pharmaceutical Co, Japan

SO Jpn. Kokai Tokkyo Koho, 28 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08152428	A2	19960611	JP 1995-59764	19950222
PRAI	JP 1994-53202		19940225		
	JP 1994-259252		19940928		

OS MARPAT 125:216349

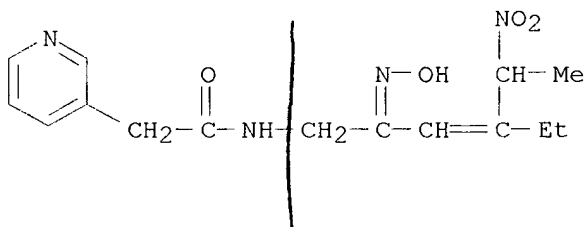
AB NO-releasing substances, e.g. R1(R2)(NO2)CC(R4):C(R6)C(:NOH)R7 (R1 = H, lower alkyl, etc.; R2,R4,R6 = H or lower alkyl; R7 = H or CON(R8)R9 wherein R8 and R9 are H, pyridyl, etc.), are useful as std. compds. for detn. of NO. These NO-releasing substances can be dissolved in water or dimethylsulfoxide. Manuf. of 2-hydroxyimino-6-methoxy-4-methyl-5-nitro-3-hexenecarboxamide and use of the compd. for measuring release of NO are shown.

IT 152750-57-7

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
(nitrogen monooxide-releasing std. substances)

RN 152750-57-7 CAPLUS

CN 3-Pyridineacetamide, N-[4-ethyl-2-(hydroxyimino)-5-nitro-3-hexenyl]- (9CI)  
(CA INDEX NAME)



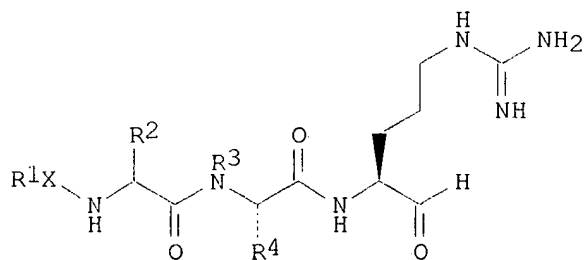


see 34 of 193

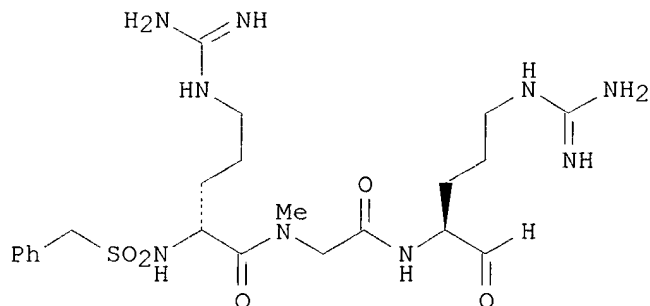
09/596,086

L22 ANSWER 102 OF 193 CAPLUS COPYRIGHT 2002 ACS  
 AN 1996:527345 CAPLUS  
 DN 125:196382  
 TI Preparation of peptide aldehydes as inhibitors of factor Xa.  
 IN Abelman, Matthew Mark; Miller, Todd Anthony; Nutt, Ruth Foelsche  
 PA Corvas International, Inc., USA  
 SO PCT Int. Appl., 76 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9619493	A1	19960627	WO 1995-US16866	19951221
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5696231	A	19971209	US 1994-361794	19941221
	US 6025472	A	20000215	US 1995-484509	19950607
	AU 9646086	A1	19960710	AU 1996-46086	19951221
	AU 716995	B2	20000316		
	EP 801654	A1	19971022	EP 1995-944234	19951221
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
	BR 9510264	A	19971104	BR 1995-10264	19951221
	JP 10512550	T2	19981202	JP 1995-520031	19951221
PRAI	US 1994-361794		19941221		
	US 1995-484509		19950607		
	WO 1995-US16866		19951221		
OS	MARPAT 125:196382				
GI					



I



II

AB Title compds. [I; X = SO<sub>2</sub>, NR'SO<sub>2</sub>, CO, O<sub>2</sub>C, NHCO, P(O)R'', bond; R' = H, alkyl, aryl, aralkyl; R'' = NR', OR', R', SR'; R<sub>1</sub> = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, heterocyclyl, alkenyl, aryl, heteroaryl, aralkyl, aralkenyl, CHF<sub>2</sub>, perfluoroalkyl, perfluoroaryl, etc.; R<sub>2</sub> = H, tetrazol-5-ylalkyl, tetrazol-5-ylalkylsulfonylmethyl, pyridin-3-ylalkyl, guanidinoalkyl, methylsulfonylalkyl, etc.; R<sub>3</sub> = H, (substituted) alkyl, cycloalkyl, aryl; R<sub>4</sub> = H, (substituted) alkyl; with provisos], were prepd. Thus, title compd. (II), prepd. by soln. phase methods, inhibited factor Xa catalytic activity with IC<sub>50</sub> = 1.7 nM.

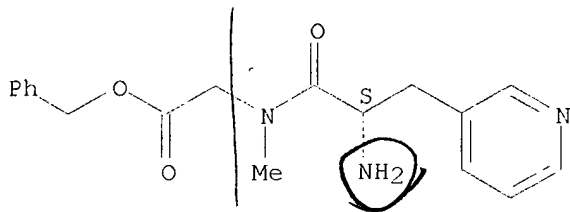
IT **180312-87-2P 180312-88-3P 180312-89-4P**  
**180312-93-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of peptide aldehydes as inhibitors of factor Xa)

RN 180312-87-2 CAPLUS

CN Glycine, N-methyl-N-[3-(3-pyridinyl)-L-alanyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



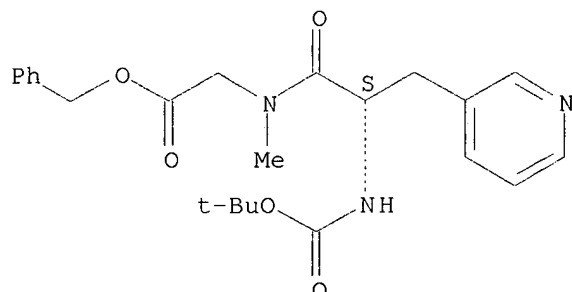
HCl

09/596,086

RN 180312-88-3 CAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

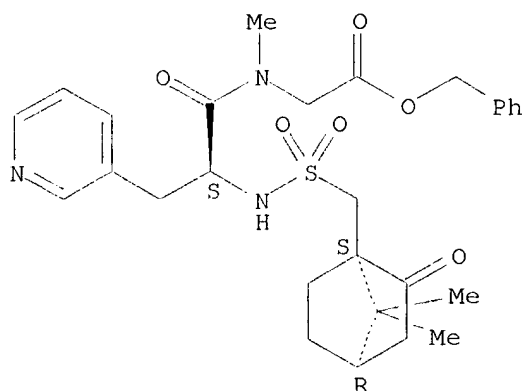
Absolute stereochemistry.



RN 180312-89-4 CAPLUS

CN Glycine, N-[[[(1S,4R)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

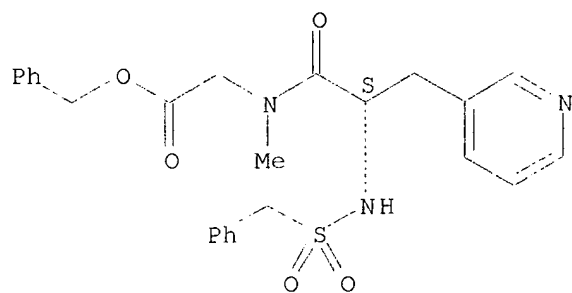
Absolute stereochemistry.



RN 180312-93-0 CAPLUS

CN Glycine, N-[(phenylmethyl)sulfonyl]-3-(3-pyridinyl)-L-alanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

09/596,086

~~L22~~ ANSWER 103 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AP~~ 1996:494731 CAPLUS

~~DN~~ 125:167974

TI Renin inhibiting N-(2-amino-2-oxoethyl)butanediamide derivatives

IN Lavall, Ee Pierre; Simoneau, Bruno

PA Bio-Mega/boehringer Ingelheim Research Inc., Can.

SO U.S., 22 pp. Cont.-in-part of U.S. Ser. No. 951,478, abandoned.

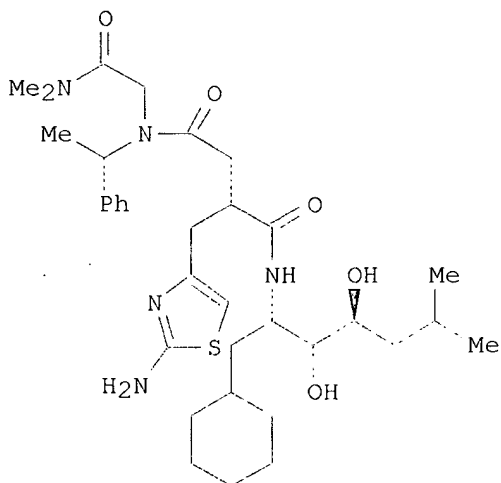
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5541163	A	19960730	US 1993-122280	19930917
	WO 9407846	A1	19940414	WO 1993-CA380	19930915
	W: AU, BG, BR, BY, CA, CZ, FI, HU, JP, KR, LV, NO, NZ, PL, RU, SK, UA				
	HU 70432	A2	19951030	HU 1995-871	19930915
	JP 08501567	T2	19960220	JP 1993-508542	19930915
	AU 680829	B2	19970814	AU 1993-49403	19930915
	CA 2143300	C	19980421	CA 1993-2143300	19930915
	PL 174454	B1	19980731	PL 1993-308180	19930915
	BR 9307110	A	19990330	BR 1993-7110	19930915
	LT 3072	B	19941125	LT 1993-1092	19930923
	AT 147720	E	19970215	AT 1993-115326	19930923
	IL 107092	A1	19970930	IL 1993-107092	19930923
	ZA 9307079	A	19940606	ZA 1993-7079	19930924
	CN 1090278	A	19940803	CN 1993-117983	19930925
	FI 9501398	A	19950324	FI 1995-1398	19950324
	NO 9501133	A	19950524	NO 1995-1133	19950324
	LV 10945	B	19960620	LV 1995-107	19950425
	US 5693619	A	19971202	US 1996-595327	19960201
PRAI	US 1992-951478		19920925		
	WO 1993-CA380		19930915		
	US 1993-122280		19930917		
OS	MARPAT 125:167974				
GI					



I

AB Disclosed herein are compds. of the formula: AN(R1)C(O)CH2CHR2C(O)B wherein A is R3R4NC(O)CH2 wherein, for example, R3 is hydrogen or alkyl and R4 is hydrogen, alkyl or a substituted alkyl such as 2-(2-pyridinyl)ethyl, or R3 and R4 together with the nitrogen atom to which they are attached form a pyrrolidino, piperidino, morpholino or thiomorpholino; R1 is, for example, benzyl, alkyl or a substituted alkyl such as cyclohexylmethyl; R2 is, for example, alkyl, cycloalkylmethyl, 1H-imidazol-4-ylmethyl, 4-thiazolylmethyl or (2-amino-4-thiazolyl)methyl; and B is a renin substrate transition state analog, for example, [1(S)-(cyclohexylmethyl)-2(R),3(S)-dihydroxy-5-methylhexyl]amino. The compds. inhibit renin activity and are indicated for the treatment of hypertension and congestive heart failure. Thus, e.g., protected amido acid 4-{{[1(S)-(cyclohexylmethyl)-2(R),3(S)-dihydroxy-5-methylhexyl]amino}-4-oxo-3(R)-{(2-[(2,2,2-trichloroethoxy)carbonylamino]-4-thiazolyl)methyl)butanoic acid tert-Bu ester (prepn. given via stereoselective alkylation of a chiral oxazolidinone) was treated with TFA-CH2Cl2; amide coupling of the residue with (S)-N,N-dimethyl-2-[(1-phenylethyl)amino]acetamide (prepn. given) followed by removal of the (2,2,2-trichloroethoxy)carbonyl protecting group afforded 86% of butanediamide I which exhibited inhibition of human renin with IC50 = 1.3 nM.

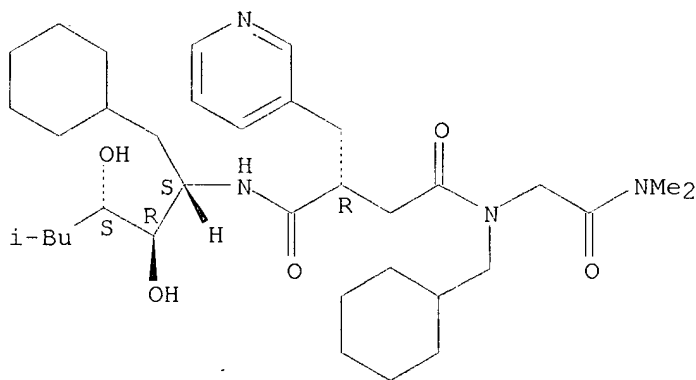
IT **160937-64-4P 160937-75-7P 160937-76-8P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(renin inhibiting N-(2-amino-2-oxoethyl)butanediamide derivs.)

RN 160937-64-4 CAPLUS

CN Butanediamide, N4-(cyclohexylmethyl)-N1-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-N4-[2-(dimethylamino)-2-oxoethyl]-2-(3-pyridinylmethyl)-, [1S-[1R\*(S\*),2S\*,3R\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160937-75-7 CAPLUS

CN Butanediamide, N1-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-N4-(cyclopentylmethyl)-N4-[2-(dimethylamino)-2-oxoethyl]-2-(3-pyridinylmethyl)-, [1S-[1R\*(S\*),2S\*,3R\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L22 ANSWER 104 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1996:494173 CAPLUS

DN 125:143330

TI Peptide compounds for prevention and/or treatment of nitric oxide (NO)-mediated diseases

IN Itoh, Yoshikuni; Iwamoto, Toshiro; Yatabe, Takumi; Hamashima, Hitoshi; Inoue, Takayuki; Hashimoto, Seiji; Oku, Teruo

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 739 pp.

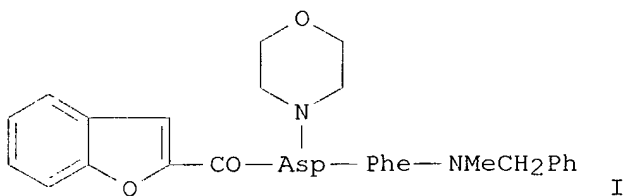
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9616981	A2	19960606	WO 1995-JP2428	19951129
	W: AU, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9539937	A1	19960619	AU 1995-39937	19951129
	EP 796270	A2	19970924	EP 1995-938602	19951129
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	ZA 9510201	A	19960625	ZA 1995-10201	19951130
	US 5932737	A	19990803	US 1997-849076	19970530
PRAI	GB 1994-24408		19941202		
	GB 1995-4891		19950310		
	GB 1995-10042		19950518		
	WO 1995-JP2428		19951129		
OS	MARPAT 125:143330				
GI					



AB Peptides WA1NR8CH(A2T)CONR9CH(A3R3)R4 [W = alkyl, (un)substituted aryl or fluorenyl, etc.; A1 = alkylene, NHCO, CO, CS, SO<sub>2</sub>; A2 = alkylene; T = H, aryl, heterocyclyl, OH, etc.; R8 = H, alkyl; R8 may link with A2T to form CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>-o (Q); A3 = bond, alkylene; R3 = H, aryl, OH, etc.; R9 = H, alkyl or may link with A3R3 to form Q; R4 = CO<sub>2</sub>H, protected carboxy, carboxamido, etc. or CH(A3R3)R4 = N-alkyl-2-oxoquinoline moiety] or their pharmaceutically acceptable salts were prepd. for use as medicaments. Thus, dipeptide I was prepd. by acylation of aspartylphenylalaninamide deriv. with 2-benzofurancarboxylic acid. I and six other peptides showed 100% inhibition of NO prodn. in tests of murine macrophage cells.

IT **179875-72-0P 179876-17-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of peptides for prevention and/or treatment of nitric oxide-mediated diseases)

RN 179875-72-0 CAPLUS

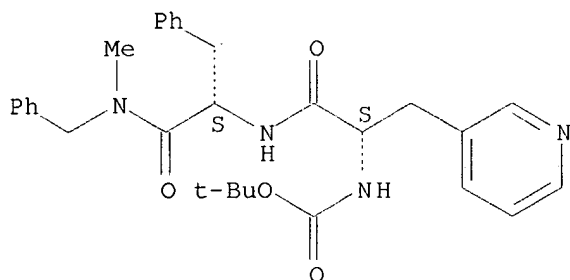
CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-L-



09/596,086

alanyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

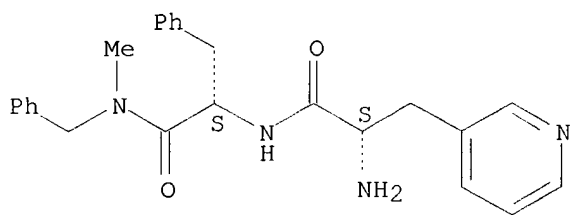
Absolute stereochemistry.



RN 179876-17-6 CAPLUS

CN L-Phenylalaninamide, 3-(3-pyridinyl)-L-alanyl-N-methyl-N-(phenylmethyl)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



122 ANSWER 105 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1996:476652 CAPLUS

DN 125:142578

TI Pyridopyrimidones, quinolines and fused N-heterocycles as bradykinin antagonists.

IN Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 263 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9613485	A1	19960509	WO 1995-JP2192	19951025
	W: AU, CA, CN, HU, JP, KR, MX, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2203659	AA	19960509	CA 1995-2203659	19951025
	AU 9537536	A1	19960523	AU 1995-37536	19951025
	AU 705883	B2	19990603		
	EP 807105	A1	19971119	EP 1995-935563	19951025
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	CN 1168667	A	19971224	CN 1995-196602	19951025
	JP 10507764	T2	19980728	JP 1995-514166	19951025
	US 5994368	A	19991130	US 1997-809416	19970425
PRAI	GB 1994-21684		19941027		
	GB 1995-12339		19950616		
	WO 1995-JP2192		19951025		
OS	MARPAT 125:142578				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aroyl, carbamoyl, -(AA)COQR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group], and pharmaceutically acceptable salts thereof, processes for their prepn., pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-methylquinoline with (E)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [prepn. given] using EDC and HOBT in DMF gave title compd. II. The similarly prepd. title compd. III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10<sup>-6</sup> M.

IT 177478-44-3P 177478-45-4P 177478-46-5P

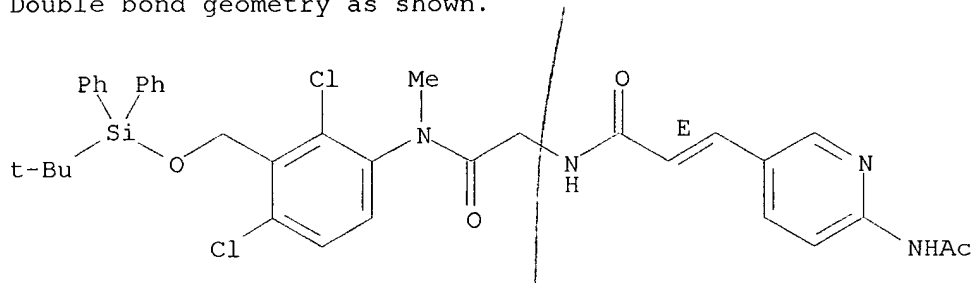
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (intermediate; prepn. of pyridopyrimidones, quinolines, and fused

N-heterocycles as bradykinin antagonists)

RN 177478-44-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

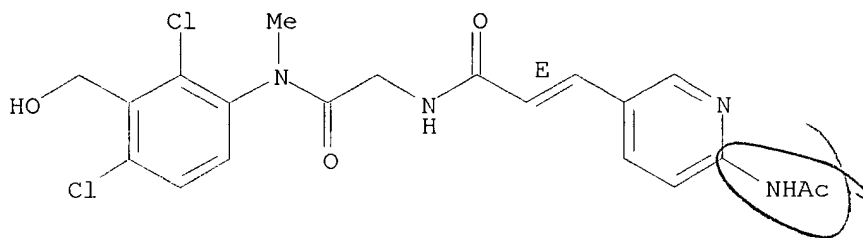
Double bond geometry as shown.



RN 177478-45-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-(hydroxymethyl)phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

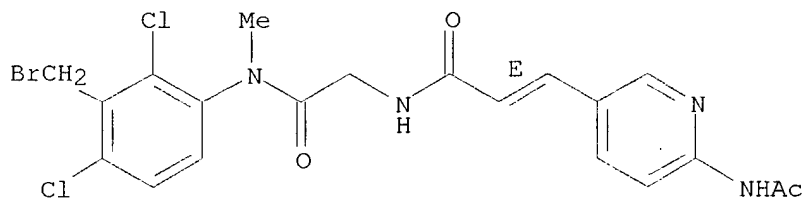
Double bond geometry as shown.



RN 177478-46-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(bromomethyl)-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



~~122~~ ANSWER 106 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1996:466915 CAPLUS

~~DN~~ 125:143315

TI Boronic ester and acid compounds, synthesis and uses

IN Adams, Julian; Ma, Yu-Ting; Stein, Ross; Baevsky, Matthew; Grenier, Louis; Plamondon, Louis

PA Proscript, Inc., USA

SO PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9613266	A1	19960509	WO 1995-US14117	19951027
	W:	AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	US 6083903	A	20000704	US 1995-442581	19950516
	AU 9641398	A1	19960523	AU 1996-41398	19951027
	AU 710564	B2	19990923		
	EP 788360	A1	19970813	EP 1995-939670	19951027
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
	JP 10510245	T2	19981006	JP 1995-514834	19951027
	FI 9701746	A	19970606	FI 1997-1746	19970423
	NO 9701929	A	19970612	NO 1997-1929	19970425
PRAI	US 1994-330525	A	19941028		
	US 1995-442581	A	19950516		
	WO 1995-US14117	W	19951027		

OS MARPAT 125:143315

AB Peptidyl boronic acids and esters PNR[B1R1X1]ACHR2X2CHR3BZ1Z2 [P = aryl-, aralkyl-, heteroaryl-, or heteroarylalkylcarbonyl or -sulfonyl; B1 = N, CH; X1, X2 = CONH, CH(OH)CH2, COCH2; A = 0, 1, 2; R = H, alkyl; RR1 or RR2 (for A = 0) may form a ring; R1, R2, R3 = H, alkyl, cycloalkyl, aryl, etc.; Z1, Z2 = alkyl, hydroxy, alkoxy, aryloxy; Z1Z2 may form a moiety derived from a dihydroxy compd.] and their pharmaceutically acceptable salts were prepd. The rate of degrdn. of proteins of an animal can be reduced by contacting cells of the animal with these boronic compds. Thus, N-(4-morpholinecarbonyl)-.beta.-(1-naphthyl)-L-alanine-L-leucine boronic acid was prepd. by coupling (1S,2S,3R,5S)-pinanediol leucine boronate trifluoroacetate salt with N-Boc-.beta.-(1-naphthyl)-L-alanine, followed by deprotection, acylation with 4-morpholinecarbonyl chloride, and cleavage of the pinanediol moiety.

IT **179324-34-6 179324-35-7 179324-37-9**

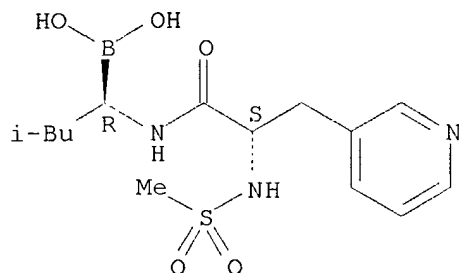
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(synthesis of peptidyl boronic acids and esters as proteolytic enzyme inhibitors)

RN 179324-34-6 CAPLUS

CN Boronic acid, [(1R)-3-methyl-1-[[[(2S)-2-[(methylsulfonyl)amino]-1-oxo-3-(3-pyridinyl)propyl]amino]butyl]- (9CI) (CA INDEX NAME)

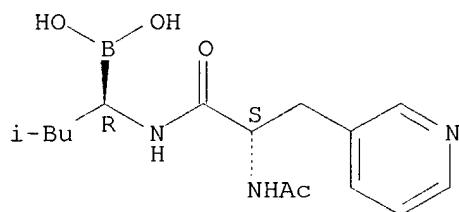
Absolute stereochemistry.



RN 179324-35-7 CAPLUS

CN Boronic acid, [(1R)-1-[[ (2S)-2-(acetylamino)-1-oxo-3-(3-pyridinyl)propyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)

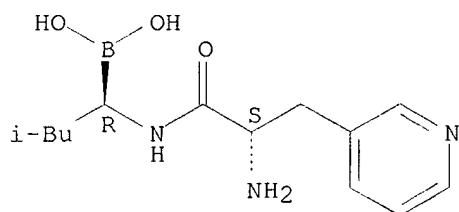
Absolute stereochemistry.



RN 179324-37-9 CAPLUS

CN Boronic acid, [(1R)-1-[[ (2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

~~122~~ ANSWER 107 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~APP~~ 1996:446515 CAPLUS

~~DN~~ 125:115149

TI Peptidyl compounds and their therapeutic use as inhibitors of metalloproteases

IN Montana, John; Baxter, Andrew Douglas; Owen, David Alan; Watson, Robert John; Phillipson, Neil

PA Chiroscience Limited, UK

SO PCT Int. Appl., 75 pp.

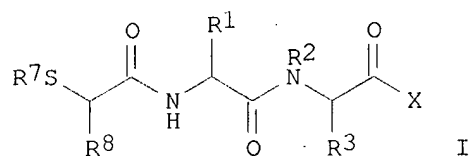
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9611209	A1	19960418	WO 1995-GB2362	19951005
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9536127	A1	19960502	AU 1995-36127	19951005
	AU 695796	B2	19980820		
	ZA 9508396	A	19961007	ZA 1995-8396	19951005
	EP 784629	A1	19970723	EP 1995-933489	19951005
	EP 784629	B1	19990428		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	BR 9509237	A	19971021	BR 1995-9237	19951005
	HU 77282	A2	19980330	HU 1997-2222	19951005
	JP 10507170	T2	19980714	JP 1995-512416	19951005
	CN 1193978	A	19980923	CN 1995-195544	19951005
	AT 179431	E	19990515	AT 1995-933489	19951005
	ES 2133807	T3	19990916	ES 1995-933489	19951005
	FI 9701412	A	19970404	FI 1997-1412	19970404
	NO 9701537	A	19970604	NO 1997-1537	19970404
PRAI	GB 1994-20057	A	19941005		
	GB 1995-4907	A	19950310		
	GB 1995-9431	A	19950510		
	WO 1995-GB2362	W	19951005		
OS	MARPAT 125:115149				
GI					



AB Title compds. I [R1 = alkyl, alkenyl, (hetero)aralkyl, (hetero)aryl, etc.; R2 = H, alkyl; R3 = various substituents optionally linked via alkyl or alkenyl bridge; X = NR4R5; R4 = H or (un)substituted alkyl; R5 = H, alkyl; or NR4R5 = pyrrolidino, piperidino, morpholino, etc.; R7 = H, acyl; R8 =

substituted aryl, (un)substituted heteroaryl, etc.] and their salts, solvates, and hydrates are claimed. The compds. have utility as inhibitors of matrix metalloproteinases and TNF.alpha. (no data), and are useful for treatment of certain degenerative diseases and cancers. For example, reaction of 2,3-dibromopropionic acid with thiolacetic acid in aq. KOH gave AcSCH<sub>2</sub>CH(SAc)CO<sub>2</sub>H, which was coupled with H-Leu-Phe-NHMe using EDC and HOBT in THF to give title compd. AcSCH<sub>2</sub>CH(SAc)CO-Leu-Phe-NHMe. Examples include prepn. of approx. 80 I and 125 precursors. A variety of specific I are also claimed.

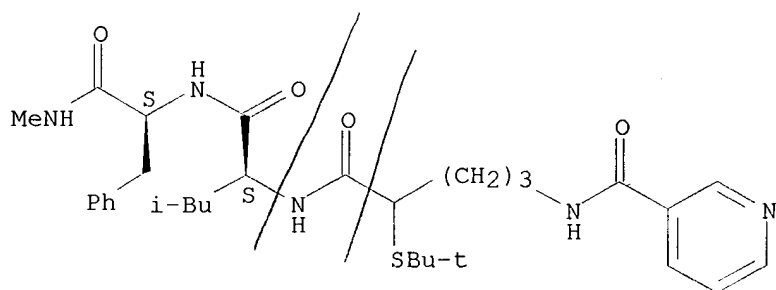
IT **178932-50-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(intermediate; prepn. of mercaptoalkanoyl peptides and derivs. as  
inhibitors of metalloproteases and TNF.alpha.)

RN 178932-50-8 CAPLUS

CN L-Phenylalaninamide, N-[2-[(1,1-dimethylethyl)thio]-1-oxo-5-[(3-pyridinylcarbonyl)amino]pentyl]-L-leucyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



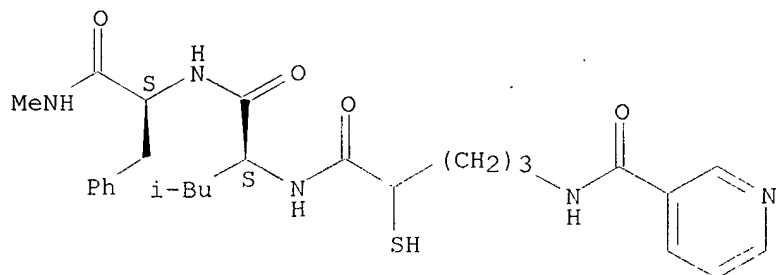
IT **178933-48-7P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of mercaptoalkanoyl peptides and derivs. as inhibitors of  
metalloproteases and TNF.alpha.)

RN 178933-48-7 CAPLUS

CN L-Phenylalaninamide, N-[2-mercapto-1-oxo-5-[(3-pyridinylcarbonyl)amino]pentyl]-L-leucyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

~~L22~~ ANSWER 108 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~IN~~ 1996:392106 CAPLUS

~~DN~~ 125:142714

~~TI~~ N-(Hydroxyethyl)butanediamide derivatives as renin inhibitors useful for the treatment of hypertension and congestive heart failure

IN Anderson, Paul C.; Halmos, Teddy; Jung, Grace L.; Poupart, Marc-Andre; Simoneau, Bruno

PA Bio-Mega/Boehringer Ingelheim Research Inc., Can.

SO U.S., 18 pp. Cont.-in-part of U.S. Ser. No. 951, 250, abandoned.  
CODEN: USXXAM

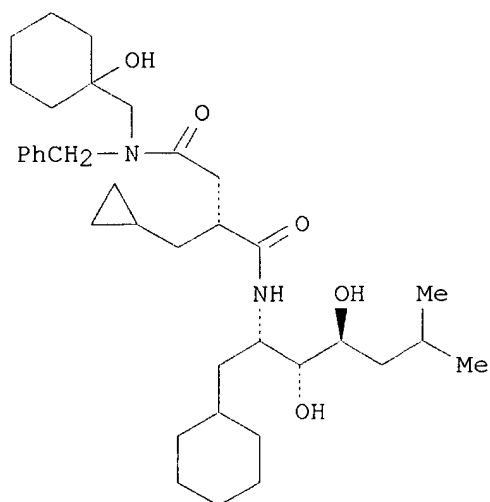
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5523315	A	19960604	US 1993-123954	19930920
	WO 9407845	A1	19940414	WO 1993-CA379	19930915
	W: AU, BG, BR, BY, CA, CZ, FI, HU, JP, KR, LV, NO, NZ, PL, RU, SK, UA				
	HU 70402	A2	19951030	HU 1995-872	19930915
	JP 08501566	T2	19960220	JP 1993-508541	19930915
	AU 677602	B2	19970501	AU 1993-49402	19930915
	CA 2143301	C	19980421	CA 1993-2143301	19930915
	PL 174487	B1	19980831	PL 1993-308179	19930915
	BR 9307111	A	19990615	BR 1993-7111	19930915
	LT 3073	B	19941125	LT 1993-10	19930923
	AT 157646	E	19970915	AT 1993-115327	19930923
	IL 107093	A1	19970930	IL 1993-107093	19930923
	ZA 9307080	A	19941024	ZA 1993-7080	19930924
	CN 1087625	A	19940608	CN 1993-117984	19930925
	NO 9501134	A	19950324	NO 1995-1134	19950324
	FI 9501397	A	19950324	FI 1995-1397	19950324
	LV 10944	B	19960620	LV 1995-108	19950425
	US 5554634	A	19960910	US 1995-432503	19950501
	US 5565476	A	19961015	US 1995-432409	19950501
PRAI	US 1992-951250		19920925		
	WO 1993-CA379		19930915		
	US 1993-123954		19930920		
OS	MARPAT 125:142714				
GI					





I

AB Disclosed herein are compds. of the formula: AN(R<sub>1</sub>)C(O)CH<sub>2</sub>CHR<sub>2</sub>C(O)B wherein A is various oxygen-bearing radicals; for example, HOCH(R<sub>3</sub>)CH<sub>2</sub> wherein R<sub>3</sub> is, inter alia, hydrogen, lower alkyl, lower cycloalkyl or phenyl; or HOCHR<sub>5</sub>R<sub>6</sub>CH<sub>2</sub> wherein each of R<sub>5</sub> and R<sub>6</sub> is lower alkyl, or R<sub>5</sub> and R<sub>6</sub> together with the carbon atom to which they are attached form a 1,1-(lower cycloalkanediyl); R<sub>1</sub> is, for example, benzyl, alkyl or a substituted alkyl such as cyclohexylmethyl; R<sub>2</sub> is, for example, cycloalkylmethyl, 1H-imidazol-4-ylmethyl, 4-thiazolylmethyl or (2-amino-4-thiazolyl)methyl; and B is a renin substrate transition state mimic, for example, [1(S)-(cyclohexylmethyl)-2(R),3(S)-dihydroxy-5-methylhexyl]amino. The compds. inhibit renin activity and are indicated for the treatment of hypertension and congestive heart failure. Thus, e.g., amide coupling of 1-([(phenylmethyl)amino]methyl)cyclohexanol (the ANR<sub>1</sub> moiety) with 3(R)-(cyclopropylmethyl)-4-{[1(S)-(cyclohexylmethyl)-2(R),3(S)-dihydroxy-5-methylhexyl]amino}-4-oxobutanoic acid (the COCH<sub>2</sub>CHR<sub>2</sub>COB moiety) (both preps. given) afforded 39% of N<sub>4</sub>-benzyl-N<sub>4</sub>-[(1-hydroxycyclohexyl)methyl]-N<sub>1</sub>-[1(S)-(cyclohexylmethyl)-2(R),3(S)-dihydroxy-5-methylhexyl]-2(R)-(cyclopropylmethyl)butanediamide (I) which exhibited inhibition of human renin with IC<sub>50</sub> = 36 nM. N<sub>4</sub>-[(1-hydroxycyclooctyl)methyl]-N<sub>4</sub>-[2-(dimethylamino)-2-oxoethyl]-N<sub>1</sub>-[1(S)-(cyclohexylmethyl)-2(R),3(S)-dihydroxy-5-methylhexyl]-2(R)-[(2-amino-4-thiazolyl)methyl]butanediamide was similarly prepd. and exhibited IC<sub>50</sub> = 1 nM. Safety: authors advise conducting the reaction of isobutylene oxide with (cyclohexylmethyl)amine in presence of lithium perchlorate behind a safety shield on a moderate scale.

IT **160446-81-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

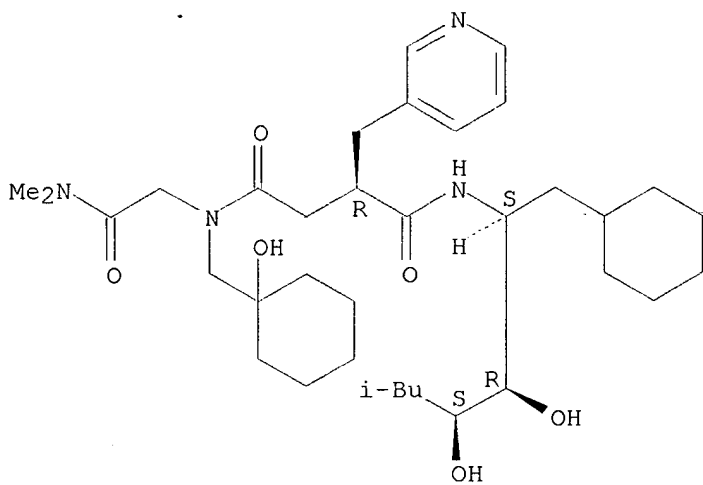
(N-(hydroxyethyl)butanediamide derivs. as renin inhibitors useful for the treatment of hypertension and congestive heart failure)

RN 160446-81-1 CAPLUS

CN Butanediamide, N<sub>1</sub>-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-N<sub>4</sub>-[2-(dimethylamino)-2-oxoethyl]-N<sub>4</sub>-[(1-hydroxycyclohexyl)methyl]-2-(3-pyridinylmethyl)-, [1S-[1R\*(S\*),2S\*,3R\*]]- (9CI) (CA INDEX NAME)

09/596,086

Absolute stereochemistry.



09/596,086

L22-ANSWER 109 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1996:345794 CAPLUS

DN 125:33636

TI Preparation of benzimidazoles and analogs as bradykinin antagonists

IN Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 220 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9604251	A1	19960215	WO 1995-JP1478	19950725
	W: AU, CA, CN, HU, JP, KR, MX, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9529915	A1	19960304	AU 1995-29915	19950725
	EP 774462	A1	19970521	EP 1995-926025	19950725
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 6083961	A	20000704	US 1997-776518	19970203
	US 6194396	B1	20010227	US 1999-425207	19991022
PRAI	JP 1994-182541	A	19940803		
	JP 1995-57427	A	19950316		
	WO 1995-JP1478	W	19950725		

OS MARPAT 125:33636

GI For diagram(s), see printed CA Issue.

AB The title compds. I [Q = Q1, etc.; X represents O, S or NR5; R1 represents lower alkyl, etc; R5 represents hydrogen, lower alkyl, etc.; R2 represents hydrogen, halogen, lower alkyl, etc.; R3 represents halogen, lower alkyl, etc.; R4 represents amino which may appropriately be substituted; and A represents lower alkylene] are prepd. 4-[2,6-Dichloro-3-[N-methyl-N-[4-(methylcarbamoyl)cinnaomylglycyl]amino]benzyloxy]-1,2-dimethyl-1H-benzimidazole (prepn. given) in vitro at  $1 \times 10^{-5}$  M gave 99% inhibition of 3H-bradykinin binding to homogenized guinea pig ileum membranes.

IT 174298-73-8P 174298-74-9P 174298-75-0P

177477-94-0P 177477-95-1P 177478-44-3P

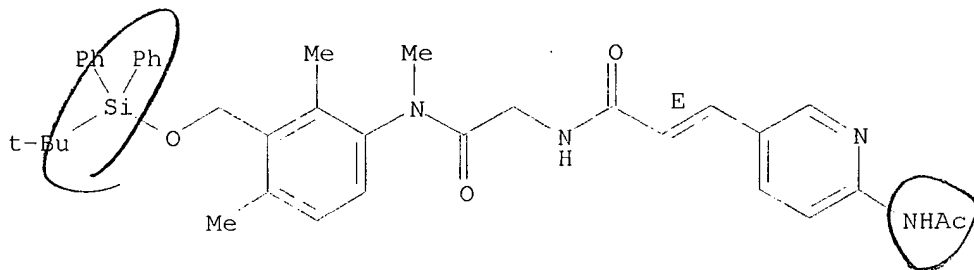
177478-45-4P 177478-46-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of benzimidazoles and analogs as bradykinin antagonists)

RN 174298-73-8 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



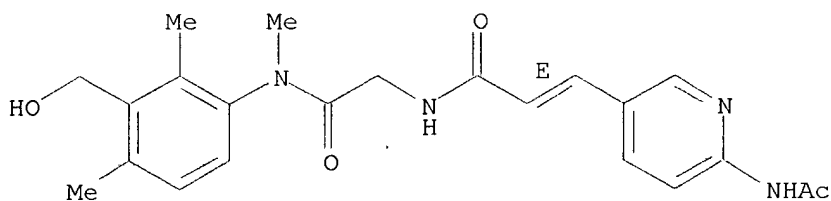
RN 174298-74-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(hydroxymethyl)-

09/596,086

2,4-dimethylphenyl)methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

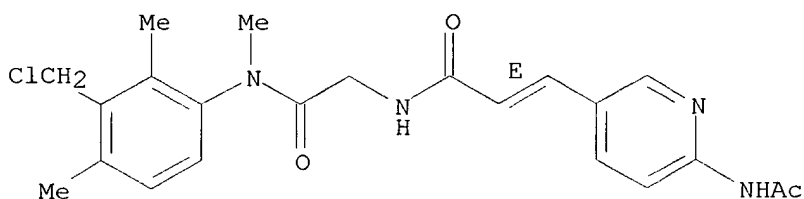
Double bond geometry as shown.



RN 174298-75-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(chloromethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

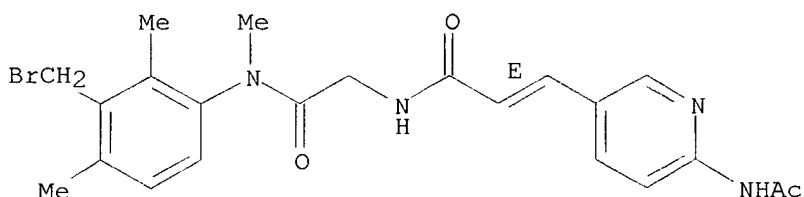
Double bond geometry as shown.



RN 177477-94-0 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(bromomethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

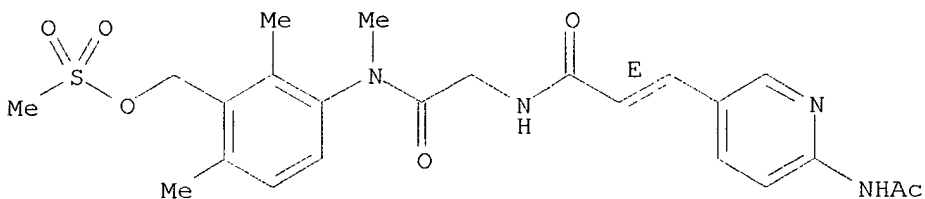
Double bond geometry as shown.



RN 177477-95-1 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[2,4-dimethyl-3-[[ (methylsulfonyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

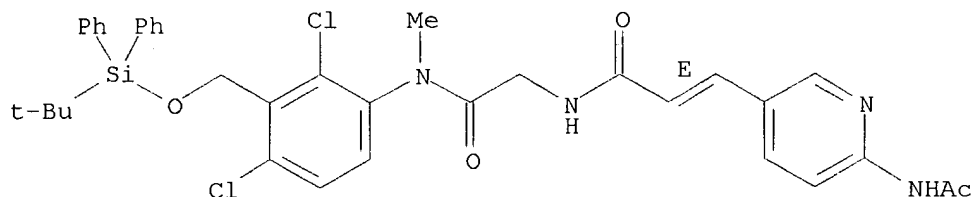
Double bond geometry as shown.



RN 177478-44-3 CAPLUS

CN 2-Propenamide, 3-[6-(acetilamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

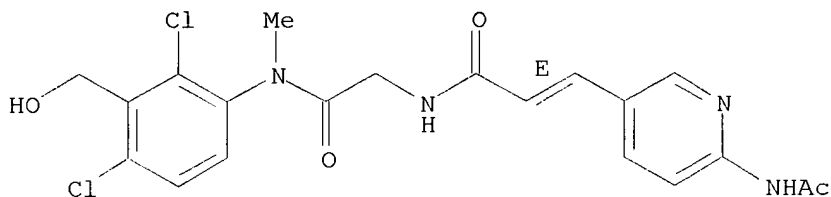
Double bond geometry as shown.



RN 177478-45-4 CAPLUS

CN 2-Propenamide, 3-[6-(acetilamino)-3-pyridinyl]-N-[2-[[2,4-dichloro-3-(hydroxymethyl)phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

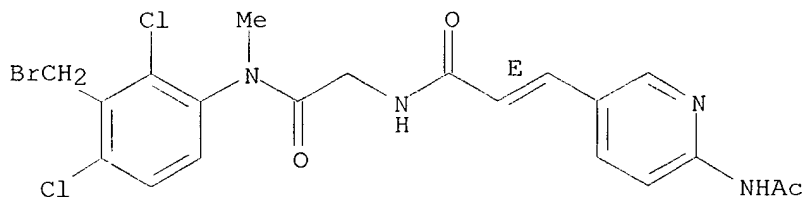
Double bond geometry as shown.



RN 177478-46-5 CAPLUS

CN 2-Propenamide, 3-[6-(acetilamino)-3-pyridinyl]-N-[2-[[3-(bromomethyl)-2,4-dichlorophenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



~~122~~ ANSWER 110 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1996:213862 CAPLUS

~~DN~~ 124:306530

TI Synthesis and receptor-binding affinity of dipeptoid cholecystokinin ligands

AU Araldi, G.; Donati, D.; Oliosi, B.; Pasquarello, A.; Polinelli, S.; Tarzia, G.; Ursini, A.; van Amsterdam, F. T. M.

CS Glaxo SpA, Verona, 37135, Italy

SO Eur. J. Med. Chem. (1996), 31(3), 215-20

CODEN: EJMCA5; ISSN: 0223-5234

DT Journal

LA English

AB This paper describes the synthesis of methyloxoadamantylloxycarbonylamino propylamino phenylethylaminooxobutanoic acid derivs., which are structurally related to PD134308 and in which the indole moiety is replaced by other arom. groups. Cholecystokinin-A and -B (CCK-A and CCK-B) receptor binding affinities of these analogs are described and the contribution of the various rings is discussed. Several of the compds. prepd. have CCK-B receptor binding values similar to that reported for PD134308 and are highly selective over the CCK-A receptor. They represent potential therapeutic agents for anxiety.

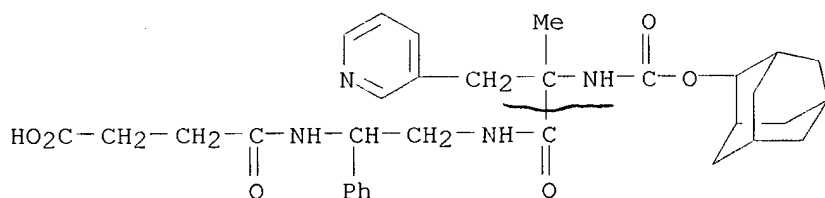
IT **176222-66-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and receptor-binding affinity of dipeptoid cholecystokinin ligands)

RN 176222-66-5 CAPLUS

CN Butanoic acid, 4-[[[2-[[2-methyl-1-oxo-3-(3-pyridinyl)-2-[[[(tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl oxy) carbonyl] amino] propyl] amino]-1-phenylethyl] amino]-4-oxo- (9CI) (CA INDEX NAME)



IT **176222-58-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

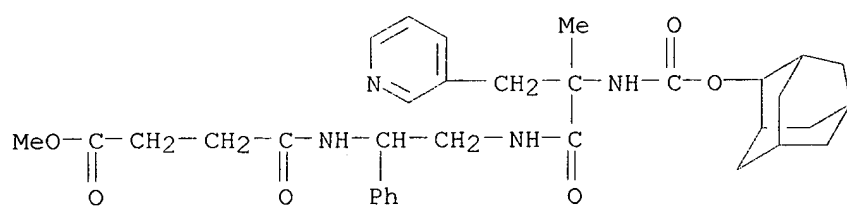
(prepn. and receptor-binding affinity of dipeptoid cholecystokinin

ligands)

RN 176222-58-5 CAPLUS

CN 13-Oxa-2,5,8-triazatetradecanoic acid, 3-methyl-4,9,12-trioxo-7-phenyl-3-(3-pyridinylmethyl)-, tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl ester (9CI) (CA INDEX NAME)

09/596,086



09/596,086

~~I22~~ ANSWER 111 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1996:198455 CAPLUS

~~DN~~ 124:344072

TI Stereoselective synthesis of a pyridoxamine coenzyme-amino acid chimera:  
assembly of a polypeptide incorporating the pyridoxamine moiety

AU Roy, Ranabir Sinha; Imperiali, Barbara

CS Div. Chem. Chem. Eng., California Inst. Technol., Pasadena, CA, 91125, USA

SO Tetrahedron Lett. (1996), 37(13), 2129-32

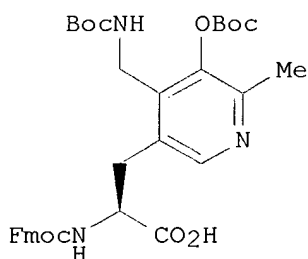
CODEN: TELEAY; ISSN: 0040-4039

DT Journal

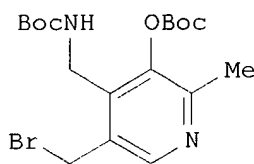
LA English

OS CASREACT 124:344072

GI



I



II

AB The stereoselective synthesis of protected pyridoxamine-derived amino acid I (Fmoc = 9-fluorenylmethoxycarbonyl, Boc = Me<sub>3</sub>CO<sub>2</sub>C) was accomplished from pyridoxamine dihydrochloride. A key step is the stereoselective alkylation of (-)-pseudoephedrine glycinamide with the pyridoxamine bromide II. Amenability of the amino acid I to solid phase methodol. was demonstrated by its incorporation into a synthetic hexapeptide. Crit. to the orthogonal protection of the pyridoxamine moiety is the in situ acetylation of the C3-hydroxyl group during peptide assembly.

IT **176754-77-1P 176754-78-2P**

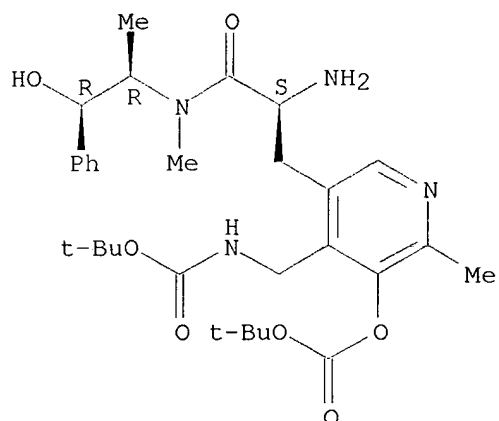
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(stereoselective synthesis of a pyridoxamine coenzyme-amino acid  
conjugate and its peptide incorporation)

RN 176754-77-1 CAPLUS

CN Carbonic acid, [5-[2-amino-3-[(2-hydroxy-1-methyl-2-phenylethyl)methylamino]-3-oxopropyl]-4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-methyl-3-pyridinyl] 1,1-dimethylethyl ester, [1R-[1R\*(S\*),2R\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

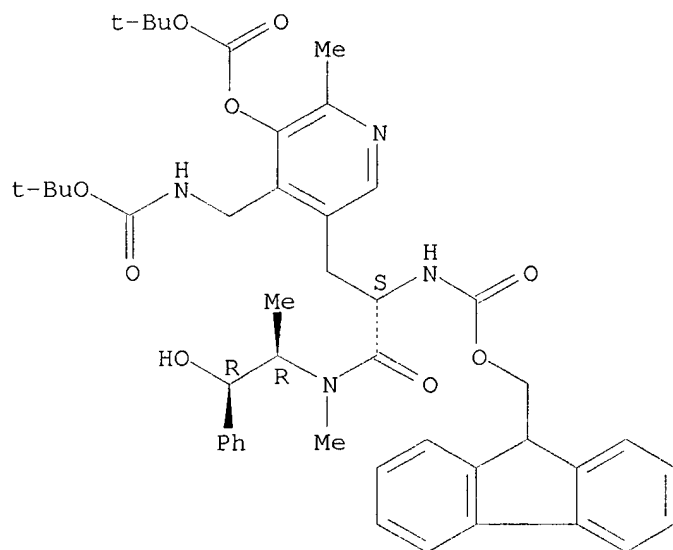




RN 176754-78-2 CAPLUS

CN Carbonic acid, [4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-5-[2-[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-[(2-hydroxy-1-methyl-2-phenylethyl)methylamino]-3-oxopropyl]-2-methyl-3-pyridinyl] 1,1-dimethylethyl ester, [1R-[1R\*(S\*),2R\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L22 ANSWER 112 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1996:190210 CAPLUS

DN 124:306504

TI Optimization of retro-thiorphan for inhibition of endothelin converting enzyme

AU Kukkola, Paivi J.; Bilci, Natalie A.; Kozak, W. X.; Savage, Paula; Jeng, Arco Y.

CS Pharmaceuticals Division, CIBA-GEIGY Corporation, Summit, NJ, 07901, USA

SO Bioorg. Med. Chem. Lett. (1996), 6(6), 619-24

CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

AB The structural requirements of retro-thiorphan, (N-[1R,S-benzyl-2-mercaptoethyl]malonamic acid) analogs for the inhibition of endothelin converting enzyme (ECE) were investigated. Although based on a single amino acid residue, N-[1R-(1H-indol-3-ylmethyl)-2-mercaptoethyl]-2-phenylacetamide was two times more potent than the widely utilized ref. inhibitor phosphoramidon.

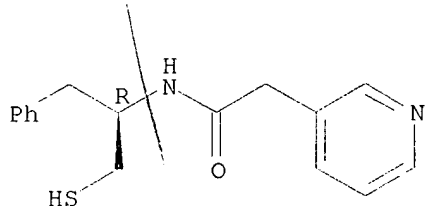
IT 176253-11-5 176253-12-6

RL: BAC (Biological activity or effector, except adverse); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (optimization of retro-thiorphan derivs. for inhibition of endothelin converting enzyme)

RN 176253-11-5 CAPLUS

CN 3-Pyridineacetamide, N-[1-(mercaptomethyl)-2-phenylethyl]-, (R)- (9CI)  
(CA INDEX NAME)

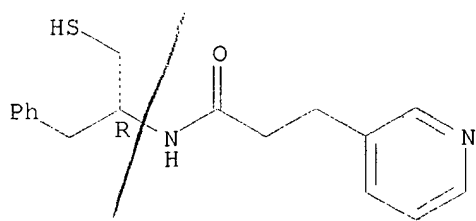
Absolute stereochemistry.



RN 176253-12-6 CAPLUS

CN 3-Pyridinepropanamide, N-[1-(mercaptomethyl)-2-phenylethyl]-, (R)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



09/596,086

192 ANSWER 113 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1996:153398 CAPLUS

DN 124:202256

TI Preparation of 8-(phenylalkoxy)imidazo[1,2a]pyridine derivatives as bradykinin antagonists

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07300477	A2	19951114	JP 1995-93663	19950419
	US 5574042	A	19961112	US 1995-441786	19950516
	US 5750699	A	19980512	US 1996-662198	19960612
PRAI	US 1994-235632		19940429		
	GB 1992-22947		19921102		
	GB 1993-4249		19930303		
	US 1993-142967		19931029		
	US 1995-441786		19950516		
OS	MARPAT 124:202256				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = halo; R2 = alkyl; R3 = H, halo, alkyl; R4 = halo, alkyl; R5 = H, alkyl; R6 = amino acid residue substituted by a substituent selected from heterocyclic alkylcarbamoylealkenoyl, alkenoylcarbamoylealkenoyl, alkenol, alkylcarbamoylealkenoyl, alkylcarbamoyle(alkylcarbamoyle)alkenoyl, alkenoylaminoalkenoyl, cyclic carbonylaminoalkenoyl, (un)substituted alkanoylaminoalkenoyl, alkylcarbamoylealkenoyl, alkanoylaminoalkenoyl, heterocyclic alkanoylaminoalkenoyl], which are useful for the treatment of allergy, inflammation, autoimmune disease, shock, and pain, are prepd. Thus, 3-bromo-8-[3-[N-(4-carboxycinnamoylglycyl)-N-methylamino]-2,6-dichlorobenzyloxy]-2-methylimidazo[1,2-a]pyridine was dissolved in DMF, followed by adding (2-pyridylmethyl)amine 19.2, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride 35.6, and 1-hydroxybenzotriazole 27.2 mg under ice-cooling, and the resulting mixt. was stirred at room temp. for 18 h to give the title compd. (II). I in vitro inhibited the binding of [3H]bradykinin to homogenized guinea pig ileum membrane by 50% at 1 .times. 10<sup>-5</sup> M.

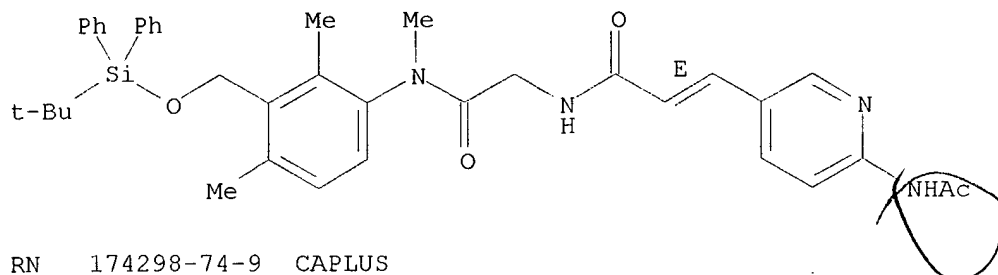
IT 174298-73-8P 174298-74-9P 174298-75-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of (phenylalkoxy)imidazopyridine derivs. as bradykinin antagonists for treating allergy, inflammation, autoimmune disease, shock, and pain)

RN 174298-73-8 CAPLUS

CN 2-Propenamamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

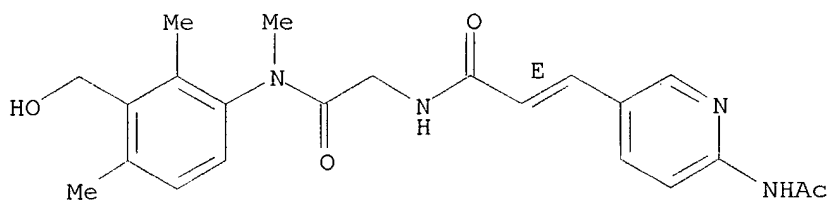
Double bond geometry as shown.



RN 174298-74-9 CAPLUS

CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(hydroxymethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

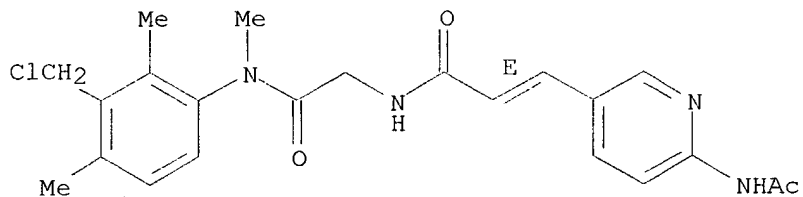
Double bond geometry as shown.



RN 174298-75-0 CAPLUS

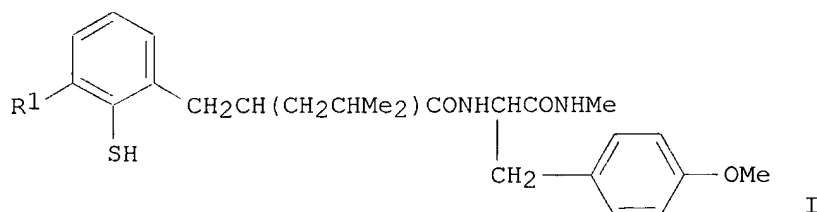
CN 2-Propenamide, 3-[6-(acetylamino)-3-pyridinyl]-N-[2-[[3-(chloromethyl)-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



09/596,086

~~122~~ ANSWER 114 OF 193 CAPLUS COPYRIGHT 2002 ACS  
AN 1996:10648 CAPLUS  
DN 124:202985  
TI Synthesis of thiophenol derivatives as inhibitors of human collagenase  
AU Hughes, Ian; Harper, Gregory P.; Karran, Eric H.; Markwell, Roger E.;  
Miles-Williams, Anette J.  
CS Discovery Research, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AW,  
UK  
SO Bioorg. Med. Chem. Lett. (1995), 5(24), 3039-42  
CODEN: BMCLE8; ISSN: 0960-894X  
DT Journal  
LA English  
GI

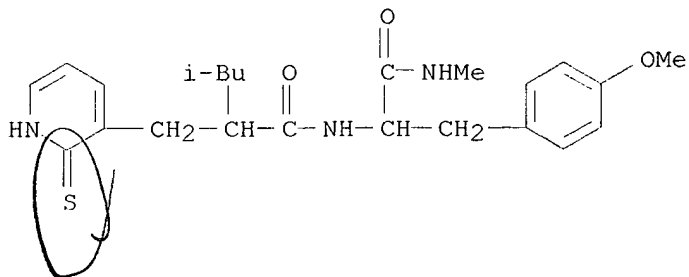


AB A series of peptidomimetic thiophenol derivs., e.g., I (R = H, MeO), has been prepd. and evaluated in vitro as inhibitors of human fibroblast collagenase. Many of these compds. have IC50 values in the submicromolar range.

IT **174145-94-9P**  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and collagenase inhibitory activity of)

RN 174145-94-9 CAPLUS

CN 3-Pyridinepropanamide, 1,2-dihydro-N-[1-[ (4-methoxyphenyl)methyl]-2-(methylamino)-2-oxoethyl]-.alpha.-(2-methylpropyl)-2-thioxo- (9CI) (CA INDEX NAME)



09/596,086

~~122~~ ANSWER 115 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1995:998129 CAPLUS

DN 124:146872

TI Preparation of phosphonyldipeptides useful in the treatment of cardiovascular diseases.

IN Norcini, Gabriele; Morazzoni, Gabriele; Santangelo, Francesco

PA Zambon Group S.P.A., Italy

SO PCT Int. Appl., 50 pp.

CODEN: PIXXD2

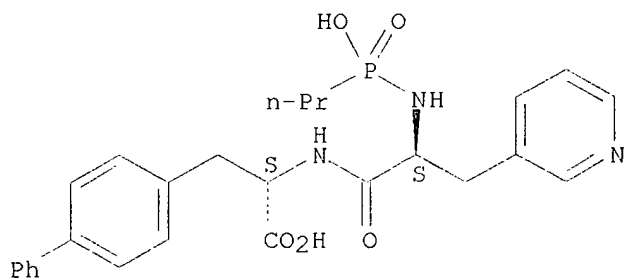
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9528417	A1	19951026	WO 1995-EP1322	19950411
	W: AU, CA, CZ, FI, HU, JP, KR, LT, MX, NZ, SI, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9523058	A1	19951110	AU 1995-23058	19950411
	EP 755405	A1	19970129	EP 1995-916625	19950411
	EP 755405	B1	19990825		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 183750	E	19990915	AT 1995-916625	19950411
	ES 2136848	T3	19991201	ES 1995-916625	19950411
	US 5760285	A	19980602	US 1996-702701	19960913
PRAI	IT 1994-MI696		19940414		
	WO 1995-EP1322		19950411		
OS	MARPAT 124:146872				
AB	R3P(O)(OH)NR1CHR2CONHCH(CH2R)CO2H (R = biphenyl optionally substituted by .gtoreq.1 of halo, OH, alkoxy, alkyl, thioalkyl, carboxylate, NO2, amino, mono- or di-alkylamino; R1 = H, alkyl; R2 = alkyl, arylalkyl; aryl = Ph, naphthyl, 5-6 membered arom. heterocyclyl; R3 = alkyl optionally substituted by .gtoreq.1 F atoms, arylalkyl), were prepd. Thus, N-(propylphosphonyl)leucyl-(biphenyl-4-yl)alanine dilithium salt (soln. phase prepn. given) inhibited neutral endopeptidase and angiotensin converting enzyme with IC50 = 3 nM and 5.8 nM, resp.				
IT	<b>173407-77-7P 173407-98-2P</b> RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of phosphonyldipeptides useful in the treatment of cardiovascular diseases)				
RN	173407-77-7 CAPLUS				
CN	L-Alanine, 3-[1,1'-biphenyl]-4-yl-N-[N-(hydroxypropylphosphinyl)-3-(3-pyridinyl)-L-alanyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

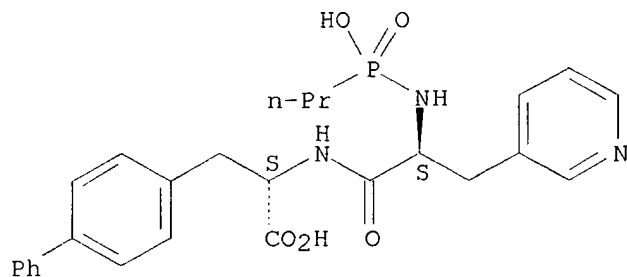


09/596,086

RN 173407-98-2 CAPLUS

CN L-Alanine, 3-[1,1'-biphenyl]-4-yl-N-[N-(hydroxypropylphosphinyl)-3-(3-pyridinyl)-L-alanyl]-, dilithium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 Li

~~122~~ ANSWER 116 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1995:931484 CAPLUS

~~DN~~ 123:330021

TI Inhibitors of the 26S proteolytic complex and the 20S proteasome contained therein for reducing the rate of loss of muscle mass

IN Stein, Ross L.; Ma, Yu-Ting; Brand, Steven

PA Myogenics, Inc., USA

SO PCT Int. Appl., 200 pp.

CODEN: PIXXD2

DT Patent

LA English

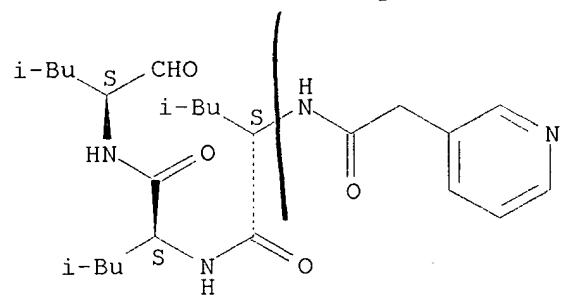
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9524914	A1	19950921	WO 1995-US3449	19950315
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2185326	AA	19950921	CA 1995-2185326	19950315
	AU 9522283	A1	19951003	AU 1995-22283	19950315
	AU 682600	B2	19971009		
	EP 804216	A1	19971105	EP 1995-915389	19950315
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	JP 09511501	T2	19971118	JP 1995-524213	19950315
	FI 9603602	A	19961104	FI 1996-3602	19960912
	NO 9603814	A	19961114	NO 1996-3814	19960912
PRAI	US 1994-212909		19940315		
	WO 1995-US3449		19950315		
OS	MARPAT 123:330021				
AB	The rate of intracellular degrdn. of proteins in an animal comprises contacting cells of the animal with proteasome-inhibiting peptide aldehydes PN(R) [B1(R1)X1]ACH(R2)X2CH(R3)X [P = amino group-protecting moiety; B1 = N, CH; R = H or forms N-contg. heterocyclic ring with R1 (or with R2 if A = 0); R1-R3 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, etc.; A = 0-2; X = CHO, CH(OH)CHO, CH(OH)CH2CHO; X1, X2 = C(O)NH, CH2NH, CH(OH)CH2, CH(OH)CH(OH), CH(OH)CH2NH, C(O)CH2, SO2NH, SO2CH2, CH(OH)CH2C(O)NH, CH:CH; if B1 = N, X1 = C(O)NH}. Thus, N-acetyl-L-leucyl-L-leucyl-L-norleucinal inhibited T3-induced protein degrdn. in incubated rat diaphragm, inhibited denervation -induced protein degrdn. in incubated rat soleus muscle, inhibited presentation of antigen from ovalbumin (but not from ovalbumin peptide) in B lymphoblastoid cells, and blocked the appearance of class I MHC mols. on the surface of RMA cells owing to inhibition of generation of intracellular antigens. The peptide aldehydes were prepd. from the corresponding protected peptide N,O-dimethylhydroxylamides by redn. with LiAlH4.				
IT	<b>170589-95-4</b>				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(inhibitors of 26S proteolytic complex and 20S proteasome for reducing rate of loss of muscle mass)				
RN	170589-95-4 CAPLUS				
CN	L-Leucinamide, N-(3-pyridinylacetyl)-L-leucyl-N-[(1S)-1-formyl-3-methylbutyl]- (9CI) (CA INDEX NAME)				



09/596,086

Absolute stereochemistry.



122 ANSWER 117 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1995:926187 CAPLUS

DN 123:339392

TI Preparation of phthalic acid derivatives as squalene synthetase inhibitors

IN Nomoto, Takashi; Hayashi, Masahiro; Shibata, Atsushi; Iwazawa, Zenichi; Mitsuya, Morihiro; Iida, Yoshiaki; Nonoshita, Katsumasa; Osada, Yasushi

PA Banyu Pharma Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 37 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07173120	A2	19950711	JP 1994-270241	19941007
PRAI	JP 1993-277840		19931008		

OS MARPAT 123:339392

GI For diagram(s), see printed CA Issue.

AB The title compds. I [Ar1, Ar2, Ar3 = aryl, etc.; Q = single bond, OCO, etc.; R1 - R3 = H, halo, etc.; R4 = H, alkyl, etc.; R5 - R9 = H, alkyl; R10 = H, alkyl, etc.] are prepd. 4-[N-[(1S\*,2S\*)-3-(3,4-Dichlorophenyl)-2-(2-fluoro-4-biphenyl)-1-methylpropyl]carbamoymethyl]phthalic acid in vitro showed IC50 of 0.46 nM against squalene synthetase.

IT **170433-44-0P 170433-46-2P 170433-48-4P**

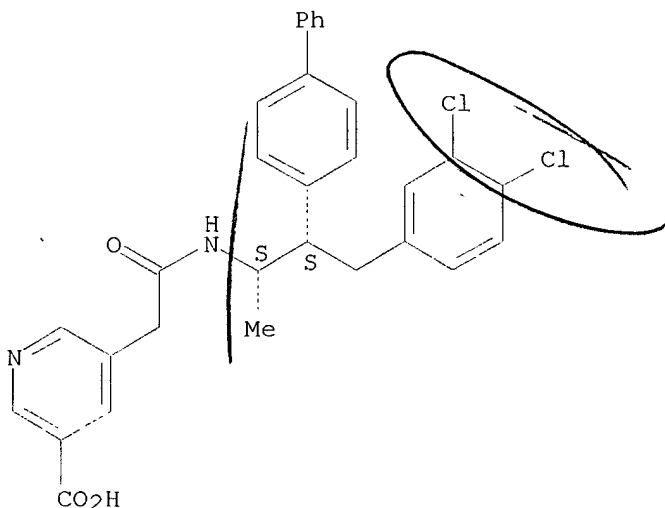
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phthalic acid derivs. as squalene synthetase inhibitors)

RN 170433-44-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2-[[2-[1,1'-biphenyl]-4-yl-3-(3,4-dichlorophenyl)-1-methylpropyl]amino]-2-oxoethyl]-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



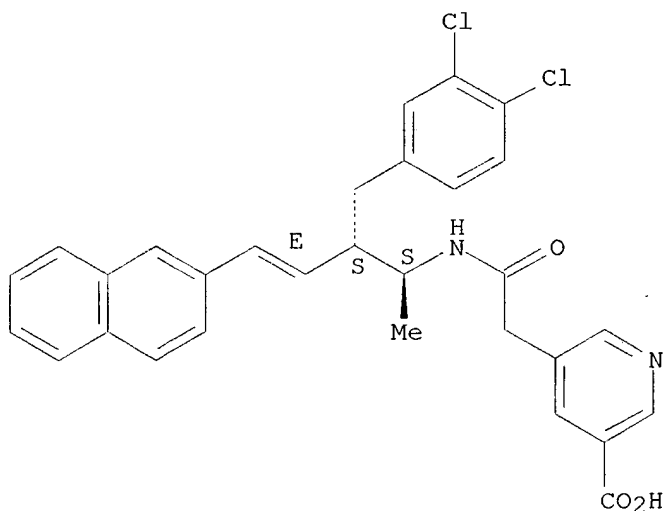
RN 170433-46-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[2-[[2-[(3,4-dichlorophenyl)methyl]-1-methyl-4-(2-naphthalenyl)-3-butenyl]amino]-2-oxoethyl]-, [S-[R\*,R\*-(E)]]- (9CI)

09/596,086

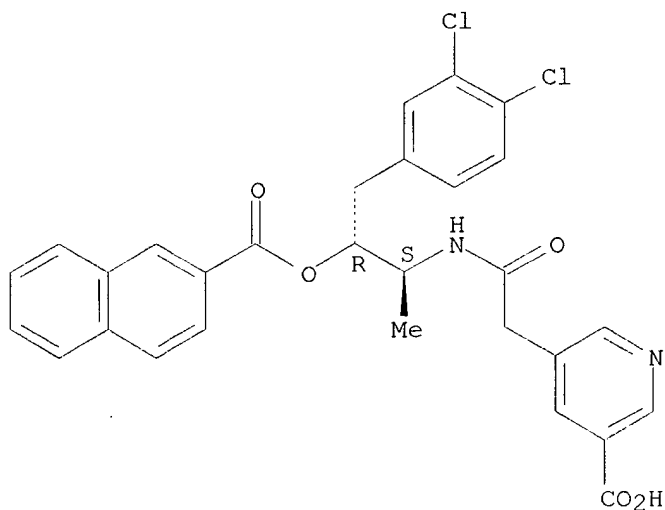
(CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 170433-48-4 CAPLUS  
CN 3-Pyridinecarboxylic acid, 5-[2-[[3-(3,4-dichlorophenyl)-1-methyl-2-[(2-naphthalenylcarbonyl)oxy]propyl]amino]-2-oxoethyl]-, [R-(R\*,S\*)]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

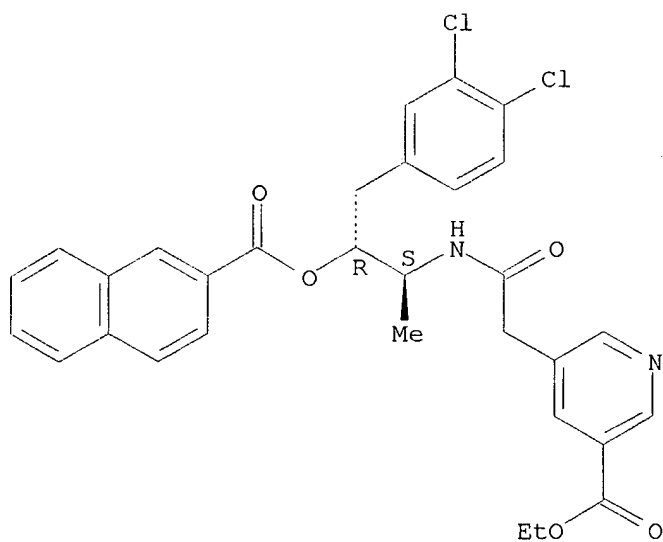


IT 170433-56-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of phthalic acid derivs. as squalene synthetase inhibitors)  
RN 170433-56-4 CAPLUS  
CN 3-Pyridinecarboxylic acid, 5-[2-[[3-(3,4-dichlorophenyl)-1-methyl-2-[(2-naphthalenylcarbonyl)oxy]propyl]amino]-2-oxoethyl]-, ethyl ester,

09/596,086

[R-(R\*,S\*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/596,086

~~LA~~ ANSWER 118 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1995:890154 CAPLUS

DN 123:285548

TI Preparation of compounds containing basic and acidic termini useful as fibrinogen receptor antagonists

IN Degrado, William Frank; Xue, Chu-Biao

PA du Pont de Nemours, E. I., and Co., USA

SO PCT Int. Appl., 201 pp.

CODEN: PIXXD2

DT Patent

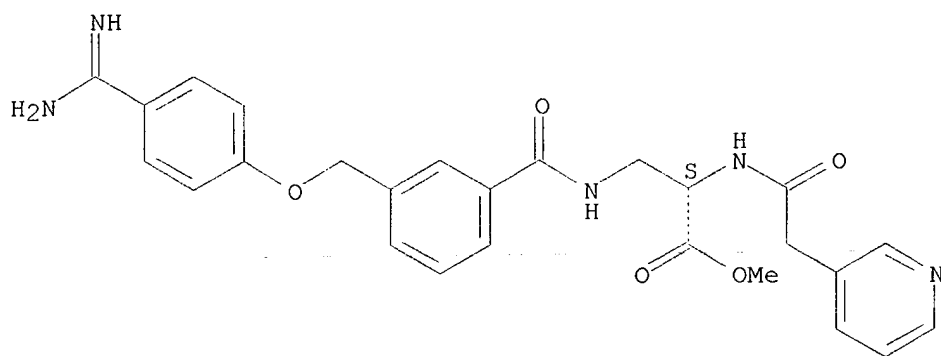
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9518111	A1	19950706	WO 1994-US14244	19941221
	W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, SK				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5563158	A	19961008	US 1994-343159	19941122
	AU 9514000	A1	19950717	AU 1995-14000	19941221
PRAI	US 1993-174552		19931228		
	US 1994-343159		19941122		
	WO 1994-US14244		19941221		
OS	MARPAT 123:285548				
AB	The title compds. R1UVN(R6e)C(R7)(R8)C(R7a)(R9)R10 [R1 = (un)substituted amidinophenyl, (un)substituted amidinocyclohexyl, (un)substituted amidinoheterocyclyl, etc.; R6e = H, alkyl, alkenyl, cycloalkyl, aryl, etc.; R7, R7a = H, C1-4 alkyl; R8 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted aryl, etc.; R9 = H, (un)substituted alkenyl, (un)substituted alkynyl, etc.; R10 = tetrazolyl, (un)substituted CO2H, SO3H, PO3H, etc.; U = (un)substituted (CH2)3, (un)substituted CH2CH:CH, (un)substituted CH:CHCH2, etc.; V = heterocyclylcarbonyl or -sulfonyl bridging group], useful for the inhibition of platelet aggregation and/or for the treatment of thromboembolic disorders, are prepd. Thus, N-[3-(4-amidinophenyloxymethyl)benzoyl]-DL--3-aminobutyric acid trifluoroacetic acid salt was prepd. in 4 steps from 3-(chloromethyl)benzoyl chloride, and demonstrated a IC50 of <10 .mu.M in a thrombolytic assay based on human venous blood.				
IT	<b>169605-54-3P</b> RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of compds. contg. basic and acidic termini useful as fibrinogen receptor antagonists)				
RN	169605-54-3 CAPLUS				
CN	L-Alanine, 3-[[3-[[4-(aminoiminomethyl)phenoxy]methyl]benzoyl]amino]-N-(3-pyridinylacetyl)-, methyl ester (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

09/596,086



09/596,086

~~L22~~ ANSWER 119 OF 193 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1995:867573 CAPLUS

~~DN~~ 123:286000

TI Preparation of arylsulfonamide derivatives as thromboxane A2 antagonists

IN Ohmori, Masayuki; Sawamura, Shin-ichi; Yamamoto, Takehiro; Kawada, Yoshiko; Maeda, Shihoko; Yago, Takeshi; Nakajima, Akihiro; Mizuguchi, Masatsugu; Miyoshi, Yasuo

PA NKK Corp., Japan

SO PCT Int. Appl., 224 pp.

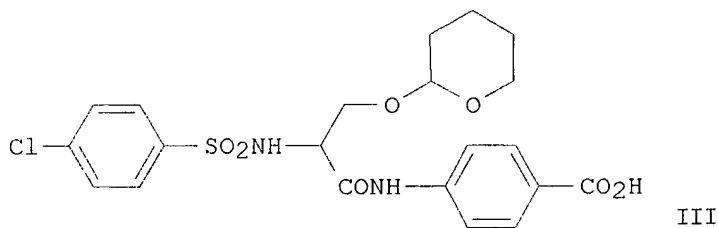
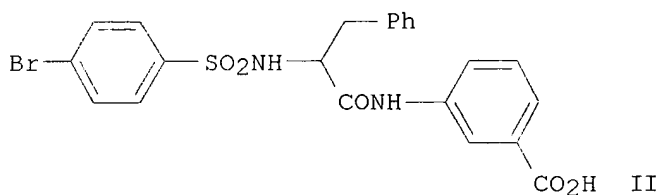
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9501332	A1	19950112	WO 1994-JP1073	19940701
	W: CN, DE, GB, JP, US				
	GB 2285444	A1	19950712	GB 1995-4040	19940701
	DE 4494713	T	19951005	DE 1994-4494713	19940701
	CN 1111453	A	19951108	CN 1994-190429	19940701
	US 5650428	A	19970722	US 1995-392793	19950228
PRAI	JP 1993-189236		19930701		
	JP 1993-351660		19931227		
	JP 1994-67792		19940311		
	JP 1994-69031		19940314		
	WO 1994-JP1073		19940701		
OS	MARPAT 123:286000				
GI					



AB The title arylsulfonamide derivs.  $R_1SO_2NH(CH_2)_pCH[(CH_2)_nR_2]CONHXCOR_3$  (I) [R<sub>1</sub> represents unsubstituted Ph, naphthyl or thienyl, or Ph or thienyl each substituted by 1 to 3 substituents which may be the same or different from one another and are selected from the group consisting of halogen, alkyl, nitro and alkoxy; R<sub>2</sub> represents C<sub>1</sub>-C<sub>15</sub> alkyl having a straight or branched chain or being branched to form a ring, Ph, optionally halogenated phenyloxy, C<sub>5</sub>-C<sub>7</sub> cycloalkyl, indolyl, C<sub>1</sub>-C<sub>4</sub> alkylthio,

optionally protected hydroxy, imidazolyl, pyridyloxy, or OSO<sub>2</sub>R<sub>4</sub>; R<sub>4</sub> represents C<sub>1</sub>-C<sub>15</sub> linear or branched alkyl, unsubstituted Ph or thienyl, or Ph or thienyl each substituted by 1 to 3 substituents which may be the same or different from one another and are selected from the group consisting of halogen, alkyl, nitro and alkoxy; R<sub>3</sub> represents hydrogen or C<sub>1</sub>-C<sub>20</sub> linear or branched alkyl; n is an integer of 0 to 10; p is an integer of 0 to 10; X represents (CH<sub>2</sub>)<sub>m</sub>A(CH<sub>2</sub>)<sub>q</sub>; m and q represent each independently an integer of 0 to 8; and A represents a direct bond or phenylene] are prepd. I are thromboxane A<sub>2</sub> antagonists, platelet aggregation inhibitors, and vasodilators. In an in vitro test for thromboxane A<sub>2</sub> antagonism, sulfonamide (S)-II (prepn. given) showed IC<sub>50</sub> of 0.0087 .mu.M; and sulfonamide (S)-III (prepn. given) showed IC<sub>50</sub> of 0.20 .mu.M. The bioactivities of 86 compds. of this invention are given in a table.

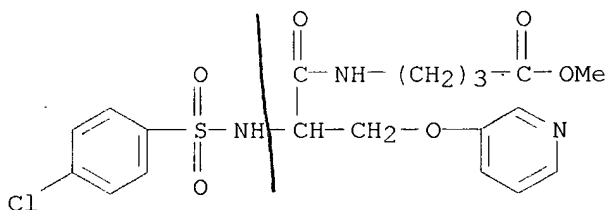
IT 169185-41-5P 169185-42-6P 169185-43-7P  
169185-44-8P 169185-45-9P 169185-51-7P  
169185-52-8P 169185-53-9P 169185-54-0P  
169185-55-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of arylsulfonamide derivs. as thromboxane A<sub>2</sub> antagonists)

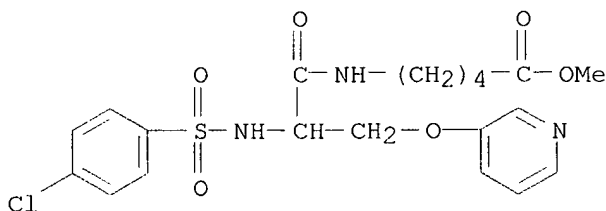
RN 169185-41-5 CAPLUS

CN Butanoic acid, 4-[[2-[[[4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-pyridinyloxy)propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 169185-42-6 CAPLUS

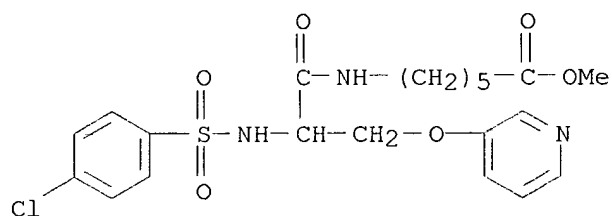
CN Pentanoic acid, 5-[[2-[[[4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-pyridinyloxy)propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 169185-43-7 CAPLUS

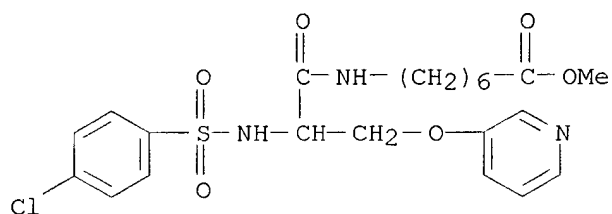
CN Hexanoic acid, 6-[[2-[[[4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-pyridinyloxy)propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)





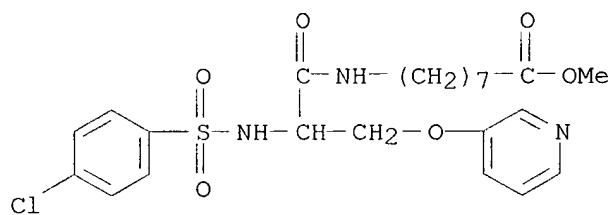
RN 169185-44-8 CAPLUS

CN Heptanoic acid, 7-[[2-[[[4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-pyridinyloxy)propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



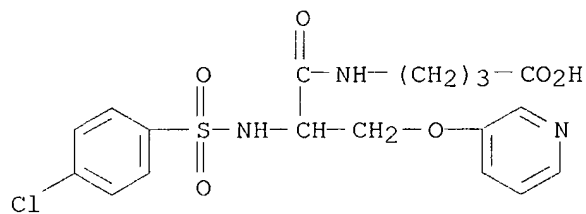
RN 169185-45-9 CAPLUS

CN Octanoic acid, 8-[[2-[[[4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-pyridinyloxy)propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 169185-51-7 CAPLUS

CN Butanoic acid, 4-[[2-[[[4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-pyridinyloxy)propyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

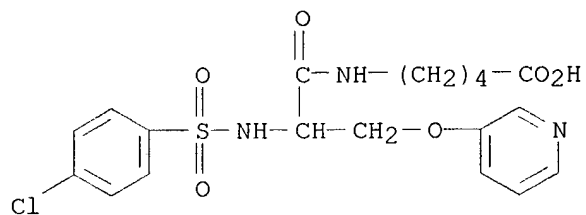


HCl

RN 169185-52-8 CAPLUS

09/596,086

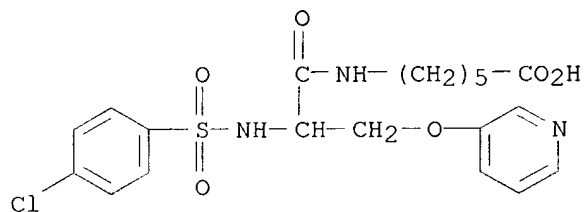
CN Pentanoic acid, 5-[[2-[[[(4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-pyridinyloxy)propyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 169185-53-9 CAPLUS

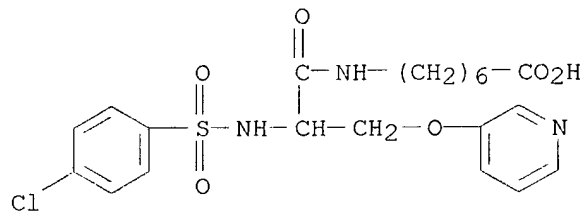
CN Hexanoic acid, 6-[[2-[[[(4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-pyridinyloxy)propyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 169185-54-0 CAPLUS

CN Heptanoic acid, 7-[[2-[[[(4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-pyridinyloxy)propyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



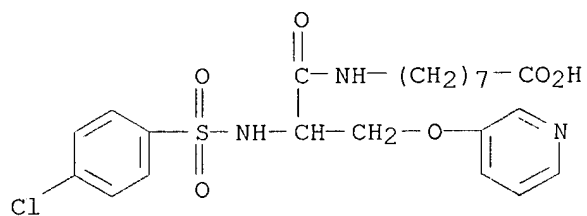
● HCl

RN 169185-55-1 CAPLUS

CN Octanoic acid, 8-[[2-[[[(4-chlorophenyl)sulfonyl]amino]-1-oxo-3-(3-

09/596,086

pyridinyloxy)propyl]amino]- (9CI) (CA INDEX NAME)



09/596,086

122 ANSWER 120 OF 193 CAPLUS COPYRIGHT 2002 ACS

AN 1995:781780 CAPLUS

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TI Preparation of difluoro statone analogs as antiviral agents

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PA Merrell Dow Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 75 pp.

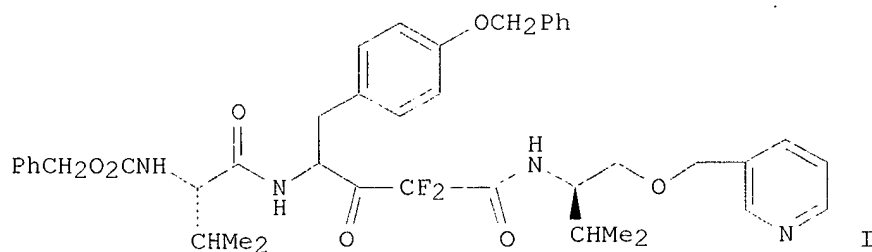
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DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9501958	A1	19950119	WO 1994-US6376	19940607
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2166693	AA	19950109	CA 1994-2166693	19940607
	CA 2249786	AA	19950109	CA 1994-2249786	19940607
	AU 9471008	A1	19950206	AU 1994-71008	19940607
	AU 680009	B2	19970717		
	EP 707564	A1	19960424	EP 1994-920095	19940607
	EP 707564	B1	20000920		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1126988	A	19960717	CN 1994-192700	19940607
	HU 73411	A2	19960729	HU 1995-3706	19940607
	JP 08512319	T2	19961224	JP 1994-504027	19940607
	AT 196465	E	20001015	AT 1994-920095	19940607
	ES 2152982	T3	20010216	ES 1994-920095	19940607
	ZA 9404818	A	19950222	ZA 1994-4818	19940704
	US 5717093	A	19980210	US 1995-578698	19951218
	NO 9600048	A	19960305	NO 1996-48	19960105
	FI 9600051	A	19960307	FI 1996-51	19960105
	US 6114380	A	20000905	US 1997-925943	19970908
PRAI	EP 1993-401785	A	19930708		
	CA 1994-2166693	A3	19940607		
	WO 1994-US6376	W	19940607		
	US 1995-578698	A3	19951218		
OS	MARPAT 123:199412				
GI					



AB Title compds. R1[CONHCHP2]xCONHCHP1CHCOCF2CONR5R6 (P1 = heterocyclalkyl, substituted phenylene-C1-6 alkylene ; P2 = C1-6 alkyl, cyclopentyl, HO-C1-6 alkyl, Ph, PhCH2, 3-tetrahydrofuryl; R1 = PhCH2O, C1-6 alkoxy, C1-6 alkyl, P, PhCH2, 2-isoquinolinyl, etc.; R5 = C7-15 alkyl, C7-15 alkoxy, phenylene-alkylene, etc.; R6 = H, C1-6 alkyl), stereoisomers, isosteres, and salts thereof, useful as antiviral agents (no data), are prepd. Et 4-(tert-butoxycarbonylamino)-2,2-difluoro-3-hydroxy-5-(4-benzyloxy)phenylpentanoate (prepn. given) and O-(3-pyridylmethyl)-D-valinol (prepn. given) in THF were relaxed to give the appropriate valinol which in 3 steps was converted to the title compd. I.

IT **167486-15-9P**

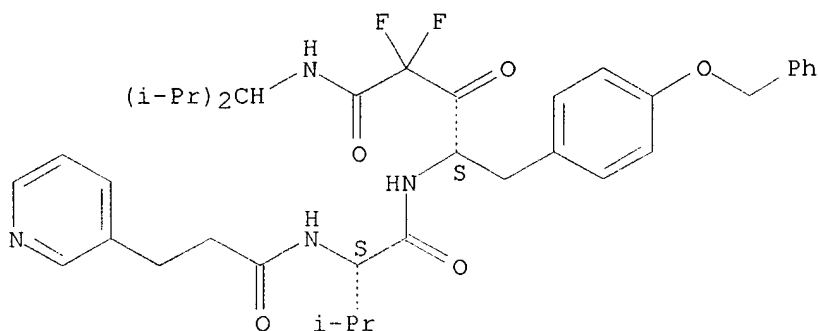
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoro statone analogs as antiviral agents)

RN 167486-15-9 CAPLUS

CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-4-[[2-methyl-1-(1-methylethyl)propyl]amino]-2,4-dioxo-1-[[4-(phenylmethoxy)phenyl]methyl]butyl]amino]carbonyl]-2-methylpropyl]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

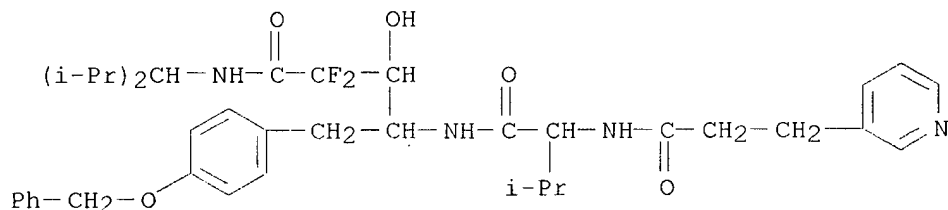


IT **167486-38-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of difluoro statone analogs as antiviral agents)

RN 167486-38-6 CAPLUS

CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2-hydroxy-4-[[2-methyl-1-(1-methylethyl)propyl]amino]-4-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]butyl]amino]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



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